I Number	Hits	Hits Search Text	DB	Time stamp
1	3778	3778 ("514/183, 295, 395, 415, 712"). CCLS	USPAT	2003/08/25 11:38
2	1722	1722 ("544/106,253,283,282").CCLS	USPAT	2003/08/25 11:38
٣	364	364 ("546/183").CCLS	USPAT	2003/08/25 11:38
4	267	("548/306.4").CCLS	USPAT	2003/08/25 11:39
5	680	680 ("549/362,469").CCLS	USPAT	2003/08/25 11:39
و	460	460 ("568/38,58").CCLS	USPAT	2003/08/25 11:39
7	0	,415	USPAT	2003/08/25 11:40
		(("546/183").CCLS) and (("546/183").CCLS) and (("548/306.4").CCLS) and		_
		("549/362,469").CCLS) and ("568/38,58").CCLS)		



Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
NEWS	1			Web Page URLs for STN Seminar Schedule - N. America
NEWS				"Ask CAS" for self-help around the clock
NEWS	_	Feb	24	PCTGEN now available on STN
NEWS	-	Feb		TEMA now available on STN
NEWS	5	Feb	26	NTIS now allows simultaneous left and right truncation
NEWS	6	Feb	26	PCTFULL now contains images
NEWS		Mar		SDI PACKAGE for monthly delivery of multifile SDI results
NEWS	8	Mar	24	PATDPAFULL now available on STN
NEWS	9	Mar	24	Additional information for trade-named substances without
				structures available in REGISTRY
NEWS	10	Apr	11	Display formats in DGENE enhanced
NEWS	11	Apr	14	MEDLINE Reload
NEWS	12	Apr	17	Polymer searching in REGISTRY enhanced
NEWS	13	AUG	22	Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS	14	Apr	21	New current-awareness alert (SDI) frequency in
				WPIDS/WPINDEX/WPIX
NEWS	15	Apr	28	RDISCLOSURE now available on STN
NEWS	16	May	05	Pharmacokinetic information and systematic chemical names added to PHAR
NEWS	17	May	15	MEDLINE file segment of TOXCENTER reloaded
NEWS		May		Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS		May		Simultaneous left and right truncation added to WSCA
NEWS		May		RAPRA enhanced with new search field, simultaneous left and
		-		right truncation
NEWS	21	Jun	06	Simultaneous left and right truncation added to CBNB
NEWS	22	Jun	06	PASCAL enhanced with additional data
NEWS		Jun	20	2003 edition of the FSTA Thesaurus is now available
NEWS	24	Jun	25	HSDB has been reloaded
NEWS	25	Jul	16	Data from 1960-1976 added to RDISCLOSURE
NEWS	26	Jul	21	Identification of STN records implemented
NEWS	27	Jul	21	Polymer class term count added to REGISTRY
NEWS	28	Jul	22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
				Right Truncation available
NEWS	29	AUG	05	New pricing for EUROPATFULL and PCTFULL effective
MENT	2.0	2110	1.0	August 1, 2003
NEWS		AUG		Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	31	AUG	12	PATDPAFULL: one FREE connect hour, per account, in
NEWS	22	AUG	1 5	September 2003 PCTGEN: one FREE connect hour, per account, in
MEMO	34	AUG	13	September 2003
NEWS	2.2	AUG	15	RDISCLOSURE: one FREE connect hour, per account, in
1417412	J J	AUG	10	September 2003
NEWS	34	AUG	15	TEMA: one FREE connect hour, per account, in
ب ۱۰ سے ب	J -		-3	September 2003
NEWS	35	AUG	18	Data available for download as a PDF in RDISCLOSURE

NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation

Simultaneous left and right truncation added to ANABSTR NEWS 38 AUG 18

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

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FILE 'HOME' ENTERED AT 07:33:41 ON 25 AUG 2003

=> file reg COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4 DICTIONARY FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

Uploading 09541795.5

09541795.5

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

Page 3

Structure attributes must be viewed using STN Express guery preparation.

=> s 11

SAMPLE SEARCH INITIATED 07:34:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 1 TO ITERATE

100.0% PROCESSED 1 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 1 TO 80 PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s llsss full

L3 0 L1SSS

=> s l1 sss full

FULL SEARCH INITIATED 07:34:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

L4 2 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
152.37
152.58

FILE 'CAOLD' ENTERED AT 07:34:42 ON 25 AUG 2003

09541795.5 Page 4

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full

## REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 07:34:50 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 2 ANSWERS

SEARCH TIME: 00.00.01

L5 2 SEA SSS FUL L1

L6 0 L5

=> file marpat
COST IN U.S. DOLLARS

OST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.40 301.53

FILE 'MARPAT' ENTERED AT 07:35:21 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6596259 22 JUL 2003

DE 20300703 31 JUL 2003

EP 1331259 30 JUL 2003

JP 2003207510 25 JUL 2003

09541795.5 Page 5

WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s ll sss full

FULL SEARCH INITIATED 07:35:31 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 537 TO ITERATE

100.0% PROCESSED 537 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.04

L7 0 SEA SSS FUL L1

=> d his

(FILE 'HOME' ENTERED AT 07:33:41 ON 25 AUG 2003)

FILE 'REGISTRY' ENTERED AT 07:33:51 ON 25 AUG 2003

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 0 S L1SSS FULL L4 2 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 07:34:42 ON 25 AUG 2003

S L1

FILE 'REGISTRY' ENTERED AT 07:34:49 ON 25 AUG 2003

L5 2 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 07:34:50 ON 25 AUG 2003

L6 0 S L5 SSS FULL

FILE 'MARPAT' ENTERED AT 07:35:21 ON 25 AUG 2003

L7 0 S L1 SSS FULL

=> file caplus

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 104.55 406.08

FILE 'CAPLUS' ENTERED AT 07:36:05 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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FILE COVERS 1907 - 25 Aug 2003 VOL 139 ISS 9

09541795.5

Page 6

FILE LAST UPDATED: 24 Aug 2003 (20030824/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15

L8 1 L5

=> d l1 fbib hitstr abs total

L1 HAS NO ANSWERS

'FBIB HITSTR ABS ' IS NOT A VALID STRUCTURE FORMAT KEYWORD Structure Formats

SIM ---- Structure IMage.

SAT ---- Structure ATtributes and map table if it contains data.

SCT ---- Structure Connection Table and map table if it contains

SDA ---- All Structure DAta (image, attributes, connection table and map table if it contains data).

NOS ---- NO Structure data.

ENTER STRUCTURE FORMAT (SIM), NOS:end

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

406.50

FULL ESTIMATED COST 0.42

FILE 'CAPLUS' ENTERED AT 07:36:57 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 25 Aug 2003 VOL 139 ISS 9 FILE LAST UPDATED: 24 Aug 2003 (20030824/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15

L9 1 L5

=> d 19 fbib hitstr abs total

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN

```
AN
     2000:725609 CAPLUS
DN
     133:296281
TI
     Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting
     antiinflammatory and immune-suppressive compounds
     Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn,
ΙN
     Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong;
     Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae,
     Hwan-soo; Lynch, John K.
PΑ
     Abbott Laboratories, USA
SO
     PCT Int. Appl., 476 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
                     KIND DATE
                                           APPLICATION NO. DATE
     PATENT NO.
     -----
                                            -----
PΙ
     WO 2000059880
                     A1 20001012
                                           WO 2000-US8895 20000403
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
             CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
             SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,
             AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                            US 1999-286645 A 19990402
                                            US 1999-474517 A 19991229
                                            US 2000-541795 A 20000331
     EP 1165505
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                             20020102
                                            EP 2000-921654 20000403
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             IE, SI, LT, LV, FI, RO
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                                            US 1999-474517 A 19991229
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                             20020409
                                            BR 2000-9426
                                                             20000403
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                                            US 2000-541795 A 20000331
                                            WO 2000-US8895 W 20000403
     EE 200100513
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                                            EE 2001-513
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                                                              20000403
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     NO 2001004767
                       Α
                             20011130
                                            NO 2001-4767
                                                              20011001
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                                            US 1999-474517 A 19991229
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                       Α
                             20020531
                                            BG 2001-106029 20011018
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                                            US 2000-541795 A 20000331
                                            WO 2000-US8895 W 20000403
     HR 2001000776
                       Α1
                             20021231
                                            HR 2001-776
                                            US 1999-286645 A 19990402
                                            US 1999-474517 A 19991229
                                            US 2000-541795 A 20000331
```

WO 2000-US8895 W 20000403

OS MARPAT 133:296281

IT 301179-08-8P 301179-43-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (phenylthio) cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 301179-08-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[2,3-dichloro-4-[(1E)-3-(4-morpholinyl)-3-oxo-1-propenyl]phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-43-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[4-[(1E)-3-(4-morpholinyl)-3-oxo-1-propenyl]-2,3-bis(trifluoromethyl)phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

GΙ

8/25/2003>

Patel

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepd. as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixt. of 2-[(2,4dichlorophenyl)thio]benzaldehyde (prepn. given), malonic acid, piperidine in anhyd. pyridine was heated at 110.degree.C for 2 h and then treated with aq. HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 .mu.M. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 .mu.M and 0.6 .mu.M, resp.

ΙI

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

=>

CA SUBSCRIBER PRICE

=> log y COST IN U.S. DOLLARS	SINCE FILE	TOTAL
FULL ESTIMATED COST	ENTRY 4.95	SESSION 411.45
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION

STN INTERNATIONAL LOGOFF AT 07:37:34 ON 25 AUG 2003

-0.65

-0.65



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LOGINID:ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
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				structures available in REGISTRY
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				September 2003
NEWS	32	AUG	15	PCTGEN: one FREE connect hour, per account, in
				September 2003
NEWS	33	AUG	15	RDISCLOSURE: one FREE connect hour, per account, in
				September 2003
NEWS	34	AUG	15	TEMA: one FREE connect hour, per account, in
				September 2003
NEWS	35	AUG	18	Data available for download as a PDF in RDISCLOSURE

NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL

NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT

MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

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NEWS HOURS STN Operating Hours Plus Help NEWS INTER General Internet Information

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NEWS PHONE Direct Dial and Telecommunication Network Access to STN

NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 07:44:10 ON 25 AUG 2003

#### => reg

REG IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File ...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION

FULL ESTIMATED COST 0.21 0.21

FILE 'REGISTRY' ENTERED AT 07:44:22 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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09541795.56

Page 3

STRUCTURE FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4 DICTIONARY FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 09541795.6

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

G1 N, CH, NH

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 07:44:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 33 TO ITERATE

100.0% PROCESSED 33 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 316 TO 1004

PROJECTED ANSWERS: 0 TO

L2 0 SEA SSS SAM L1

Patel

8/25/2003>

09541795.56

Page 4

=> s l1 sss full

FULL SEARCH INITIATED 07:44:46 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 567 TO ITERATE

100.0% PROCESSED 567 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L3 0 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 148.15 148.36

FULL ESTIMATED COST

FILE 'CAOLD' ENTERED AT 07:44:51 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

### => s l1 sss full

# REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 07:44:58 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 567 TO ITERATE

100.0% PROCESSED 567 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L4 0 SEA SSS FUL L1

L5 0 L4

=> file marpat

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 0.40 297.31

09541795.56 Page 5

FILE 'MARPAT' ENTERED AT 07:45:04 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6596259 22 JUL 2003
DE 20300703 31 JUL 2003
EP 1331259 30 JUL 2003
JP 2003207510 25 JUL 2003
WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full FULL SEARCH INITIATED 07:45:10 FILE 'MARPAT' FULL SCREEN SEARCH COMPLETED - 6796 TO ITERATE

100.0% PROCESSED 6796 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.16

L6 0 SEA SSS FUL L1

=> log y COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 104.55 401.86

STN INTERNATIONAL LOGOFF AT 07:45:48 ON 25 AUG 2003



Welcome to STN International! Enter x:x

LOGINID:ssspta1611sxp

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
NEWS NEWS				Web Page URLs for STN Seminar Schedule - N. America "Ask CAS" for self-help around the clock
NEWS	3	Feb	24	PCTGEN now available on STN
NEWS	4	Feb	24	TEMA now available on STN
NEWS		Feb	26	NTIS now allows simultaneous left and right truncation
NEWS			26	PCTFULL now contains images
NEWS	-		04	SDI PACKAGE for monthly delivery of multifile SDI results
NEWS			24	PATDPAFULL now available on STN
NEWS	-	Mar		Additional information for trade-named substances without
	_			structures available in REGISTRY
NEWS		Apr		Display formats in DGENE enhanced
NEWS		Apr		MEDLINE Reload
NEWS		Apr		Polymer searching in REGISTRY enhanced
NEWS	13	AUG	22	Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS	14	Apr	21	New current-awareness alert (SDI) frequency in WPIDS/WPINDEX/WPIX
NEWS	15	Apr	28	RDISCLOSURE now available on STN
NEWS	16	May	05	Pharmacokinetic information and systematic chemical names
		_		added to PHAR
NEWS		May		MEDLINE file segment of TOXCENTER reloaded
NEWS		May		Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS		May		Simultaneous left and right truncation added to WSCA
NEWS	20	May	19	RAPRA enhanced with new search field, simultaneous left and right truncation
NEWS	21	Jun	06	Simultaneous left and right truncation added to CBNB
NEWS	22	Jun	06	PASCAL enhanced with additional data
NEWS	23	Jun	20	2003 edition of the FSTA Thesaurus is now available
NEWS	24	Jun	25	HSDB has been reloaded
NEWS	25	Jul	16	Data from 1960-1976 added to RDISCLOSURE
NEWS	26	Jul	21	Identification of STN records implemented
NEWS	27	Jul	21	Polymer class term count added to REGISTRY
NEWS	28	Jul	22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
				Right Truncation available
NEWS	29	AUG	05	New pricing for EUROPATFULL and PCTFULL effective
				August 1, 2003
NEWS	30	AUG	13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS		AUG		PATDPAFULL: one FREE connect hour, per account, in
			_	September 2003
NEWS	32	AUG	15	PCTGEN: one FREE connect hour, per account, in
				September 2003
NEWS	33	AUG	15	RDISCLOSURE: one FREE connect hour, per account, in
1,0,0			-5	September 2003
NEWS	34	AUG	15	TEMA: one FREE connect hour, per account, in
141111	J 1	-100	10	September 2003
NEWS	35	AUG	1.8	Data available for download as a PDF in RDISCLOSURE
111111		-100	± 0	Data available for downtoad as a for ill RDISCHOSURE

09541795.7 Page 2

NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right

Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS INTER General Internet Information

NEWS LOGIN Welcome Banner and News Items

NEWS PHONE Direct Dial and Telecommunication Network Access to STN

NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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FILE 'HOME' ENTERED AT 07:47:39 ON 25 AUG 2003

=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 07:48:01 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4 DICTIONARY FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 09541795.7

09541795.7 Page 3

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 07:48:28 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 70 TO ITERATE

100.0% PROCESSED 70 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L2 0 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 148.15 148.36

FILE 'CAOLD' ENTERED AT 07:48:34 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

## => s ll sss full

# REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 07:48:39 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 70 TO ITERATE

100.0% PROCESSED 70 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

09541795.7 Page 4

L3 0 SEA SSS FUL L1

L4 0 L3

=> file marpat

COST IN U.S. DOLLARS SINCE FILE TOTAL

FULL ESTIMATED COST ENTRY SESSION 0.40 297.31

FILE 'MARPAT' ENTERED AT 07:48:45 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6596259 22 JUL 2003
DE 20300703 31 JUL 2003
EP 1331259 30 JUL 2003
JP 2003207510 25 JUL 2003
WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s ll sss full FULL SEARCH INITIATED 07:48:51 FILE 'MARPAT' FULL SCREEN SEARCH COMPLETED - 1005 TO ITERATE

100.0% PROCESSED 1005 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.03

L5 0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 104.55 401.86

STN INTERNATIONAL LOGOFF AT 07:49:00 ON 25 AUG 2003



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PASSWORD:

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* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * *
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NEWS	8	Mar	24	PATDPAFULL now available on STN
NEWS	9	Mar	24	Additional information for trade-named substances without
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				Right Truncation available
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NEWS	31	AUG	15	PATDPAFULL: one FREE connect hour, per account, in
				September 2003
NEWS	32	AUG	15	PCTGEN: one FREE connect hour, per account, in September 2003
NEWS	33	AUG	15	RDISCLOSURE: one FREE connect hour, per account, in
1.20				September 2003
NEWS	34	AUG	15	TEMA: one FREE connect hour, per account, in
				September 2003
NEWS	35	AUG	18	Data available for download as a PDF in RDISCLOSURE

NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation

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=> file reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4 DICTIONARY FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

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=> Uploading 09541795.8

Patel

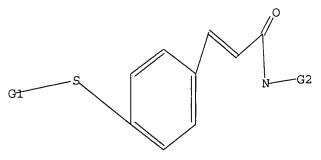
09541795.8 Page 3

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 Cb, Cy, Hy

G2 H, Cb, Cy, Hy, Ak, OH, COOH

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full FULL SEARCH INITIATED 07:56:19 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 7248 TO ITERATE

100.0% PROCESSED 7248 ITERATIONS SEARCH TIME: 00.00.01

282 ANSWERS

BEARCH TIME: 00:00:01

L2 282 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE TOTAL FITTEN SESSION

FULL ESTIMATED COST

ENTRY SESSION 148.55 148.76

FILE 'CAOLD' ENTERED AT 07:56:36 ON 25 AUG 2003
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FILE COVERS 1907-1966 FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

=> s l1 sss full

09541795.8 Page 4

#### REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 07:56:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 7248 TO ITERATE

100.0% PROCESSED 7248 ITERATIONS

282 ANSWERS

SEARCH TIME: 00.00.01

L3 282 SEA SSS FUL L1

L4 0 L3

=> file marpat

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 0.40 297.71

FILE 'MARPAT' ENTERED AT 07:56:56 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6596259 22 JUL 2003
DE 20300703 31 JUL 2003
EP 1331259 30 JUL 2003
JP 2003207510 25 JUL 2003
WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l2 sss full FULL SEARCH INITIATED 07:57:02 FILE 'MARPAT' FULL SCREEN SEARCH COMPLETED - 4219 TO ITERATE

100.0% PROCESSED 4219 ITERATIONS ( 1 INCOMPLETE) 62 ANSWERS SEARCH TIME: 00.00.08

L5 62 SEA SSS FUL L1

=> d his

(FILE 'HOME' ENTERED AT 07:55:28 ON 25 AUG 2003)

FILE 'REGISTRY' ENTERED AT 07:55:37 ON 25 AUG 2003

```
L1
                STRUCTURE UPLOADED
L2
            282 S L1 SSS FULL
     FILE 'CAOLD' ENTERED AT 07:56:36 ON 25 AUG 2003
                S L1
     FILE 'REGISTRY' ENTERED AT 07:56:42 ON 25 AUG 2003
L3
           282 S L1 SSS FULL
     FILE 'CAOLD' ENTERED AT 07:56:43 ON 25 AUG 2003
L4
             0 S L3 SSS FULL
    FILE 'MARPAT' ENTERED AT 07:56:56 ON 25 AUG 2003
            62 S L2 SSS FULL
L5
=> s 12 and 15
L2 MAY NOT BE USED HERE
The L-number entered was not created by a STRUCTURE or SCREEN command.
=> d l5 fbib hitstr abs total
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'MARPAT'
The following are valid formats:
MSTR ---- All Markush structure(s) and related text information
MSTR(n) -- Markush structure(n) and related text information
IDE ----- AN and MSTR
ABS ---- AB
ALL ----- BIB, AB, IND, RE, and MSTR
APPS ---- AI, PRAI
BIB ----- AN, plus Bibliographic Data and PI table (default)
CAN ----- List of CA abstract numbers without answer numbers
CBIB ---- AN, plus Compressed Bibliographic Data
DALL ---- ALL, delimited (end of each field identified)
DMAX ----- MAX, delimited for post-processing
FAM ----- AN, PI and PRAI in table, plus Patent Family data
FBIB ----- AN, BIB, plus Patent FAM
IND ----- Indexing Data
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ---- PI, SO
SAM ----- CC, SX, TI, ST, IT, and FQHIT
SCAN ---- CC, SX, TI, ST, IT, and FQHIT (random display,
          no answer numbers)
STD ----- BIB, IPC, and NCL (standard patent information)
IABS ---- ABS, indented with text labels
IALL ---- ALL, indented with text labels
IBIB ---- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ---- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
```

09541795.8 Page 6

```
HIT ----- Fields containing hit text terms and the Markush
           structures containing the query structure
FHIT ---- Fields containing the first hit text terms and the first
           Markush structures containing the query structure
QHIT ---- Fields containing query focus hit text terms and the
           Markush structures containing the query structure
FQHIT ---- Fields containing the first query focus hit text terms and
           the first Markush structures containing the query structure
To display a particular field or fields, enter the display field
codes. For a list of the display field codes, enter "HELP DFIELDS"
at an arrow prompt (=>). Examples of formats include: "TI";
"TI, MSTR, ABS"; "BIB, ST"; "TI, IND"; "TI, SO". You may specify the
format fields in any order and the information will be displayed
in the same order as the format specification.
All of the formats (except for SAM, SCAN, FHIT, HIT, FQHIT, or QHIT) may
be used with the DISPLAY ACC command to display the record for a
specified Accession Number.
ENTER DISPLAY FORMAT (BIB):BIB
     ANSWER 1 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
1.5
ΑN
     139:36349 MARPAT
TТ
     Preparation of arylalkyl-urea/carbamates for treatment of inflammation,
     diabetes and related disorders
ΙN
     Neogi, Partha; Dey, Debendranath; Li, Ta-Kai; Fuller, Joseph; Chen, Liang
PΑ
     Calyx Therapeutics Inc., USA
     PCT Int. Appl., 107 pp.
SO
     CODEN: PIXXD2
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     English
FAN.CNT 1
     PATENT NO. KIND DATE
                                           APPLICATION NO. DATE
     WO 2003048108 A2 20030612 WO 2002-US38150 20021127
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT,
             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,
             MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
             NE, SN, TD, TG
PRAI US 2001-334818P 20011129
     ANSWER 2 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
     139:959 MARPAT
AN
ΤI
     Remedies for urinary frequency
IN
     Maruyama, Takayuki; Nonaka, Shigeyuki; Yamamoto, Hiroshi; Kobayashi, Kaoru
PΑ
     Ono Pharmaceutical Co., Ltd., Japan
SO
     PCT Int. Appl., 64 pp.
     CODEN: PIXXD2
     Patent
DT
LΑ
     Japanese
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FAN.CNT 1
     PATENT NO.
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                                         APPLICATION NO. DATE
     WO 2003043655 A1 20030530 WO 2002-JP12000 20021118
PΙ
    WO 2003043655
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL,
             PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD,
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             CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
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             NE, SN, TD, TG
PRAI JP 2001-353303
                     20011119
RE.CNT 17
             THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
L_5
    ANSWER 3 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
AN
     138:368609 MARPAT
TI
     Preparation of phenyl sulfones or sulfoxides as telomerase inhibitors for
     antitumor agents
     Kanda, Hiroshi; Nakatsu, Rieko; Asai, Akiyoshi; Yamashita, Nobunori
ΙN
PA
     Kyowa Hakko Kogyo Co., Ltd., Japan
     Jpn. Kokai Tokkyo Koho, 10 pp.
SO
     CODEN: JKXXAF
DT
     Patent
LΑ
    Japanese
FAN.CNT 1
     PATENT NO. KIND DATE
                                   APPLICATION NO. DATE
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                                         JP 2001-340850 20011106
PΙ
PRAI JP 2001-340850 20011106
    ANSWER 4 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
     138:353993 MARPAT
TI
     Preparation of benzimidazole derivatives as prodrugs of proton pump
     inhibitors
IN
     Garst, Michael E.; Sachs, George; Shin, Jai Moo
PA
    Regents of the University of California, USA; The United States Department
     of Veteran Affairs; Winston Pharmaceuticals, LLC
SO
     U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 364,381, abandoned.
     CODEN: USXXAM
DT
     Patent
LΑ
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L5 ANSWER 5 OF 62 MARPAT COPYRIGHT 2003 ACS on STN AN 138:287410 MARPAT

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ΤI
    Preparation of 3-phenylacrylamides and analogs as inhibitors of
    cyclooxygenase II
ΙN
    Mauleon Casellas, David; Garcia Perez, Luisa; Palomer Benet, Albert;
    Pascual Avellana, Jaime
PΑ
    Laboratorios Menarini, S.A., Spain
SO
    Span., 27 pp.
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PRAI ES 1999-2287
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    ANSWER 6 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    138:271705 MARPAT
    Preparation of triazinyl and other carboxamides as inhibitors of histone
ΤI
    deacetylase
    Delorme, Daniel; Woo, Soon Hyung; Vaisburg, Arkadii; Moradel, Oscar; Leit,
IN
    Silvana; Raeppel, Stephane; Frechette, Sylvie; Bouchain, Giliane
PΑ
    Methylgene, Inc., Can.
SO
    PCT Int. Appl., 347 pp.
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AN
    138:233393 MARPAT
TI
    Broad-spectrum fungicidal composition comprising phenylamidine derivatives
IN
    Labourdette, Gilbert; Zundel, Jean Luc; Lappartient, Anne Gabrielle;
    Villier, Alain; O'Neill, Elizabeth; Vors, Jean Pierre; Grosjean, Cournoyer
    Marie Claire
PA
    Aventis Cropscience SA, Fr.
    Fr. Demande, 38 pp.
SO
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AN
ΤI
     Remedies for depression containing prostaglandin E2 receptor subtype EP1
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IN
     Nonaka, Shigeyuki; Maruyama, Takayuki
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      Ono Pharmaceutical Co., Ltd., Japan
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      CODEN: PIXXD2
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     136:295089 MARPAT
AN
TI
     Preparation of amino acid aromatic derivatives with HIV integrase
      inhibitory properties
     N'zemba, Blaise Magloire; Sauve, Gilles; Sevigny, Guy; Yelle, Jocelyn
IN
     Pharmacor, Inc., Can.
PA
SO
     PCT Int. Appl., 173 pp.
     CODEN: PIXXD2
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     136:262993 MARPAT
     Substituted cinnamic acid quanidides as inhibitors of the NHE3
ΤI
     sodium-proton exchanger
IN
     Hofmeister, Armin; Hropot, Max; Heinelt, Uwe; Bleich, Markus; Lang,
     Hans-Jochen
     Aventis Pharma Deutschland G.m.b.H., Germany
PΑ
     PCT Int. Appl., 75 pp.
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     ANSWER 11 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
     136:236663 MARPAT
AN
ΤI
     Hair and skin compositions containing a dibenzoylmethane derivative and an
     .alpha.-alkylstyrene dimer
IN
     Forestier, Serge
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09541795.8 Page 11

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PA
    L'Oreal, Fr.
    PCT Int. Appl., 31 pp.
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    ANSWER 12 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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    136:167287 MARPAT
    Preparation of novel 3-substituted isoquinolin-1-yl derivatives of squaric
TI
    acid amides as selective .alpha.4-integrin inhibitors
IN
    Head, John Clifford; Porter, John Robert; McKay, Catherine
PA
    Celltech R & D Limited, UK
    PCT Int. Appl., 62 pp.
SO
    CODEN: PIXXD2
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    136:118463 MARPAT
AN
    Preparation of 1-alkyl-3-[1-(substituted phenyl)benzotriazol-6-yl]uracils
TΙ
    as herbicides
IN
    Diehl, Robert E.; Trotto, Susan; Guaciaro, Michael; Wepplo, Peter
PΑ
    Basf Aktiengesellschaft, Germany
    PCT Int. Appl., 42 pp.
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AN
ΤI
     Preparation of bisacylquanidine with cardioprotective activity
     Gericke, Rolf; Beier, Norbert
IN
     Merck Patent G.m.b.H., Germany
PΑ
SO
     Ger. Offen., 12 pp.
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ΤI
     Polymerizable liquid crystal compound having amido bond between two cyclic
     groups and optically anisotropic element
     Takeuchi, Hiroshi; Kawata, Ken
ΙN
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     Fuji Photo Film Co., Ltd., Japan
SO
     Eur. Pat. Appl., 23 pp.
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    ANSWER 16 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
AN
     135:221312 MARPAT
ΤI
     Therapeutic uses of PPAR mediators as ABC-1 expression modulators, and
     preparation thereof
IN
     Jaye, Michael; Duverger, Nicolas; Searfoss, George; Minnich, Anne
     Aventis Pharma Deutschland G.m.b.H., Germany
PA
SO
     PCT Int. Appl., 176 pp.
     CODEN: PIXXD2
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L5
     135:5455 MARPAT
AN
ΤI
     Preparation of hydroxamic acids as inhibitors of histone deacetylase
     Delorme, Daniel; Ruel, Rejean; Lavoie, Rico; Thibault, Carl; Abou-khalil,
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     Methylgene, Inc., Can.
SO
     PCT Int. Appl., 147 pp.
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PRAI US 1999-167035P 19991123
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RE.CNT 15
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L5
     ANSWER 18 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
     134:348284 MARPAT
AN
ΤI
     Phenyl compounds to treat diabetes and associated conditions
     Neoqi, Partha; Naq, Bishwajit; Lakner, Frederick J.; Dey, Debendranath;
IN
     Medicherla, Satyanarayana
PΑ
     Calyx Therapeutics, Inc., USA
SO
     PCT Int. Appl., 47 pp.
     CODEN: PIXXD2
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     134:266316 MARPAT
AN
ΤI
     Preparation of quinazoline derivatives, method of preparation and use in
      inhibiting aurora 2 kinase
IN
     Mortlock, Andrew Austen; Keen, Nicholas John
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Astrazeneca AB, Swed.; Astrazeneca UK Limited
PA
SO
    PCT Int. Appl., 83 pp.
    CODEN: PIXXD2
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    134:507 MARPAT
AN
TI
    Anticancer agents containing prostaglandin E2 receptor subtype EP1
    antagonists as the active ingredient
    Wakabayashi, Keiji; Maruyama, Takayuki
IN
    Ono Pharmaceutical Co., Ltd., Japan; Japan as Represented by President of
PA
    National Cancer Center; The Organization for Pharmaceutical Safety and
    Research
    PCT Int. Appl., 30 pp.
SO
    CODEN: PIXXD2
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AN
    133:296281 MARPAT
     Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting
ΤI
    antiinflammatory and immune-suppressive compounds
    Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn,
IN
    Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong;
     Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae,
    Hwan-soo; Lynch, John K.
PA
    Abbott Laboratories, USA
SO
    PCT Int. Appl., 476 pp.
    CODEN: PIXXD2
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    133:89514 MARPAT
AN
     Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
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IN
    Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin;
     Xin, Zhili; Boyd, Steven A.; Jae, Hwan-Soo; Lynch, John K.; Zhu, Gui-Dong;
     Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.
PΑ
    Abbott Laboratories, USA
    PCT Int. Appl., 400 pp.
SO
    CODEN: PIXXD2
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     WO 1999-US31162 19991229
     ANSWER 23 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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     133:58815 MARPAT
AN
     Preparation of N-arylcarbonyl-8-(pyrrolopyrazinyl)pyrrologuinolines and
TI
     analogs as 5-HT receptor ligands
IN
     Gaster, Laramie Mary; Heightman, Tom Daniel
PA
     Smithkline Beecham Plc, UK
SO
     PCT Int. Appl., 50 pp.
     CODEN: PIXXD2
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     ANSWER 24 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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AN
     132:308547 MARPAT
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Method for the production and use of bile acid substituted phenyl alkenoyl
TТ
    guanidines as medicaments or diagnostic agents and of medicaments that
    contain them
    Weichert, Andreas; Enhsen, Alfons; Falk, Eugen; Jansen, Hans-Willi;
IN
    Kramer, Werner; Schwark, Jan-Robert; Lang, Hans Jochen
PA
    Aventis Pharma Deutschland G.m.b.H., Germany
SO
    PCT Int. Appl., 46 pp.
    CODEN: PIXXD2
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    WO 2000024761 A1 20000504 WO 1999-EP7828 19991015
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     132:180568 MARPAT
AN
ΤI
     Preparation of 3-arylpyrazoles as herbicides.
IN
     Schallner, Otto; Linker, Karl-Heinz; Kluth, Joachim; Drewes, Mark Wilhelm;
     Feucht, Dieter; Pontzen, Rolf; Wetcholowsky, Ingo
PΑ
    Bayer A.-G., Germany
SO
    Ger. Offen., 20 pp.
     CODEN: GWXXBX
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PRAI DE 1998-19838706 19980826
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     US 2001-763429
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     ANSWER 26 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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     132:64106 MARPAT
AN
ΤI
     Preparation and formulation of propenyl cephalosporin derivatives for
     pharmaceutical use as antibiotics for the treatment and prophylaxis of
     infectious diseases
IN
     Angehrn, Peter; Goetschi, Erwin; Heinze-Krauss, Ingrid; Richter, Hans G.
     F.
PΑ
     F. Hoffmann-La Roche A.-G., Switz.
SO
     PCT Int. Appl., 103 pp.
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    130:47468 MARPAT
TI
    Hydroxamic acid compounds having anticancer and anti-parasitic properties
    Parsons, Peter Gordon: Fairlie, David
IN
PA
    The University of Queensland, Australia; The Queensland Institute of
    Medical Research
    PCT Int. Appl., 123 pp.
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    129:148825 MARPAT
AN
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    Preparation of 3-aryl acryloyl guanidine derivatives as Na+/H+ exchange
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IN
    Okazaki, Toshio; Kaku, Hideki; Kikuchi, Kazumi; Takanashi, Masahiro
PΑ
    Yamanouchi Pharmaceutical Co., Ltd., Japan; Merck Patent G.m.b.H.
SO
    Jpn. Kokai Tokkyo Koho, 18 pp.
    CODEN: JKXXAF
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    129:95327 MARPAT
     Preparation of sulfonamide and carboxamide derivatives as drugs
TI
    Ohuchida, Shuichi; Nagao, Yuuki
IN
     Ono Pharmaceutical Co., Ltd., Japan; Ohuchida, Shuichi; Nagao, Yuuki
PΑ
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     PCT Int. Appl., 305 pp.
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    ANSWER 32 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
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ΑN
    129:40989 MARPAT
ΤI
     Preparation of N-(2-oxoethyl) benzamides as cysteine protease inhibitors
IN
    Lubisch, Wilfried; Moeller, Achim; Treiber, Hans-Joerg
PA
     BASF A.-G., Germany
SO
    Ger. Offen., 34 pp.
     CODEN: GWXXBX
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      128:257229 MARPAT
AN
ΤI
      Preparation of aryl-substituted acrylamides with leukotriene B4 (LTB-4)
      receptor antagonist activity
IN
      Greenspan, Paul David; Fujimoto, Roger Aki
PA
      Novartis A.-G., Switz.; Greenspan, Paul David; Fujimoto, Roger Aki
SO
      PCT Int. Appl., 55 pp.
      CODEN: PIXXD2
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                THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L5
AN
      128:198602 MARPAT
ΤI
      Silver halide photographic material with improved light fastness, tone,
      and color formation
      Nishijima, Toyoki
ΙN
      Konica Co., Japan
PA
      Jpn. Kokai Tokkyo Koho, 19 pp.
SO
      CODEN: JKXXAF
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AN
    128:128008 MARPAT
TI
    Preparation of N-isothiazolylthioamides as pesticides
    Heil, Markus; Bretschneider, Thomas; Kleefeld, Gerd; Erdelen, Christoph
ΙN
PA
    Bayer A.-G., Germany
SO
    Ger. Offen., 20 pp.
    CODEN: GWXXBX
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ΑN
    128:88669 MARPAT
ΤI
    Preparation of diaryl antimicrobial agents
IN
    Kanojia, Ramesh M.; Demers, James P.; Hlasta, Dennis J.; Johnson, Sigmond
    G.; Klaubert, Dieter H.
PΑ
    Ortho Pharmaceutical Corp., USA
SO
    PCT Int. Appl., 60 pp.
    CODEN: PIXXD2
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- TI Preparation of 4-hydroxybenzopyran-2-ones and 4-hydroxycycloalkyl[b]pyran-2-ones useful to treat retroviral infections
- IN Tomich, Paul Kosta; Bohanon, Michael John; Turner, Steven Ronald; Strohbach, Joseph Walter; Thaisrivongs, Suvit; Thomas, Richard C.; Romines, Karen Rene; Yang, Chih-ping; Aristoff, Paul Adrian; Skulnick, Harvey Irving; Johnson, Paul D.; Gammill, Ronald B.; Zhang, Qingwei; Bundy, Gordon L.; Anderson, David John; et al.
- PA Pharmacia & Upjohn Co., USA
- SO U.S., 157 pp., Cont.-in-part of U.S. Ser. No. 169,302, abandoned. CODEN: USXXAM
- DT Patent
- LA English
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- TI Preparation of phenylalanine derivatives as endothelin antagonists
- IN Berryman, Kent Alan; Cheng, Xue-min; Doherty, Annette Marian; Edmunds, Jeremy John; Klutchko, Sylvester
- PA Warner-Lambert Co., USA
- SO U.S., 23 pp. CODEN: USXXAM
- DT Patent
- LA English
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PRAI	US 1995-369209	19950	105		

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- TI Acylated 4-amino- and 4-hydrazinopyrimidines and their use as pesticides
- IN Bretschneider, Thomas; Kleefeld, Gerd; Wernthaler, Konrad; Erdelen, Christoph; Stenzel, Klaus
- PA Bayer A.-G., Germany; Bretschneider, Thomas; Kleefeld, Gerd; Wernthaler, Konrad; Erdelen, Christoph; Stenzel, Klaus

SO PCT Int. Appl., 72 pp.

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    126:331322 MARPAT
ΤI
    Cinnamamides and their use as UV stabilizers
    Horn, Keith A.; Heath, Richard B.; Schwind, David B.
IN
    Alliedsignal Inc., USA
PA
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AN
TI
    Substituted cinnamic acid quanidides, process for their preparation, their
    use as cardiovascular medicament or diagnostic agent, as well as
    medicament containing them
    Schwark, Jan-Robert; Brendel, Joachim; Kleemann, Heinz-Werner; Lang,
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    Hans-Jochen; Weichert, Andreas; Albus, Udo; Scholz, Wolfgang
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    Hoechst A.-G., Germany
    Eur. Pat. Appl., 19 pp.
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    IL 118925
                   A1 20010808
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    SK 282018
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    CA 2182062
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    JP 09052823
                   A2 19970225
                                      JP 1996-196283 19960725
    HR 960356
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    BR 9603179
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                         20020409
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                   C2 20021010
    RU 2190601
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PRAI DE 1995-19527305 19950726
L5
    ANSWER 42 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
AN
    125:167598 MARPAT
ΤI
    Preparation and formulation of (tetrahydrotetramethylnaphthyloxy) naphthoat
    es and analogs for treatment of keratinization disorders
IN
    Bernardon, Jean-Michel
PΑ
    Centre International De Recherches Dermatologiques Galderma (C.I.R.D.
    Galderma), Fr.
SO
    Eur. Pat. Appl., 23 pp.
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- CODEN: EPXXDW
- DT Patent
- LΑ French
- FAN.CNT 1

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PI	ΕP	722928	A1	19960724	EP	1995-120073	19951219
	EΡ	722928	B1	19970806			
		R: AT, BE,	CH, DE	, ES, FR,	GB, IT, I	LI, NL, SE	
	FR	2729664	A1	19960726	FR	1995-659	19950120
	FR	2729664	B1	19970221			
	AT	156474	E	19970815	AT	1995-120073	19951219
	ES	2111364	<b>T</b> 3	19980301	ES	1995-120073	19951219
	ΑU	9640794	A1	19960815	AU	1996-40794	19960104
	AU	684405	B2	19971211			
	CA	2167651	AA	19960721	CA	1996-2167651	19960119
	CA	2167651	C	20010313			
	JΡ	08245475	A2	19960924	JP	1996-7863	19960119
	US	5763487	A	19980609	US	1996-589388	19960122
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PRAI	FR	1995-659	19950	120			

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    US 1998-5601
                   19980109
    ANSWER 43 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
    125:114495 MARPAT
AN
ΤI
    Pesticidal pyridine thioamides
ΙN
    Walter, Harald; Zambach, Werner
PΑ
    Ciba-Geigy A.-G., Switz.
SO
    PCT Int. Appl., 74 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    WO 9614201 APPLICATION NO. DATE
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    WO 9614301 A1 19960517 WO 1995-EP4176 19951025
PΙ
        W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP,
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            PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN
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           BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                   A1 19960531 AU 1995-38691 19951025
A1 19970827 EP 1995-937839 19951025
    AU 9538691
    EP 790983
        R: CH, DE, FR, GB, LI
    JP 10508590 T2 19980825 JP 1995-515001
ZA 9509366 A 19960529 ZA 1995-9366
                                                       19951025
                                                       19951106
PRAI CH 1994-3322
                    19941107
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    ANSWER 44 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    124:232073 MARPAT
ΤI
    preparation of naphthalene derivatives as antiallergics
    Takenouchi, Kazuya; Takahashi, Katsushi; Hasegawa, Masaichi; Takeuchi,
IN
    Takahiro; Komoriya, Keiji
PA
    Teijin Ltd., Japan
SO
    PCT Int. Appl., 110 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    Japanese
FAN.CNT 1
                KIND DATE APPLICATION NO. DATE
    PATENT NO.
    ______
                                       -----
    WO 9532943 A1 19951207
                                      WO 1995-JP1035 19950530
PΙ
        W: AU, CA, CN, JP, KR, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
    CA 2190992
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    AU 9525385
                    A1
                         19951221
                                       AU 1995-25385
                                                       19950530
                    B2
    AU 687202
                         19980219
                   A1
    EP 763523
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                                                       19950530
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    EP 763523
       R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE
    CN 1153511 A 19970702 CN 1995-194251 19950530
    CN 1048239
                   B 20000112
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    AT 185551
                         19991015
                                      AT 1995-919663 19950530
                   T3 20000101
B 20001211
A 19990831
    ES 2138206
TW 414788
                                       ES 1995-919663 19950530
                   В
                                       TW 1995-84105445 19950530
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PRAI JP 1994-118267 19940531
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09541795.8 Page 29

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    WO 1995-JP1035 19950530
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L5
    123:69846 MARPAT
AN
TI
    Diphenylamine compounds
    Beckmann, Stefan; Etzbach, Karl-Heinz; Sens, Ruediger
IN
PA
    BASF A.-G., Germany
SO
    Ger. Offen., 11 pp.
    CODEN: GWXXBX
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LΑ
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FAN.CNT 1
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                                     APPLICATION NO. DATE
    ----- RIND DATE
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PΙ
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                                     WO 1994-EP3330 19941010
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                   A1 19960807
                                      EP 1994-928882 19941010
       R: CH, DE, FR, GB, IT, LI, NL
    JP 09505331 T2 19970527
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    US 5696243
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PRAI DE 1993-4335496 19931019
    WO 1994-EP3330 19941010
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    ANSWER 46 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
AN
    121:230784 MARPAT
ΤI
    Preparation of 2-benzoylpyrimidine derivatives as herbicides and
    agrochemical fungicides
    Yamada, Hirokazu; Tanaka, Katsunori; Adachi, Hiroyuki; Yamada, Shigeo;
IN
    Shimoda, Susumu
    Nippon Soda Co., Ltd., Japan
PA
    PCT Int. Appl., 200 pp.
SO
    CODEN: PIXXD2
DT
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    Japanese
LΑ
FAN.CNT 1
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    PATENT NO.
                KIND DATE
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    WO 9408975 A1 19940428 WO 1993-JP1478 19931014
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        W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP,
           KR, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK,
           UA, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
           BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                  A1 19940509 AU 1993-51611 19931014
A1 19950802 EP 1993-922632 19931014
    AU 9351611
    EP 665224
       R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
               A 19990511 BR 1993-7264
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                                                     19931014
                                 JP 1993-282006
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PRAI JP 1992-304622 19921016
                   19930528
    JP 1993-28313
    JP 1993-154303
                  19930601
    WO 1993-JP1478 19931014
    ANSWER 47 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
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AN
    121:69078 MARPAT
ΤI
    Organic nonlinear optical material containing (thio)carbonyl- or
    sulfone-substituted benzene derivatives
    Yamamoto, Hironobu; Roberuto, Jonson; Funato, Satoru; Uerunaaru, Purasu;
ΙN
    Tokida, Akihiko; Yo, Tsutomu; Donarudo, Ruho
PΑ
    Hoechst Japan, Japan
    Jpn. Kokai Tokkyo Koho, 23 pp.
SO
    CODEN: JKXXAF
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LΑ
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FAN.CNT 1
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                  KIND DATE
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    JP 06018946 A2 19940128
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                                      JP 1992-304124 19921113
PRAI JP 1992-112784 19920501
L5
    ANSWER 48 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
    121:57342 MARPAT
AN
    Process for the preparation of 4-substituted-1,4-dihydropydrines
TI
IN
    Auerbach, Joseph
PA
    Merck and Co., Inc., USA
    U.S., 13 pp. Cont.-in-part of U.S. Ser. No. 759,026, abandoned.
SO
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 2
    PATENT NO. KIND DATE
                                      APPLICATION NO. DATE
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    US 5310917 A 19940510 US 1992-920701 19920728
WO 9306082 A1 19930401 WO 1992-US7220 19920826
PΙ
        W: BG, CS, FI, HU, NO, PL, RO, RU
    IL 103010 A1 19961031 IL 1992-103010 19920901
    EP 534520
                    A2 19930331
                                      EP 1992-202690 19920905
    EP 534520 A3 19930505
EP 534520 B1 19970319
       R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
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    ES 2101027
                    T3 19970701
                                      ES 1992-202690 19920905
    JP 05221984
                   A2 19930831
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    JP 07051562
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                                      CA 1992-2077919 19920910
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                    B2 19941103
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                                       CN 1992-110385 19920911
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                        19930414
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19980920
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    ZA 9206935
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                    В
                                      LV 1998-44
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PRAI US 1991-759026 19910913
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OS
    CASREACT 121:57342
    ANSWER 49 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    120:270460 MARPAT
ΤI
    [(Benzodioxolyl)methyl]propenoates and their uses as endothelin receptor
    antagonists
IN
    Bryan, Deborah Lynne; Elliot, John Duncan
PA
    Smithkline Beecham Corp., USA
SO
    PCT Int. Appl., 44 pp.
    CODEN: PIXXD2
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DT
     Patent
LΑ
     English
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     WO 9402474 A1 19940203 WO 1993-US6667 19930715
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         W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
     AU 9346797 A1 19940214 AU 1993-46797 19930715
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                      A 19940629 CN 1993-116592 19930717
A 19960924 US 1995-374544 19950117
     CN 1088581
US 5559105
PRAI US 1992-916051 19920717
     US 1993-49606 19930419
     WO 1993-US6667 19930715
     ANSWER 50 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L_5
AN
     120:245129 MARPAT
     Preparation of 3,3-diaryl acrylic acid amides
ΤI
IN
     Curtze, Juergen
     Shell Internationale Research Maatschappij B. V., Neth.
PΑ
SO
     PCT Int. Appl., 15 pp.
     CODEN: PIXXD2
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     WO 9401424 A1 19940120 WO 1993-EP1803 19930708
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             SE, SK, UA, US, VN
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE,
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                            19970415 IL 1993-106122 19930624
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     AU 9345672
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     AU 669921
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     EP 649420
                       A1
                             19950426
                                            EP 1993-915866 19930708
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     EP 649420
                             19970502
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
     JP 07508743 T2 19950928 JP 1993-502978 19930708
     HU 71981
                       A2
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                      В
     HU 219133
                             20010228
                      B6 19970514
     CZ 282167
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                                             AT 1993-915866 19930708
     AT 152449
                             19970515
    ES 2102662 T3 19970801
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                                             ES 1993-915866 19930708
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     US 5495019 A 19960227 US 1995-362450 19950316
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PRAI EP 1992-111746
                  19920710
    WO 1993-EP1803 19930708
    CASREACT 120:245129
OS
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    ANSWER 51 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
    120:178118 MARPAT
AN
TI
    Silver halide photographic material
    Tamura, Yoko
IN
PA
    Fuji Photo Film Co Ltd, Japan
SO
    Jpn. Kokai Tokkyo Koho, 30 pp.
    CODEN: JKXXAF
DT
    Patent
    Japanese
LА
FAN.CNT 1
    PATENT NO. KIND DATE
                                    APPLICATION NO. DATE
                                     ______
    JP 05289238
                   A2 19931105 JP 1992-114326 19920408
PRAI JP 1992-114326 19920408
    ANSWER 52 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
AN
   119:138979 MARPAT
ΤI
    Preparation of 2-[(1,2,3-triazolylmethyl)phenyl]carbapenems as
    antibacterial agents
IN
    Schmitt, Susan M.
    Merck and Co., Inc., USA
PA
    U.S., 23 pp. Cont. of U.S. Ser. No. 793,270, abandoned.
SO
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO. KIND DATE APPLICATION NO. DATE
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   US 5208229
                   A 19930504 US 1992-859599 19920323
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PRAI US 1990-619647 19901129
    US 1991-793270 19911113
L5
    ANSWER 53 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
AΝ
    119:116977 MARPAT
    Preparation and use of styrene derivatives as neoplasm inhibitors
ΤI
IN
    Kitano, Yasunori; Takayanaqi, Hisao; Sugawara, Koichi; Hara, Hiroto;
    Nakamura, Hideo; Oshino, Toshiko
PA
    Mitsubishi Kasei Corp., Japan
    Eur. Pat. Appl., 48 pp.
SO
    CODEN: EPXXDW
DT
    Patent
LΑ
    English
FAN. CNT 1
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                                    APPLICATION NO. DATE
    PATENT NO.
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    EP 537742 A2 19930421
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                   A3 19930512
                       19960821
    EP 537742
                   В1
       R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
    JP 05301838 A2 19931116 JP 1992-266027 19921005
                   AA 19930416
T3 19970101
A 19960507
    CA 2080554
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    ES 2093753
US 5514711
                                     ES 1992-117632 19921015
                                      US 1995-369263 19950105
PRAI JP 1991-266461 19911015
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JP 1992-266027 19921005
    US 1992-961315 19921015
    ANSWER 54 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    119:95345 MARPAT
ΤI
    Process for the preparation of 4-aryl-1,4-dihydropyridine-3,5-
    dicarboxylates
IN
    Auerbach, Joseph
PA
    Merck and Co., Inc., USA
SO
    Eur. Pat. Appl., 28 pp.
    CODEN: EPXXDW
DT
    Patent
LΑ
    English
FAN.CNT 2
    PATENT NO. KIND DATE APPLICATION NO. DATE
    PATENT NO.
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PΙ
    EP 534520 A2 19930331
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    EP 534520 A3 19930505
EP 534520 B1 19970319
       R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
    US 5310917 A 19940510 US 1992-920701 19920728
PRAI US 1991-759026 19910913
    US 1992-920701 19920728
    ANSWER 55 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    118:244465 MARPAT
ΤI
    Silver halide photographic light-sensitive material
    Matushita, Tetunori
IN
PA
    Fuji Photo Film Co., Ltd., Japan
SO
    Eur. Pat. Appl., 74 pp.
    CODEN: EPXXDW
DT
    Patent
    English
LΑ
FAN.CNT 1
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    EP 508432 A1 19921014
EP 508432 B1 19980325
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       R: DE, FR, GB, NL
    JP 04311952 A2 19921104
                                     JP 1991-103584 19910410
                        19931130
    US 5266453
                   A
                                     US 1992-866517 19920410
PRAI JP 1991-103584 19910410
    ANSWER 56 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    116:13416 MARPAT
AN
    Pressure- and heat-sensitive recording materials with good sensitivity,
ΤI
    storability and image stability
IN
    Sano, Masajiro; Takashima, Masanobu; Satomura, Masato
PA
    Fuji Photo Film Co., Ltd., Japan
SO
    Jpn. Kokai Tokkyo Koho, 11 pp.
    CODEN: JKXXAF
DT
    Patent
LΑ
    Japanese
FAN.CNT 1
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PΙ
   JP 03142277 A2 19910618 JP 1989-282319 19891030
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PRAI JP 1989-282319 19891030

L5 ANSWER 57 OF 62 MARPAT COPYRIGHT 2003 ACS on STN

AN 115:8580 MARPAT

TI Preparation of basic 4-aryldihydropyridinamides as pharmaceutical agents

IN Stoltefuss, Juergen; Schwenner, Eckhard; Gross, Rainer; Hebisch, Siegbert; Schramm, Matthias; Bechem, Martin; Hirth, Claudia; Stasch, Johannes Peter

PA Bayer A.-G., Germany

SO Ger. Offen., 32 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN. CNT 1

ran.v	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 3833892	A1	19900412	DE 1988-3833892	19881005
	NO 8903756	A	19900406	NO 1989-3756	19890921
	EP 362632	A2	19900411	EP 1989-117494	19890921
	EP 362632	A3	19901107		
	R: AT, BE	CH, DE	, ES, FR, GB,	GR, IT, LI, LU, NL	, SE
	US 5015650	A	19910514	US 1989-413365	19890927
	CA 2000081	AA	19900405	CA 1989-2000081	19891003
	FI 8904677	A	19900406	FI 1989-4677	19891003
	DD 296683	<b>A</b> 5	19911212	DD 1989-342972	19891003
	DD 297813	A5	19920123	DD 1989-333272	19891003
	DK 8904898	A	19900406	DK 1989-4898	19891004
	ZA 8907532	A	19900627	ZA 1989-7532	19891004
	AU 8942609	A1	19900412	AU 1989-42609	19891005
	AU 616801	B2	19911107		
	CN 1041758	A	19900502	CN 1989-107734	19891005
	HU 52055	A2	19900628	HU 1989-5229	19891005
	JP 02169572	A2	19900629	JP 1989-258935	19891005
PRAI	DE 1988-3833892	19881	005		

L5 ANSWER 58 OF 62 MARPAT COPYRIGHT 2003 ACS on STN

AN 114:159137 MARPAT

TI Formulation of fungicides with polymers

IN Friedrichs, Edmund; Albert, Guide

PA Shell Internationale Research Maatschappij B. V., Neth.

SO Ger. Offen., 8 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE	
ΡI	DE 3903247	A1	19900809	DE 1989-3903247 198902	03
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	ZA 9000628	A	19901031	ZA 1990-628 199001	29
	EP 381290	A2	19900808	EP 1990-200223 199001	30
	EP 381290	A3	19910417		
	EP 381290	B1	19950503		
	R: AT	, BE, CH, DE	E, DK, ES, 1	FR, GB, GR, IT, LI, LU, NL	
	AT 121902	E	19950515	AT 1990-200223 199001	30
	ES 2071743	Т3	19950701	ES 1990-200223 199001	30
	AU 9048950	A1	19900809	AU 1990-48950 199001	31
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	JP 0225080	6 A2	19901008	JP 1990-22353 199002	02

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L5 ANSWER 59 OF 62 MARPAT COPYRIGHT 2003 ACS on STN

AN 114:81892 MARPAT

TI Preparation of herbicidal triazinediones

IN Theodoridis, George

PA FMC Corp., USA

SO U.S., 10 pp. CODEN: USXXAM

DT Patent

LA English

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 4956004	A	19900911	US 1989-350053	19890510
PRAI	US 1989-350053	19890	510		

- L5 ANSWER 60 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
- AN 112:76970 MARPAT
- TI Preparation of (acylamino)indolinones and -quinolinanes as blood platelet aggregation inhibitors
- IN Zilch, Harald; Mertens, Alfred; Von der Saal, Wolfgang; Boehm, Erwin; Strein, Klaus
- PA Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
- SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PAT	CENT NO.		KIND	DATE		AP	PLICATION NO	. DATE
PI	DK	3803775 8900492 327986		A1 A A2	1989081 1989081 1989081	)	DK	1988-380377 1989-492 1989-101868	19890202
	EP	327986		A3	1992010	3			
		R: AT,	BE,	CH, D	E, ES, FR	GB,	GR,	IT, LI, LU,	NL, SE
	US	4985448		Α	1991011	5	US	1989-307417	19890206
	HU	50118		A2	1989122	3	HU	1989-578	19890207
	DD	283376		A5	1990101	)	DD	1989-325587	19890207
	AU	8929741		A1	1989081	)	ΑU	1989-29741	19890208
	AU	617760		B2	1991120	5			
	FI	8900605		A	1989081	)	FI	1989-605	19890208
	ZA	8900958		A	1989102	5	ZA	1989-958	19890208
	JP	01250352		A2	1989100	5	JP	1989-28819	19890209
	US	5373019		A	1994121	3	US	1991-640445	19910111
PRAI	DE	1988-3803	3775	1988	0209				

US 1989-307417 19890206

- OS CASREACT 112:76970
- L5 ANSWER 61 OF 62 MARPAT COPYRIGHT 2003 ACS on STN
- AN 111:115180 MARPAT
- TI Preparation of 6,7-dihydro-3H,5H-pyrrolo[2,3-f]benzimidazol-6-ones as cardiovascular agents
- IN Mertens, Alfred; Hoelck, Jens Peter; Kampe, Wolfgang; Mueller-Beckmann, Bernd; Strein, Klaus; Schaumann, Wolfgang
- PA Boehringer Mannheim G.m.b.H., Fed. Rep. Ger.
- SO U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 807,260. CODEN: USXXAM

09541795.8 Page 36 DTPatent LΑ English FAN.CNT 2 PATENT NO. KIND DATE APPLICATION NO. DATE ----------US 4810801 A 19890307 US 1987-103895 19871001 DE 3445669 A1 19860619 DE 1984-3445669 19841214 US 4710510 A 19871201 US 1985-807260 19851210 PΤ PRAI DE 1984-3445669 19841214 US 1985-807260 19851210 OS CASREACT 111:115180 ANSWER 62 OF 62 MARPAT COPYRIGHT 2003 ACS on STN L5 110:172892 MARPAT AN ΤI Process for the preparation of 3,3-diarylacrylamides as agrochemical fungicides IN Curtze, Juergen PA Shell Internationale Research Maatschappij B. V., Neth. SO Eur. Pat. Appl., 10 pp. CODEN: EPXXDW DTPatent LΑ English FAN.CNT 1 EP 294907 \*\*\* APPLICATION NO. DATE -----EP 294907 A1 19881214 EP 1988-201191 19880609 EP 294907 B1 19940601 R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE

PΤ 

 R:
 AT, BE, CH, DE, ES, FR, GB, GR, TT, LI, LU, NL, SE

 DE 3719488
 A1 19881229
 DE 1987-3719488 19870611

 US 4933449
 A 19900612
 US 1988-200856 19880601

 BR 8802830
 A 19890103
 BR 1988-2830 19880609

 JP 01025750
 A2 19890127 JP 1988-140675 19880609

 JP 08022840
 B4 19960306

 CN 1038810
 A 19900117 CN 1988-103469 19880609

 CN 1020727
 B 19930519

 AT 106397
 E 19940615 AT 1988-201191 19880609

 ES 2053707
 T3 19940801 ES 1988-201191 19880609

 PRAI DE 1987-3719488 19870611

EP 1988-201191 19880609

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FILE 'REGISTRY' ENTERED AT 07:55:37 ON 25 AUG 2003 L1STRUCTURE UPLOADED

282 S L1 SSS FULL L2

FILE 'CAOLD' ENTERED AT 07:56:36 ON 25 AUG 2003

FILE 'REGISTRY' ENTERED AT 07:56:42 ON 25 AUG 2003 L3 282 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 07:56:43 ON 25 AUG 2003 0 S L3 SSS FULL L4

09541795.8 Page 37

FILE 'MARPAT' ENTERED AT 07:56:56 ON 25 AUG 2003 L5 62 S L2 SSS FULL

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FULL ESTIMATED COST 114.37 412.08

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FILE COVERS 1907 - 25 Aug 2003 VOL 139 ISS 9 FILE LAST UPDATED: 24 Aug 2003 (20030824/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L6 19 L2

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- L6 ANSWER 1 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2003:368903 CAPLUS
- DN 138:368609
- TI Preparation of phenyl sulfones or sulfoxides as telomerase inhibitors for antitumor agents
- IN Kanda, Hiroshi; Nakatsu, Rieko; Asai, Akiyoshi; Yamashita, Nobunori
- PA Kyowa Hakko Kogyo Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 10 pp. CODEN: JKXXAF
- DT Patent
- LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡΙ	JP 2003137861	A2	20030514		20011106 20011106		

OS MARPAT 138:368609

IT 524054-52-2P 524054-53-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of Ph sulfones or sulfoxides as telomerase inhibitors for

antitumor agents)

RN 524054-52-2 CAPLUS

CN 2-Propenamide, 3-[4-[(4-bromophenyl)sulfinyl]-3-nitrophenyl]-N-propyl-(9CI) (CA INDEX NAME)

RN 524054-53-3 CAPLUS

CN 2-Propenamide, 3-[4-[(4-bromophenyl)sulfinyl]-3-nitrophenyl]-N-hexyl-(9CI) (CA INDEX NAME)

$$CH = CH - C - NH - (CH2)5 - Me$$

Br

 $NO_2$ 

# IT 524054-61-3P 524054-62-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of Ph sulfones or sulfoxides as telomerase inhibitors for antitumor agents)

RN 524054-61-3 CAPLUS

CN 2-Propenamide, 3-[4-[(4-bromophenyl)thio]-3-nitrophenyl]-N-propyl- (9CI) (CA INDEX NAME)

RN 524054-62-4 CAPLUS

CN 2-Propenamide, 3-[4-[(4-bromophenyl)thio]-3-nitrophenyl]-N-hexyl- (9CI) (CA INDEX NAME)

GI

AΒ The compds. I [R1 = NO2, cyano, NH2, lower alkanoylamino, etc.; R2 = CR2a:CR2bCOR2c; R2a, R2b = H, (un)substituted lower alkyl; R2c = OH, (un) substituted lower alkoxy, amino, lower alkylamino, etc.; R3 = (un) substituted aryl; n = 1-2] or their pharmaceutically acceptable sats are prepd. A sulfide I (R1 = CH:CHCO2CMe3 at p-position, R2 = NO2 at m-position, R3 = p-MePh, n = 0) was treated with m-chloroperbenzoic acid in CH2Cl2-MeOH at room temp. for 1 h to give 81% I (R1 = CH:CHCO2CMe3 at p-position, R2 = NO2 at m-position, R3 = p-MePh, n = 1), showing good inhibitory activity against telomerase in human kidney cancer cell strain.

ANSWER 2 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN L6

2003:348788 CAPLUS AN

DN 138:353993

Preparation of benzimidazole derivatives as prodrugs of proton pump TIinhibitors

ΙN Garst, Michael E.; Sachs, George; Shin, Jai Moo

Regents of the University of California, USA; The United States Department PΑ of Veteran Affairs; Winston Pharmaceuticals, LLC

SO U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 364,381, abandoned. CODEN: USXXAM

DT Patent

English LΑ

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡΙ	US 6559167	B1	20030506	US 2001-783807 20010214 US 1998-131481 A219980810 US 1999-364381 B219990729
	US 6093734 NT FAMILY INFORMA 2000:133673	A ATION:	20000725	US 1998-131481 19980810
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	WO 2000009498	A1	20000224	WO 1999-US18048 19990809
	CZ, DE,	DK, DM	, EE, ES,	BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,

8/25/2003> Patel

		SL,	TJ,	TM,	TR,	MX, TT, TM											
	RW:	GH, ES,	GM, FI,	FR,	LS, GB,	MW, GR, GW,	ΙE,	ΙΤ,	LU, NE,	MC, SN,	NL, TD,	PT, TG	SE,		ВJ,		
	6093 2338			A A		2000±			US CZ US US	5 19 A 19 S 19 S 19	98-1: 99-2: 98-1: 99-3:	3148: 3383: 3148: 5438:	1 11 1 A 1 A	1999 1998 1999 1998 1999	0810 0809 0810 0729		
	9955 7522			A B		2000			Αl	J 19	99-5!	5518		1999	0809		
BR	9912	937		A		2001	0508		US WO BI US	5 19 D 19 R 19 S 19	99-30 99-U 99-1 98-1	5438: 51804 2937 3148:	1 A 48W 1 A	1998 1999 1999 1999 1998 1999	0729 0809 0809 0810		
	1105 1105 R:	387 AT,	BE,	CH,	DE,	2001 2003 DK, FI,	0129 ES,	FR,	El	P 19	99-94	4205	7	1999 1999 NL,	0809	MC,	PT,
NZ	5101	80		A		2002	1126		US WO NZ US	S 19 D 19 Z 19 S 19	99-30 99-00 99-5 98-1	54383 51804 10180 31483	1 A 48W 0 1 A	1998 1999 1999 1999 1998 1999	0729 0809 0809 0810		
ΑT	2318	57		E		2003	0215		W( A: U	Ο 19 Γ 19 S 19	99-U: 99-9: 98-1:	51804 4205 3148	48W 7 1 A	1999 1999 1998	0809 0809 0810		
BG	1051	91		A		2001	1231		W( B( U)	0 19 G 20 S 19	99-U 01-1 98-1	S1804 0519: 3148:	48W 1 1 A	1999 1999 2001 1998 1999	0809 0126 0810		
FI	2001	0002	48	A		2001	0209		F: Us	I 20 S 19	01-2 98-1	48 3148:	1 A	1999 2001 1998 1999	0209 0810		
NO	2001	0006	93	A		2001	0305		NO US	20 5 19	01-6: 98-1:	93 3148:	1 A	1999 2001 1998 1999	0209 0810		
HR	2001	0001	06	A	1	2002	0228		W HI US US	D 19 R 20 S 19 S 19	99-U 01-1 98-1 99-3	51804 06 3148: 5438:	48W 1 A 1 A	1999 2001 1998 1999	0809 0209 0810 0729		
M7AT	ידי אם כ	120.	3530	0.2					W	19 ر	99-U	31804	48W	1999	0809		

OS MARPAT 138:353993

IT 519182-92-4P 519182-93-5P 519182-94-6P 519182-95-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(prepn. of benzimidazole derivs. as prodrugs of proton pump inhibitors)

RN 519182-92-4 CAPLUS

CN 2-Propenamide, 3-[4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 519182-93-5 CAPLUS

CN 2-Propenamide, 3-[4-[[6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 519182-94-6 CAPLUS

CN 2-Propenamide, 3-[4-[[5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

RN 519182-95-7 CAPLUS

CN 2-Propenamide, 3-[4-[[6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazol-1-yl]sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

GI

The title compds. Het1XSOHet2 [I; Het1 = II; X = CHR10; Het2 = III; R1-R3 = H, alkyl, fluoroalkyl, etc.; R6-R9 = H, alkyl, haloalkyl, etc.; R10 = H, alkyl; R15 = SO2R21(R17); R17 = alkyl, haloalkyl, alkoxy, etc.; R21 = (un)substituted aralkyl, heteroarylalkyl] which are prodrugs of the pyridyl Me sulfinyl benzimidazole type proton pump inhibitor drugs having a hydrolyzable arylsulfonyl or heteroarylsulfonyl group attached to the benzimidazole nitrogen, were prepd. Thus, reacting 2-({[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl}sulfinyl)-1H-benzimidazole with pyridine-3-sulfonyl chloride in the presence of Et3N in CH2Cl2 afforded the title compd. IV. The prodrugs I hydrolyze under physiol. conditions to provide the proton pump inhibitors with a half life measurable in hours, and are capable of providing sustained plasma concns. of the proton pump inhibitor drugs for longer time than presently used drugs. The

Patel

09541795.8 Page 43

invention (I) under physiol. conditions allows for more effective treatment of several diseases and conditions caused by gastric acid secretion (e.q., ulcers). Biol. data for compds. I were given. THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 47 ALL CITATIONS AVAILABLE IN THE RE FORMAT L6 ANSWER 3 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN ΑN 2002:293978 CAPLUS DN 136:337341 TI Materials and methods to modulate ligand binding/enzymic activity of .alpha./.beta. proteins containing an allosteric regulatory site ΙN Stauton, Donald E. PA Icos Corporation, USA PCT Int. Appl., 163 pp. SO CODEN: PIXXD2 DT Patent LΑ English FAN.CNT 1 APPLICATION NO. DATE PATENT NO. KIND DATE --------- -----------A2 A3 WO 2001-US32047 20011012 PΙ WO 2002031511 20020418 WO 2002031511 20030313 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2000-239750PP 20001012 AU 2002013196 Α5 20020422 AU 2002-13196 20011012 US 2000-239750PP 20001012 WO 2001-US32047W 20011012 US 2003088061 **A**1 20030508 US 2001-976935 20011012 US 2000-239750PP 20001012 EP 1325341 A2 20030709 EP 2001-981560 20011012 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR US 2000-239750PP 20001012 WO 2001-US32047W 20011012 IT 415717-88-3 415718-54-6 RL: BSU (Biological study, unclassified); BIOL (Biological study) (materials and methods to modulate ligand binding/enzymic activity of .alpha./.beta. proteins contg. allosteric regulatory site) RN 415717-88-3 CAPLUS CN 2-Propenamide, 3-[2,3-dichloro-4-[[3-[4-(1-pyrrolidiny1)-1piperidinyl]phenyl]thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

generation of the proton pump inhibitor drugs from the prodrugs of the

RN 415718-54-6 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(1-methyl-1H-indol-5-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

ΑB Methods of modulating binding between an .alpha./.beta. protein and a binding partner are provided, along with methods of identifying modulators and their use. The methods comprise contacting the .alpha./.beta. protein with an allosteric effector mol. which binds to an allosteric site of the .alpha./.beta. protein and alters the conformation of the .alpha./.beta. protein such that the binding of the .alpha./.beta. protein to a binding partner is modulated. Thus, a primary screen for inhibitors of the classical pathway complement protein C2 and alternative pathway complement protein factor B involving modifications of std. hemolytic CH50 and AH50 assays in a microtiter plate format was carried out. Lead compds. identified in this screen were submitted to a second screening using purified complement proteins to det. which stage of complement activation the compds. inhibited. Five diaryl sulfides were identified. Numerous other assays, e.g., to identify inhibitors of integrin .alpha.E.beta.y interaction with E cadherin, inhibitors of Rac1 GDP-GTP exchange, or antagonists of E. coli 6-hydroxymethyl-7,8-dihydropterin pyrophosphokinase, were conducted as well.

L6 ANSWER 4 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2001:850646 CAPLUS

DN 135:371527

TI Preparation of bisacylguanidine with cardioprotective activity

IN Gericke, Rolf; Beier, Norbert

PA Merck Patent G.m.b.H., Germany

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO. DATE	
					<del>-</del>
ΡI	DE 10024319	A1	20011122	DE 2000-10024319 2000	0517
	WO 2001087829	A1	20011122	WO 2001-EP4425 2001	0419

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

OS CASREACT 135:371527; MARPAT 135:371527

IT 374681-65-9P 374681-67-1P 374681-68-2P 374681-70-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of cardioprotective bisacylguanidines that work as inhibitors of the cellular Na+/H+ antiporters)

RN 374681-65-9 CAPLUS

CN 2-Propenamide, N-(aminoiminomethyl)-3-[2-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]thio]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

### •2 HCl

RN 374681-67-1 CAPLUS

CN 2-Propenamide, N-(aminoiminomethyl)-3-[2-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]sulfonyl]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

2 HCl

09541795.8

Page 46

RN 374681-68-2 CAPLUS

CN 2-Propenamide, N-(aminoiminomethyl)-3-[3-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]sulfonyl]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

# ●2 HCl

RN 374681-70-6 CAPLUS

CN 2-Propenamide, N-(aminoiminomethyl)-3-[3-[[4-[3-[(aminoiminomethyl)amino]-2-methyl-3-oxo-1-propenyl]phenyl]thio]phenyl]-2-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HCl

GΙ

Ι

$$H_2N$$
 $NH_2$ 
 $CH_2-CH_2$ 
 $NH_2$ 
 $NH_2$ 

Bisacylguanidines I [one of R1, R2, R3, R4 or R5 = CON:C(NH2)2, CH:CMeCON:C(NH2)2 and one of R6, R7, R8, R9 or R10 = CON:C(NH2)2, CH:CMeCON:C(NH2)2; the other R1 - R10 = H, A, CH, F, Cl, Br, I, SA, OA, SO2A, OH, NH2, NHA, NA2, COA, (un)substituted Ph, CH2Ph, OPh, N-, S-, O-contg. heterocycle; X = S, SO2, (CH2)n, CO,O, OCH2; A = C1-8-alkyl; n = 1 - 3] and their physiol. harmless salts and/or solvates, with cardioprotective characteristics and works as inhibitors of the cellular Na+/H+ antiporters of the Subtyp 1 are described. Thus, N-{3-[2-(3-guanidinocarbonylphenyl)ethyl]benzoyl}guanidine dihydrochloride (II.cntdot.HCl), was prepd. from 3-[2-(3-carboxyphenyl)ethyl]benzoic acid and Boc-guanidine in 1-methyl-2-pyrrolidone contg. 2-chloro-1-methylpyridinium iodide and Et2NCHMe2, followed by hydrolysis with aq. HCl. Formulations for use in injections, suppositories, solns., tablets, capsules and ampules are given.

- L6 ANSWER 5 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2001:555592 CAPLUS
- DN 135:282681
- TI Discovery of Potent Antagonists of Leukocyte Function-Associated Antigen-1/Intercellular Adhesion Molecule-1 Interaction. 3. Amide (C-Ring) Structure-Activity Relationship and Improvement of Overall Properties of Arylthio Cinnamides
- AU Pei, Zhonghua; Xin, Zhili; Liu, Gang; Li, Yihong; Reilly, Edward B.; Lubbers, Nathan L.; Huth, Jeffery R.; Link, James T.; von Geldern, Thomas W.; Cox, Bryan F.; Leitza, Sandra; Gao, Yi; Marsh, Kennan C.; DeVries, Peter; Okasinski, Greg F.
- CS Departments of Metabolic Disease Research Integrative Pharmacology Advanced Technology and Drug Analysis Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064, USA
- SO Journal of Medicinal Chemistry (2001), 44(18), 2913-2920 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- IT 280748-73-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses) (discovery of potent antagonists of LFA-1/ICAM-1 interaction. 3. amide SAR and improvement of overall properties of arylthic cinnamides)

RN 280748-73-4 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

AB The interaction of LFA-1 and ICAM-1 plays an important role in the cell adhesion process. On the basis of previously reported SAR and structural information on the binding of our p-arylthiocinnamide series to LFA-1, we have identified the cyclic amide (C-ring) as a site for modification. Improvement in potency and, more importantly, in the phys. properties and pharmacokinetic profiles of the leading compds. resulted from this modification. One of the best compds. (11f) is also shown to reduce myocardial infarct size in rat.

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:736318 CAPLUS

DN 134:25112

TI Discovery of Novel p-Arylthio Cinnamides as Antagonists of Leukocyte Function-Associated Antigen-1/Intracellular Adhesion Molecule-1 Interaction. 1. Identification of an Additional Binding Pocket Based on an Anilino Diaryl Sulfide Lead

AU Liu, Gang; Link, J. T.; Pei, Zhonghua; Reilly, Edward B.; Leitza, Sandra; Nguyen, Bach; Marsh, Kennan C.; Okasinski, Gregory F.; von Geldern, Thomas W.; Ormes, Mark

CS Metabolic Disease Research and Drug Analysis Department Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA

SO Journal of Medicinal Chemistry (2000), 43(21), 4025-4040 CODEN: JMCMAR; ISSN: 0022-2623

PB American Chemical Society

DT Journal

LA English

IT 280748-70-1P 280748-71-2P 280748-72-3P 280749-00-0P 280749-30-6P 280752-58-1P 311808-38-5P 311808-39-6P 311808-43-2P RL: BAC (Biological activity or effector, except adverse); BSU (Biological

09541795.8

study, unclassified); SPN (Synthetic preparation); BIOL (Biological
study); PREP (Preparation)

(prepn. of arylthio cinnamides as antagonists of leukocyte function-assocd. antigen-1/ICAM-1 interaction)

RN 280748-70-1 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-(2-hydroxyethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280748-71-2 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-(6-hydroxyhexyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

HO (CH<sub>2</sub>) 
$$\stackrel{H}{\circ}$$
  $\stackrel{E}{\circ}$   $\stackrel{C1}{\circ}$   $\stackrel{C1}{\circ}$   $\stackrel{C1}{\circ}$   $\stackrel{C1}{\circ}$   $\stackrel{C1}{\circ}$ 

RN 280748-72-3 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N,N-bis(2-hydroxyethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-00-0 CAPLUS

CN 2-Propenamide, 3-[4-[(2,4-dichlorophenyl)thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c} C1 \\ \\ NO_2 \\ \end{array}$$

RN 280749-30-6 CAPLUS

CN 2-Propenamide, 3-[3-bromo-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280752-58-1 CAPLUS

CN 2-Propenamide, 3-[3-amino-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 311808-38-5 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(1H-imidazol-1-yl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$\begin{array}{c|c}
 & C1 \\
 & C1 \\
 & C1
\end{array}$$

$$\begin{array}{c|c}
 & C1 \\
 & C1
\end{array}$$

RN 311808-39-6 CAPLUS

CN 2-Propenamide, 3-[4-[(2,4-dichlorophenyl)thio]-3-[(1E)-3-(4-morpholinyl)-3-oxo-1-propenyl]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 311808-43-2 CAPLUS

CN 2-Propenamide, 3-[4-[(2,4-dichlorophenyl)thio]-3-[[3-(4-morpholinyl)propyl]amino]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

GI

AB The interaction between leukocyte function-assocd. antigen-1 (LFA-1), a member of the .beta.2-integrin family of adhesion mols., and intracellular adhesion mol. ICAM-1 (cd54) is thought to play a crit. role in the inflammatory process. On the basis of an anilino diaryl sulfide screening lead, in combination with pharmacophore anal. of other screening hits, we have identified an adjacent binding pocket. Subsequently, a p-ethenylcarbonyl linker was discovered to be optimal for accessing this binding site. Soln.-phase parallel synthesis enabled rapid optimization of the cinnamides for this pocket. In conjunction with fine-tuning of the diaryl substituents, we discovered a novel series of potent, nonpeptide inhibitors of LFA-1/ICAM-1 interaction, exemplified by A-286982 (I), which has IC50 values of 44 and 35 nM in an LFA-1/ICAM-1 binding assay and LFA-1-mediated cellular adhesion assay, resp.

RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:725609 CAPLUS

DN 133:296281

TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds

IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn, Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong; Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae, Hwan-soo; Lynch, John K.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 476 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PATENT NO.
                             KIND DATE
                                                         APPLICATION NO. DATE
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ΡI
      WO 2000059880
                             A1 20001012
                                                        WO 2000-US8895
                                                                                 20000403
           W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,
                 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
                 DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
                 CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                                         US 1999-286645 A 19990402
                                                          US 1999-474517 A 19991229
                                                          US 2000-541795 A 20000331
                                                         EP 2000-921654
      EP 1165505
                              A1
                                     20020102
                                                                               20000403
           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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				US	1999-286645	Α	19990402
				US	1999-474517	A	19991229
				US	2000-541795	Α	20000331
				WO	2000-US8895	W	20000403
ΕE	200100513	A	20021216	EE	2001-513		20000403
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				US	1999-474517	Α	19991229
				US	2000-541795	Α	20000331
				WO	2000-US8895	W	20000403
NO	2001004767	A	20011130	NO	2001-4767		20011001
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				US	1999-474517	Α	19991229
				WO	2000-US8895	M	20000403
ВG	106029	A	20020531	BG	2001-106029		20011018
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				US	1999-474517	Α	19991229
				US	2000-541795	Α	20000331
				WO	2000-US8895	W	20000403
HR	2001000776	A1	20021231	HR	2001-776		20011023
				US	1999-286645	Α	19990402
				US	1999-474517	Α	19991229
				US	2000-541795	Α	20000331
				WO	2000-US8895	W	20000403
MAT	ומתת בבר שותם						

OS MARPAT 133:296281

## IT 280749-00-0P 280749-79-3P 301218-47-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(prepn. of (phenylthio) cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 280749-00-0 CAPLUS

CN 2-Propenamide, 3-[4-[(2,4-dichlorophenyl)thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Patel

RN 280749-79-3 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-[(2S)-2-(hydroxymethyl)-5-oxo-1-pyrrolidinyl]propyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 301218-47-3 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-2(or 3)-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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 $D1-CH_2-OH$ 

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IT
     280748-70-1P 280748-71-2P 280748-72-3P
     280748-73-4P 280748-95-0P 280748-97-2P
     280748-98-3P 280749-30-6P 280749-44-2P
     280749-45-3P 280749-46-4P 280749-47-5P
     280749-80-6P 280749-81-7P 280749-92-0P
     280749-93-1P 280750-23-4P 280750-26-7P
     280750-53-0P 280750-60-9P 280750-64-3P
     280750-97-2P 280751-02-2P 280751-38-4P
     280751-43-1P 280751-49-7P 280751-70-4P
     280751-75-9P 280751-87-3P 280751-93-1P
     280752-43-4P 280752-44-5P 280752-71-8P
     301178-48-3P 301178-95-0P 301178-98-3P
     301179-03-3P 301179-17-9P 301179-34-0P
     301179-35-1P 301179-55-5P 301179-56-6P
     301179-57-7P 301179-58-8P 301179-62-4P
     301179-63-5P 301218-22-4P 301218-84-8P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of (phenylthio) cinnamides as cell adhesion inhibitors by
        coupling of thiophenols with halobenzaldehydes, conversion to cinnamic
        acids, amidation, and optional derivatization)
RN
     280748-70-1 CAPLUS
     2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-(2-
CN
    hydroxyethyl) -, (2E) - (9CI) (CA INDEX NAME)
```

Double bond geometry as shown.

RN 280748-71-2 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-(6hydroxyhexyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

HO (CH<sub>2</sub>) 
$$\stackrel{H}{_{0}}$$
  $\stackrel{E}{_{0}}$   $\stackrel{C1}{_{0}}$   $\stackrel{C1}{_{0}}$   $\stackrel{C1}{_{0}}$ 

RN 280748-72-3 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N,N-bis(2-hydroxyethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280748-73-4 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

$$C1$$
 $C1$ 
 $C1$ 
 $C1$ 
 $C1$ 
 $C1$ 
 $C1$ 
 $C1$ 

RN 280748-95-0 CAPLUS

CN 2-Propenamide, 3-[4-[(2-methylphenyl)thio]-3-(trifluoromethyl)phenyl]-N-[2-(4-morpholinyl)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280748-97-2 CAPLUS

CN 2-Propenamide, 3-[4-[(2-methylphenyl)thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280748-98-3 CAPLUS

CN 2-Propenamide, N-cyclopropyl-3-[4-[(2-methylphenyl)thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280749-30-6 CAPLUS

CN 2-Propenamide, 3-[3-bromo-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-44-2 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280749-45-3 CAPLUS

CN 2-Propenamide, N-cyclobutyl-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-46-4 CAPLUS

CN 2-Propenamide, N-cyclopentyl-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-47-5 CAPLUS

CN 2-Propenamide, N-(5-hydroxypentyl)-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

HO (CH<sub>2</sub>) 
$$\frac{H}{5}$$
  $\frac{CF_3}{N}$   $\frac{i-Pr}{S}$ 

RN 280749-80-6 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-81-7 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-methyl-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-92-0 CAPLUS

CN 2-Propenamide, N-[3-[(2S)-2-[(acetyloxy)methyl]-5-oxo-1-pyrrolidinyl]propyl]-3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-, (2E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 280749-93-1 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-[(2S)-2-(methoxymethyl)-5-oxo-1-pyrrolidinyl]propyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 280750-23-4 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[(2E)-3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-1-oxo-2-propenyl]amino]-4-hydroxy-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 280750-26-7 CAPLUS

CN 2-Propenamide, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280750-53-0 CAPLUS

CN Glycine, N-[(2E)-3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-1-oxo-2-propenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280750-60-9 CAPLUS

CN 2-Propenamide, 3-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 280750-64-3 CAPLUS

CN 2-Propenamide, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-N-(6-methyl-2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280750-97-2 CAPLUS

 $\label{eq:cn_solution} \textbf{CN} \qquad 2-\texttt{Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-N-[3-(2-methylethyl)phenyl]thio]} \\ = -3-\text{nitrophenyl} \\ = -3-\text{nitrophe$ 

09541795.8

Page 65

oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-02-2 CAPLUS

CN 2-Propenamide, 3-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 280751-38-4 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-N-1-piperidinyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-43-1 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(1-methyl-1H-indol-5-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 280751-49-7 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-70-4 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-75-9 CAPLUS

CN 2-Propenamide, N-1H-indol-5-yl-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-87-3 CAPLUS

CN 2-Propenamide, 3-[2,3-dichloro-4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 280751-93-1 CAPLUS

CN 2-Propenamide, 3-[2,3-dichloro-4-[[2-(1-methylethyl)phenyl]thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280752-43-4 CAPLUS

CN Benzenesulfonic acid, 4-[[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280752-44-5 CAPLUS

CN Benzoic acid, 4-[[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

RN 280752-71-8 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-3-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 301178-48-3 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-[(4R)-4-(hydroxymethyl)-2-oxo-1-pyrrolidinyl]propyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 301178-95-0 CAPLUS

CN Benzenesulfonic acid, 4-[[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]amino]-, trifluoroacetate (20:13) (9CI) (CA INDEX NAME)

CM 1

CRN 280752-43-4

CMF C22 H17 C12 N O5 S2

Double bond geometry as shown.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 301178-98-3 CAPLUS

CN Glycine, N-[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]-N-phenyl- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-03-3 CAPLUS

CN 2-Propenamide, 3-[2,3-dichloro-4-[[3-[4-(1-pyrrolidinyl)-1-piperidinyl]phenyl]thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)-(9CI) (CA INDEX NAME)

09541795.8

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PAGE 1-A

$$C1$$
 $E$ 
 $C1$ 
 $CH_2)_3$ 

PAGE 1-B

$$-N$$

RN 301179-17-9 CAPLUS

CN Benzoic acid, 4-[[[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]amino]methyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-34-0 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[2,3-dichloro-4-[(1E)-3-(diethylamino)-3-oxo-1-propenyl]phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

8/25/2003>

Patel

RN 301179-35-1 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[2,3-dichloro-4-[(1E)-3-(diethylamino)-3-oxo-1-propenyl]phenyl]thio]phenyl]-, trifluoroacetate (5:1) (9CI) (CA INDEX NAME)

CM 1

CRN 301179-34-0

CMF C25 H28 Cl2 N2 O3 S

Double bond geometry as shown.

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 301179-55-5 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[4-[(1E)-3-[bis(2-ethoxyethyl)amino]-3-oxo-1-propenyl]-2,3-bis(trifluoromethyl)phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)

09541795.8

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$$F_3$$
C  $F_3$ C

RN 301179-56-6 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[4-[(1E)-3-[bis(2-ethoxyethyl)amino]-3-oxo-1-propenyl]-2,3-bis(trifluoromethyl)phenyl]thio]phenyl]-, trifluoroacetate (10:7) (9CI) (CA INDEX NAME)

CM 1

CRN 301179-55-5

CMF C31 H36 F6 N2 O5 S

Double bond geometry as shown.

$$F_3$$
C  $F_3$ C

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 301179-57-7 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[4-[(1E)-3-[bis(2-hydroxypropyl)amino]-3-oxo-1-propenyl]-2,3-bis(trifluoromethyl)phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)

$$_{\rm F_3C}$$
  $_{\rm CF_3}$   $_{\rm OH}$   $_{\rm Me}$   $_{\rm OH}$ 

RN 301179-58-8 CAPLUS

CN 4-Piperidinecarboxylic acid, 1-[3-[[4-[(1E)-3-[bis(2-hydroxypropyl)amino]-3-oxo-1-propenyl]-2,3-bis(trifluoromethyl)phenyl]thio]phenyl]-, trifluoroacetate (2:3) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 301179-57-7

CMF C29 H32 F6 N2 O5 S

Double bond geometry as shown.

$$F_3$$
C  $F_3$ C  $F_3$ C  $OH$   $OH$   $OH$   $OH$   $OH$ 

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 301179-62-4 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[[2,3-dichloro-4-[(1E)-3-oxo-3-[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]-1-propenyl]phenyl]thio]phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-63-5 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[2-[[4-[(1E)-3-oxo-3-[[3-(2-oxo-1-pyrrolidinyl)propyl]amino]-1-propenyl]-2,3-bis(trifluoromethyl)phenyl]thio [phenyl]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301218-22-4 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-2(or 3)-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

N— 
$$(CH_2)_3$$
 —  $NH$ —  $C$ —  $CH$ —  $CH$ —  $NO_2$ 

D1-CH2-OH

RN 301218-84-8 CAPLUS

CN 2-Propenamide, 3-[4-[[2(or 3)-(aminomethyl)-2,3-dihydro-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

N— (CH<sub>2</sub>)<sub>3</sub>-NH-C-CH=CH
$$\xrightarrow{\text{CF}_3}$$

 $D1-CH_2-NH_2$ 

## IT 280752-58-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of (phenylthio)cinnamides as cell adhesion inhibitors by
coupling of thiophenols with halobenzaldehydes, conversion to cinnamic
acids, amidation, and optional derivatization)

RN 280752-58-1 CAPLUS

CN 2-Propenamide, 3-[3-amino-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} C1 \\ S \\ NH_2 \end{array}$$

## IT 301179-89-5P 301219-93-2P 301220-38-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (phenylthio) cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 301179-89-5 CAPLUS

CN 2-Propenamide, 3-[4-[(3-bromophenyl)thio]-2,3-dichlorophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301219-93-2 CAPLUS

CN 2-Propenamide, 3-[4-[[2(or 3)-(azidomethyl)-2,3-dihydro-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

N— 
$$(CH_2)_3$$
 —  $NH$ —  $C$ —  $CH$ —  $CH$ —  $CH$ 

 $D1 - CH_2 - N_3$ 

RN 301220-38-2 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-2(or 3)-[[(methylsulfonyl)oxy]methyl]-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

N— (CH<sub>2</sub>)<sub>3</sub>-NH-C-CH=CH
$$\stackrel{\circ}{=}$$
CF<sub>3</sub>

GΙ

Ar 
$$R^{1}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{7}$ 

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepd. as cell adhesion inhibitors for the treatment of inflammatory and immune

diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixt. of 2-[(2,4-dichlorophenyl)thio]benzaldehyde (prepn. given), malonic acid, piperidine in anhyd. pyridine was heated at 110.degree.C for 2 h and then treated with aq. HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 .mu.M. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 .mu.M and 0.6 .mu.M, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6 ANSWER 8 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN
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DN 133:89514

TI Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds

IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin;
Xin, Zhili; Boyd, Steven A.; Jae, Hwan-Soo; Lynch, John K.; Zhu, Gui-Dong;
Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 400 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PAN.	PATENT NO.			KIND DATE			APPLICATION NO. DATE												
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WO 200003908																			
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AN 2000:457022 CAPLUS

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                                           HR 2001-512
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    BG 105732
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                                           BG 2001-105732
                                                             20010725
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                                           WO 1999-US31162W 19991229
OS
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     280748-73-4P 280748-95-0P 280748-97-2P
     280748-98-3P 280749-00-0P 280749-30-6P
     280749-44-2P 280749-45-3P 280749-46-4P
     280749-47-5P 280749-79-3P 280749-80-6P
     280749-81-7P 280749-92-0P 280749-93-1P
     280749-94-2P 280750-23-4P 280750-26-7P
     280750-53-0P 280750-60-9P 280750-64-3P
    280750-97-2P 280751-02-2P 280751-38-4P
     280751-43-1P 280751-49-7P 280751-60-2P
     280751-61-3P 280751-62-4P 280751-64-6P
     280751-70-4P 280751-75-9P 280751-87-3P
     280751-93-1P 280752-43-4P 280752-44-5P
    RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation)
        (prepn. and antiinflammatory, immune suppressant and cell adhesion
        inhibiting activity)
RN
     280748-70-1 CAPLUS
CN
     2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-(2-
    hydroxyethyl) -, (2E) - (9CI) (CA INDEX NAME)
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Double bond geometry as shown.

RN 280748-71-2 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-(6-hydroxyhexyl)-, (2E)- (9CI) (CA INDEX NAME)

HO (CH<sub>2</sub>) 
$$\stackrel{\text{H}}{_{0}}$$
  $\stackrel{\text{E}}{_{0}}$   $\stackrel{\text{Cl}}{_{0}}$ 

RN 280748-72-3 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N,N-bis(2-hydroxyethyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280748-73-4 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280748-95-0 CAPLUS

CN 2-Propenamide, 3-[4-[(2-methylphenyl)thio]-3-(trifluoromethyl)phenyl]-N-[2-(4-morpholinyl)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280748-97-2 CAPLUS

CN 2-Propenamide, 3-[4-[(2-methylphenyl)thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280748-98-3 CAPLUS

CN 2-Propenamide, N-cyclopropyl-3-[4-[(2-methylphenyl)thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280749-00-0 CAPLUS

CN 2-Propenamide, 3-[4-[(2,4-dichlorophenyl)thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-30-6 CAPLUS

CN 2-Propenamide, 3-[3-bromo-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Patel

RN 280749-44-2 CAPLUS
CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI)
(CA INDEX NAME)

Double bond geometry as shown.

RN 280749-45-3 CAPLUS
CN 2-Propenamide, N-cyclobutyl-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280749-46-4 CAPLUS

CN 2-Propenamide, N-cyclopentyl-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-47-5 CAPLUS

CN 2-Propenamide, N-(5-hydroxypentyl)-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

HO 
$$(CH_2)_5$$
  $H$   $E$   $CF_3$   $i-Pr$   $S$ 

RN 280749-79-3 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-[(2S)-2-(hydroxymethyl)-5-oxo-1-pyrrolidinyl]propyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 280749-80-6 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-81-7 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-methyl-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280749-92-0 CAPLUS

CN 2-Propenamide, N-[3-[(2S)-2-[(acetyloxy)methyl]-5-oxo-1-pyrrolidinyl]propyl]-3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-, (2E)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

RN 280749-93-1 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-[(2S)-2-(methoxymethyl)-5-oxo-1-pyrrolidinyl]propyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 280749-94-2 CAPLUS

CN 2-Propenamide, 3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-N-[3-[(2R)-2-(hydroxymethyl)-5-oxo-1-pyrrolidinyl]propyl]-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

RN 280750-23-4 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 3-[[(2E)-3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-1-oxo-2-propenyl]amino]-4-hydroxy-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280750-26-7 CAPLUS

CN 2-Propenamide, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280750-53-0 CAPLUS

CN Glycine, N-[(2E)-3-[4-[(2-bromophenyl)thio]-3-chlorophenyl]-1-oxo-2-propenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280750-60-9 CAPLUS

CN 2-Propenamide, 3-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 280750-64-3 CAPLUS

CN 2-Propenamide, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-N-(6-methyl-2-pyridinyl)-, (2E)- (9CI) (CA INDEX NAME)

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Double bond geometry as shown.

RN 280750-97-2 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-02-2 CAPLUS

CN 2-Propenamide, 3-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-38-4 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-N-1-piperidinyl-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-43-1 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(1-methyl-1H-indol-5-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-49-7 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280751-60-2 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-2-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-61-3 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-2-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-62-4 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-8-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-64-6 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(aminomethyl)-2,3-dihydro-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-70-4 CAPLUS

CN 2-Propenamide, 3-[3-chloro-4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-75-9 CAPLUS

CN 2-Propenamide, N-1H-indol-5-yl-3-[4-[[2-(1-methylethyl)phenyl]thio]-3-nitrophenyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280751-87-3 CAPLUS

CN 2-Propenamide, 3-[2,3-dichloro-4-[(2,3-dihydro-1,4-benzodioxin-6-yl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280751-93-1 CAPLUS

CN 2-Propenamide, 3-[2,3-dichloro-4-[[2-(1-methylethyl)phenyl]thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280752-43-4 CAPLUS

CN Benzenesulfonic acid, 4-[[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

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Page 95

RN 280752-44-5 CAPLUS

CN Benzoic acid, 4-[[(2E)-3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 280752-58-1P 280752-94-5P 280752-95-6P

280753-32-4P 280753-33-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-(hetaryl) (arylthio) cinnamamides with antiinflammatory, immune suppressant and cell adhesion inhibiting activity)

RN 280752-58-1 CAPLUS

CN 2-Propenamide, 3-[3-amino-4-[(2,4-dichlorophenyl)thio]phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

RN 280752-94-5 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-2-[[(methylsulfonyl)oxy]methyl]-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

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RN 280752-95-6 CAPLUS

CN 2-Propenamide, 3-[4-[[2-(azidomethyl)-2,3-dihydro-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280753-32-4 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-3-[[(methylsulfonyl)oxy]methyl]-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

PAGE 1-B

∕\_Me

RN 280753-33-5 CAPLUS

CN 2-Propenamide, 3-[4-[[3-(azidomethyl)-2,3-dihydro-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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IT 280752-53-6P 280752-71-8P 280753-24-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of N-(hetaryl)(arylthio)cinnamamides with antiinflammatory, immune suppressant and cell adhesion inhibiting activity)

RN 280752-53-6 CAPLUS

CN 2-Propenamide, 3-[4-[[3-(aminomethyl)-2,3-dihydro-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

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RN 280752-71-8 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-3-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-nitrophenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

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RN 280753-24-4 CAPLUS

CN 2-Propenamide, 3-[4-[[2,3-dihydro-3-(hydroxymethyl)-1,4-benzodioxin-6-yl]thio]-3-(trifluoromethyl)phenyl]-N-[3-(2-oxo-1-pyrrolidinyl)propyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

The present invention relates to novel cinnamide compds. that are useful for treating inflammatory and immune diseases, to pharmaceutical compns. contg. these compds., and to methods of inhibiting inflammation or suppressing immune response in a mammal. Among the approx. 400 trans-arylthiocinnamamide title compds., prepd. by std. methods, were 6-benzodioxanyl 2-trifluoromethyl-4-[(E)-2-[3-(R)-(ethoxycarbonyl)piperidinocarbonyl]ethenyl]phenyl sulfide (I), 2-ethoxyphenyl 2-trifluoromethyl-4-[(E)-2-[2-carboxy-4-(methoxycarbonyl)-1-piperazinylcarbonyl]ethenyl]phenyl sulfide (II) and 2-isopropylphenyl 2-nitro-4-[(E)-2-[3-(2-oxo-1-pyrrolidinyl)-1-propylaminocarbonyl]ethenyl]phenyl sulfide (III). The abilities of the title compds. to antagonize the interaction between ICAM-1 and LFA-1 were quantified using both biochem. and cell-based adhesion assays. E.g., compds. I-III exhibited 98% inhibition @ 4.mu.M.

L6 ANSWER 9 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:94009 CAPLUS

- DN 132:237235
- TI Synthesis and estrogenic activities of novel 7-thiosubstituted estratriene derivatives
- AU Miller, Chris P.; Jirkovsky, Ivo; Tran, Bach D.; Harris, Heather A.; Moran, Robert A.; Komm, Barry S.
- CS Chemical Sciences, Wyeth-Ayerst Research, Radnor, PA, 19087, USA
- SO Bioorganic & Medicinal Chemistry Letters (2000), 10(2), 147-151 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- IT 223660-12-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and estrogenic receptor binding activities of 7-thiosubstituted estratrienes)

- RN 223660-12-6 CAPLUS
- CN 2-Propenamide, 3-[4-[[(7.alpha.,17.beta.)-3,17-dihydroxyestra-1,3,5(10)-trien-7-yl]thio]phenyl]-N,N-dimethyl-, (2E)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

- AB A diastereomerically pure series of 7.alpha.-thioestratrienes was prepd. and evaluated for its affinity for both the human estrogen receptor .alpha. and the more recently discovered estrogen receptor .beta.. The functional estrogenic activities of the compds. were measured in a MCF-7 ERE-tk-luciferase assay. The activities and selectivities of the compds. were sensitive to the nature of the thioether side chain.
- RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT
- L6 ANSWER 10 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

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AN
    1999:282234 CAPLUS
DN
    130:311975
    synthesis and estrogen receptor binding activity of estra-1,3,5(10)-triene-
ΤI
    7.alpha.-thioethers
    Miller, Christopher Paul; Jirkovsky, Ivo; Tran, Bach Dinh
IN
    American Home Products Corporation, USA
PΑ
SO
    PCT Int. Appl., 63 pp.
    CODEN: PIXXD2
DT
    Patent
    English
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    PATENT NO.
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                                        APPLICATION NO. DATE
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                                        WO 1998-US22283 19981021
        W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE,
            DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG,
            KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX,
            NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT,
            UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
            FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
            CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                          US 1997-92119P P 19971023
                                          US 1997-956509 A 19971023
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                                          AU 1999-11106
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                      A1
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            SI, LT, LV, FI, RO
                                          US 1997-956509 A 19971023
                                          WO 1998-US22283W 19981021
    JP 2001520235
                      T2
                           20011030
                                          JP 2000-516987 19981021
                                          US 1997-956509 A 19971023
                                          WO 1998-US22283W 19981021
IT
     223660-12-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (synthesis and estrogen receptor binding activity of
        estra-1,3,5(10)-triene-7.alpha.-thioethers)
     223660-12-6 CAPLUS
RN
CN
     2-Propenamide, 3-[4-[[(7.alpha.,17.beta.)-3,17-dihydroxyestra-1,3,5(10)-
     trien-7-yl]thio]phenyl]-N,N-dimethyl-, (2E)- (9CI) (CA INDEX NAME)
```

Absolute stereochemistry. Double bond geometry as shown.

GΙ

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ R4 & & \\ & & & \\ & & & \\ R3 & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

AB Synthesis of estrogens and antiestrogens (I) [ R1 = .beta. (un)substituted hydroxy, =0; R2 = substituted phenyl; R3 = =0, 2H, .alpha.-OH; R4 = (un)substituted hydroxy] or a pharmaceutically acceptable salt thereof are described. Thus, I (R1 = .beta.-OH, R2 = 4-HO-C6H4, R3 = =0, R4 = OH) (II) is prepd. by reacting 3,17.beta.-diacetoxy-7.alpha.-bromo-estra-1,3,5(10)-trien-6-one with 4-HO-C6H4-SH followed by acetate hydrolysis to the desired diol. II shows an IC50 of 2.5 in estrogen receptor binding assay. Tabulations for I are given.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:192042 CAPLUS

DN 126:185882

TI Substituted cinnamic acid guanidides, process for their preparation, their use as cardiovascular medicament or diagnostic agent, as well as medicament containing them

IN Schwark, Jan-Robert; Brendel, Joachim; Kleemann, Heinz-Werner; Lang,

8/25/2003>

```
Hans-Jochen; Weichert, Andreas; Albus, Udo; Scholz, Wolfgang
PΑ
    Hoechst A.-G., Germany
    Eur. Pat. Appl., 19 pp.
SO
    CODEN: EPXXDW
DT
    Patent
LΑ
    German
FAN.CNT 1
    PATENT NO.
                KIND DATE
                                      APPLICATION NO. DATE
    -----
                                       _____
PΙ
    EP 755919 A2 19970129
                                       EP 1996-111665 19960719
    EP 755919
                    A3 19970409
    EP 755919
                    B1 19991117
        R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
                                        DE 1995-19527305A 19950726
    DE 19527305
                    A1
                          19970130
                                        DE 1995-19527305 19950726
    PL 183439
                    B1
                          20020628
                                        PL 1996-314279
                                                      19960516
                                        DE 1995-19527305A 19950726
                                        AT 1996-111665 19960719
    AT 186720
                    E
                          19991215
                                        DE 1995-19527305A 19950726
    ES 2140765
                          20000301
               Т3
                                        ES 1996-111665 19960719
                                        DE 1995-19527305A 19950726
                  A
    CN 1145899
                          19970326
                                        CN 1996-110200 19960723
    CN 1062554
                    В
                          20010228
                                        DE 1995-19527305A 19950726
                  A1
                                        AU 1996-60668 19960724
    AU 9660668
                          19970130
    AU 704461
                    B2
                          19990422
                                        DE 1995-19527305A 19950726
    US 5883133
               A
                          19990316
                                        US 1996-686999 19960724
                                        DE 1995-19527305A 19950726
    IL 118925
                A1
                          20010808
                                        IL 1996-118925 19960724
                                        DE 1995-19527305A 19950726
    SK 282018
                    В6
                          20011008
                                        SK 1996-965 19960724
                                        DE 1995-19527305A 19950726
    CZ 289327
                    В6
                          20020116
                                        CZ 1996-2184
                                                      19960724
                                        DE 1995-19527305A 19950726
    CA 2182062
                    AΑ
                          19970127
                                        CA 1996-2182062 19960725
                                        DE 1995-19527305A 19950726
    NO 9603108
                    Α
                          19970127
                                        NO 1996-3108 19960725
                                        DE 1995-19527305A 19950726
    JP 09052823
                    A2
                        19970225
                                        JP 1996-196283 19960725
                                        DE 1995-19527305A 19950726
    HR 960356
                    В1
                          20010228
                                        HR 1996-960356 19960725
                                        DE 1995-19527305A 19950726
    BR 9603179
                    Α
                          20020409
                                        BR 1996-3179 19960725
                                        DE 1995-19527305A 19950726
    RU 2190601
                 C2
                          20021010
                                        RU 1996-115333 19960725
                                        DE 1995-19527305A 19950726
OS
    MARPAT 126:185882
IT
    187541-39-5P
    RL: IMF (Industrial manufacture); THU (Therapeutic use); BIOL (Biological
    study); PREP (Preparation); USES (Uses)
       (prepn. and use as cardiovascular drugs or diagnostic agents)
RN
    187541-39-5 CAPLUS
CN
    2-Propenamide, N-(aminoiminomethyl)-2-methyl-3-[4-(4-pyridinylthio)-3-
    (trifluoromethyl)phenyl]-, dihydrochloride, (E)- (9CI) (CA INDEX NAME)
```

$$\begin{array}{c|c} & & & \\ & & & \\ H_2N & & & \\ NH & O & & \\ \end{array}$$

## ● 2 HCl

AB Substituted cinnamic acid guanidides, such as E-3-(4-Me2NC6H4)CH:CMeCON:N(NH2)2, were prepd. by the reaction of lithiated tri-Et 2-phosphonopropionate in hexane with 4-Me2NC6H4CHO, the resulting ester sapond., followed by reaction with cinnamic acid guanidide. These substituted cinnamic acid guanidides were tested as inhibitors for Na+/H+ exchange by rabbit erythrocytes, indicating their use as cardiovascular drugs or diagnostic agents.

L6 ANSWER 12 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:758579 CAPLUS

DN 126:24819

TI Black-and-white silver halide photographic material

IN Yamada, Taketoshi; Kato, Katsunori; Komamura, Tawara

PA Konishiroku Photo Ind, Japan

50 Jpn. Kokai Tokkyo Koho, 17 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	JP 08248567	A2	19960927	JP 1995-54281	19950314	
TO	404404			JP 1995-54281	19950314	

# IT 184486-95-1

RL: TEM (Technical or engineered material use); USES (Uses) (in hydrophilic colloid layer; black-and-white silver halide photog. material with good workability in lighted room)

RN 184486-95-1 CAPLUS

CN 4-Thiazoleacetic acid, 2-[[2-cyano-3-[4-[[4-(dodecylthio)-3,6-dioxo-1,4-cyclohexadien-1-yl]thio]phenyl]-1-oxo-2-propenyl]amino]- (9CI) (CA INDEX NAME)

$$HO_2C-CH_2$$
 $N$ 
 $NH-C-C$ 
 $CH$ 
 $S$ 
 $S-(CH_2)_{11}-Me$ 

GI

$$P^{2}O$$
 $CH = C(CN) (CONHY)$ 
 $R_{h}^{1}$ 
 $IV$ 

AΒ The photog. material contains .gtoreq.1 an alkali-sol. dye or a dye precursor shown as QCH:C(CN)(CONHX) (I; X = hetero ring; Q = aryl; X and/or Q contains an org. substitute group; .gtoreq.1 of the substitute group is a proton-contg. group which can be ionized while developing). The photog. material contains .gtoreq.1 a dye precursor PJ1Q1CH:C(CN)(CONHX) (II; P = a group which releases Jl and its continuing group; J = divalent group; l = 0, 1; Q1 = aryl; X = same as above; X and/or Q1 contains an org. substitute group; .gtoreq.1 of the substitute group is a proton-contq. group which can be ionized while developing). The photog. material contains .gtoreq.1 a dye precursor [P1(J1)mX1NHC(O)](NC)C:CHQ2 (III; P1 = a group which releases J1m and its continuing group; J1 = divalent group; m = 0, 1; Q2 = aryl; X1 = same as above; X1 and/or Q2 contains an org. substitute group; .gtoreq.1 of the substitute group is a proton-contg. group which can be ionized while developing). The photog. material contains .gtoreq.1 a dye precursor IV (Y = N-contg. hetero ring; R1 = H, a substitute group for benzene ring; P2= a group which can be released while developing; n = 0-2; org. substitute group of R1 and/or Y is a proton-contq. group which can be ionized while developing). The photog. material comprises a support, successively laminated with .gtoreq.1 an Ag halide emulsion layer and .gtoreq.1 a nonphotosensitive hydrophilic colloid layer contg. .gtoreq.1 of I, II, III, and IV. The photog. material can be worked in a lighted room.

L6 ANSWER 13 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:816889 CAPLUS

DN 124:30363

TI Synthesis and study of some new N-(p-chlorophenyl)cinnamide-4-sulfonyl amino acid derivatives

AU Khalaf, N. S.; El-Gazzar, M. A.; Eyada, H. A.; El-Sayed, R. A.

CS Faculty Science, Al-Azhar University, Cairo, Egypt

SO Al-Azhar Bulletin of Science (1994), 5(2), 487-94 CODEN: ABSCE7; ISSN: 1110-2535

PB Al-Azhar University, Faculty of Science

DT Journal

LA English

IT 161826-36-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis and antimicrobial activities of (chlorophenyl)cinnamide sulfonyl amino acid derivs.)

RN 161826-36-4 CAPLUS

CN L-Proline, 1-[[4-[3-[(4-chlorophenyl)amino]-3-oxo-1-propenyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

AB N-(p-chlorophenyl)cinnamide-4-sulfonyl amino acids p-ClC6H4NHCOCH:CHC6H4SO2-X-OH (I; X = amino acid residue) and some of their Me esters and hydrazides were prepd. Coupling reactions of these amino acid derivs. with amino acid Me ester hydrochlorides in THF-Et3N medium yielded the dipeptide (I; X = dipeptide residue) Me esters, which were converted into hydrazides. Some of the synthesized compds. possess specific biol. activities towards a no. of microorganisms.

L6 ANSWER 14 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:269683 CAPLUS

DN 122:214486

- $ext{TI}$  Some new reactions of N-(p-chlorophenyl)-cinnamide-4-sulfonylamino acid derivatives and their antimicrobial activity
- AU El-Sayed, Ragab A.; Khalaf, N. S.; El-Gazzar, M. A.; Kora, F. A.

CS Chem. Dep., Al-Azhar Univ., Cairo, Egypt

- SO Journal of the Serbian Chemical Society (1994), 59(10), 727-33 CODEN: JSCSEN; ISSN: 0352-5139
- PB Serbian Chemical Society

DT Journal

LA English

IT 161826-36-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. of new (p-chlorophenyl)cinnamidesulfonylamino acid derivs. and their antimicrobial activity)

RN 161826-36-4 CAPLUS

CN L-Proline, 1-[[4-[3-[(4-chlorophenyl)amino]-3-oxo-1-propenyl]phenyl]sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry unknown.

- The synthesis of a series of N-(p-chlorophenyl)-cinnamide-4-sulfonylamino acids and some of the corresponding Me esters and hydrazides is described. Coupling reactions of N-(p-chlorophenyl)-cinnamide-4-sulfonylamino acids with amino acid Me ester hydrochloride in THF Et3N medium, yielded the desired dipeptide Me esters. Reaction of these dipeptide with alc. hydrazine hydrate gave the corresponding dipeptide hydrazides. Some of the synthesized compds. were found to possess specific biol. activities towards a no. of microorganisms.
- L6 ANSWER 15 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1991:631817 CAPLUS
- DN 115:231817
- TI Synthesis and biological activity of a series of diaryl-substituted .alpha.-cyano-.beta.-hydroxypropenamides, a new class of anthelmintic agents
- AU Sjogren, Eric B.; Rider, Michael A.; Nelson, Peter H.; Bingham, Stanford, Jr.; Poulton, Anthony L.; Emanuel, Mark A.; Komuniecki, Richard
- CS Syntex Res., Palo Alto, CA, 94304, USA
- SO Journal of Medicinal Chemistry (1991), 34(11), 3295-301 CODEN: JMCMAR; ISSN: 0022-2623
- DT Journal
- LA English
- OS CASREACT 115:231817
- IT 136186-14-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. and anthelmintic activity of)

- RN 136186-14-6 CAPLUS
- CN 2-Propenamide, 2-cyano-3-hydroxy-3-[4-(phenylsulfonyl)phenyl]-N-[4-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} OH & CN \\ \hline C & C - C - NH \\ \hline \\ O & O \end{array}$$

AB A series of .alpha.-cyano-.beta.-hydroxypropenamides e.g. 4-F3CC6H4C(OH):C(CN)CONHC6H4CF3-4 (I), were prepd. and tested for anthelmintic activity. Two synthetic routes were utilized for the synthesis of I and its analogs. The principal route proceeded via condensation of appropriate aniline with cyanoacetic acid in the presence of diisopropylcarbodiimide to give the corresponding cyanoacetanilide which on treatment with NaH in THF or DMF followed by condensation with acid chlorides gave I and analogs. I showed good activity against the nematode Nematospirodes dubius in a mixed parasite infection in mice; several of the analogs were also effective against the cestode Hymenolepis In sheep trials, I caused 100% redn. of the hematophagous nematode Haemonchus contortus after a single dose of 20 mg/kg but did not show satisfactory control of Trichostrongylus colubriformis or Ostertaqia circumcinta. Against the liver fluke Fasciola hepatica I suppressed egg prodn. but only temporarily, suggesting that the adult flukes were not eliminated. Mechanism of action studies on I using Ascaris mitochondria showed it to be an uncoupler of oxidative phosphorylation.

L6 ANSWER 16 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1987:32492 CAPLUS

DN 106:32492

TI Substituted cinnamide 4-sulfonyl derivatives

AU Cremlyn, R. J.; Obiorah, O.; Singh, G.

CS Sch. Nat. Sci., Hatfield Polytech., Hatfield/Hertfordshire, UK

SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1986), 25B(5), 559-61

CODEN: IJSBDB; ISSN: 0376-4699

DT Journal

LA English

OS CASREACT 106:32492

IT 105941-21-7P

RN 105941-21-7 CAPLUS

CN 2-Propenamide, N,N-dimethyl-3-[4-(4-morpholinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

GI

$$C1SO_2$$
 —  $CH = CHCON$   $O$   $I$   $C1SO_2$  —  $CH = CHCONMe_2$   $II$ 

AB Cinnamoylmorpholine and PhCH:CHCONMe2 reacted with ClSO3H to give the corresponding 4-sulfonyl chlorides I and II. Twenty-seven sulfonyl derivs. were derived from I and II by reacting these with nucleophiles. The results of preliminary antibacterial and fungicidal screening of the sulfonyl derivs. are given. Thus, reaction of I with N2H4 gave 71% the hydrazinylsulfonyl compd., which had bactericidal activity at 50 ppm and fungicidal activity at 100 ppm.

L6 ANSWER 17 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1986:168072 CAPLUS

DN 104:168072

TI Chlorosulfonation of some anilides

AU Cremlyn, R. J.; Swinbourne, F. J.; Bloy, J. G.; Pathak, K.; Shode, O.

CS Div. Chem. Sci., Hatfield Polytech., Hatfield/Herts., AL10 9AB, UK

SO Journal of the Chemical Society of Pakistan (1985), 7(2), 111-24 CODEN: JCSPDF; ISSN: 0253-5106

DT Journal

LA English

OS CASREACT 104:168072

RN 101682-34-2 CAPLUS

CN 2-Propenamide, N,3-bis[4-[(3,5-dimethyl-1H-pyrazol-1-yl)sulfonyl]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 101682-36-4 CAPLUS

CN 2-Propenamide, N-(4-chlorophenyl)-3-[4-[(3,5-dimethyl-1H-pyrazol-1-yl)sulfonyl]phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 101707-74-8 CAPLUS

CN 2-Propenamide, N,3-bis[4-(3-azatricyclo[3.2.1.02,4]oct-3-ylsulfonyl)phenyl]-, [1.alpha.,2.beta.,3[E(1R\*,2S\*,4R\*,5S\*)],4.beta.,5.alpha.]- (9CI) (CA INDEX NAME)

- AB (R = C6H4SO2Cl-4 throughout.). Sulfonyl chlorides RCH:CHCONHR (I), RCH:CHCONHC6H4Cl-4 (II), 4-ClC6H4CH:CHR (III), CH2(CONHR)2 (IV), and R1NHCOCONHR1 [R1 = R (V); R1 = 3,4-ClO2S(Cl)C6H3 (VI)] were prepd. from corresponding anilides in 60-98% yields. CH2(CONHC6H4Cl-4)2 failed to react with ClSO3H. Nucleophilic substitution of I-VI by NH3, N2H4, amines, and N3- gave corresponding derivs.
- L6 ANSWER 18 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1984:551705 CAPLUS
- DN 101:151705
- TI Derivatives of cinnamide-4-sulfonyl chloride and p-(phthalimido)benzenesulfonyl chloride
- AU Cremlyn, R. J.; Thandi, K.; Wilson, R.
- CS Sch. Nat. Sci., Hatfield Polytech., Hatfield, UK
- SO Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1984), 23B(1), 94-6 CODEN: IJSBDB; ISSN: 0376-4699
- DT Journal
- LA English
- OS CASREACT 101:151705
- IT 92082-69-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and bactericidal activity of)

- RN 92082-69-4 CAPLUS
- CN 2-Propenamide, 3-[4-(4-morpholinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

IT 92082-70-7P 92082-71-8P 92082-81-0P

RN 92082-70-7 CAPLUS

CN 2-Propenamide, 3-[4-(1-pyrrolidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 92082-71-8 CAPLUS

CN 2-Propenamide, 3-[4-(1-piperidinylsulfonyl)phenyl]- (9CI) (CA INDEX NAME)

RN 92082-81-0 CAPLUS

CN 2-Propenamide, 3-[4-(3-azatricyclo[3.2.1.02,4]oct-3-enylsulfonyl)phenyl]-(9CI) (CA INDEX NAME)

GΙ



AB RH (R = H2NCOCH:CHC6H4-4, 4-phthalimidophenylene) reacted with ClSO3H to give RSO2Cl (I), which reacted with NaN3 to give RSO2N3 (II). PR13 (R1 = OEt, OPh, Ph) reacted with II to give RSO2N:PR13, whereas norbornene reacted with II to give aziridinenorbornanes III. I were treated with H2NNH2 to give RSO2NHNH2, which reacted with R2COR3 [R2 = R3 = Me; R2R3 = (CH2)5; R2 = H, R3 = Ph, C6H4NO2-4, C6H4OMe-4) to give hydrazones RSO2NHN:CR2R3. Amines HNR4R5 (R4 = R5 = Me, CH2CHMe2; R4 = H, R5 = CH2Ph; NR4R5 = morpholino, pyrrolidino, piperidino) and I gave sulfonamides RSO2NR4R5. RSO2N3 and RSO2NR4R5 (R4 = R5 = Me; NR4R5 = morpholino) were active against Escherichia coli and Staphylococcus aureus at 100 ppm. Several compds. were fungicides for Botrytis cinerea at 100 ppm.

L6 ANSWER 19 OF 19 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1975:124989 CAPLUS

DN 82:124989

TI Synthetic juvenile hormones. 1. The p-substituted .beta.-methylcinnamic acid derivatives

AU Franke, Albrecht; Mattern, Guenter; Traber, Walter

CS Dep. Biotech. Prod., Ciba-Geigy A.-G., Basel, Switz.

SO Helvetica Chimica Acta (1975), 58(1), 268-78 CODEN: HCACAV; ISSN: 0018-019X

DT Journal

LA English

IT 54875-53-5P 54875-54-6P

RN 54875-53-5 CAPLUS

CN 2-Butenamide, N,N-diethyl-3-[4-(phenylthio)phenyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 54875-54-6 CAPLUS

CN 2-Butenamide, N,N-diethyl-3-[4-(phenylthio)phenyl]-, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

Patel

8/25/2003>

GI For diagram(s), see printed CA Issue.

Reaction of p-RC6H4COMe with (EtO)2P(O)CH2R1 (I; R1 = CONEt2, CN, CO2Me) gave (E) - and (Z)-p-RC6H4CMe:CHR1 (.apprx.90 isomer pairs prepd.).

Reaction of cyclohexanone with p-NCC6H4CH2P(O)(OEt)2 gave .alpha.-cyclohexylidene-p-tolunitrile, which with MeMgI, then I, gave the corresponding .beta.-methylcinnamic acid deriv. With substituted (Me, Me3C) cyclohexanones, double bond migration took place to give mixts. of II and III (9 prepd.).

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NEWS	7			SDI PACKAGE for monthly delivery of multifile SDI results
NEWS	8			PATDPAFULL now available on STN
NEWS				Additional information for trade-named substances without
				structures available in REGISTRY
NEWS	10	Apr	11	Display formats in DGENE enhanced
NEWS	11	-		MEDLINE Reload
NEWS	12	_		Polymer searching in REGISTRY enhanced
NEWS	13	_		Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS	14	Apr	21	New current-awareness alert (SDI) frequency in
				WPIDS/WPINDEX/WPIX
NEWS	15	Apr	28	RDISCLOSURE now available on STN
NEWS	16	May	05	Pharmacokinetic information and systematic chemical names
				added to PHAR
NEWS	17	May	15	MEDLINE file segment of TOXCENTER reloaded
NEWS	18			Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS	19	- 4		Simultaneous left and right truncation added to WSCA
NEWS	20	May	19	RAPRA enhanced with new search field, simultaneous left and
				right truncation
NEWS				Simultaneous left and right truncation added to CBNB
NEWS				PASCAL enhanced with additional data
NEWS				2003 edition of the FSTA Thesaurus is now available
NEWS				HSDB has been reloaded
NEWS				Data from 1960-1976 added to RDISCLOSURE
NEWS				Identification of STN records implemented
NEWS				Polymer class term count added to REGISTRY
NEWS	28	Jul	22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
	0.0			Right Truncation available
NEWS	29	AUG	05	New pricing for EUROPATFULL and PCTFULL effective
MENG	2.0	2110	1.3	August 1, 2003
NEWS				Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	3 I	AUG	12	PATDPAFULL: one FREE connect hour, per account, in
NEWC	2.2	7110	1 =	September 2003
NEWS	34	AUG	12	PCTGEN: one FREE connect hour, per account, in
NEWS	22	ALIC	1 =	September 2003
MEMP	33	AUG	10	RDISCLOSURE: one FREE connect hour, per account, in
NEWS	34	AUG	15	September 2003 TEMA: one FREE connect hour, per account, in
MEMB	74	HUG	10	September 2003
NEWS	3.5	AUG	1 Ω	Data available for download as a PDF in RDISCLOSURE
MEND	,,	AUG	10	baca available for downroad as a PDF in RDISCHOSURE

NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL

FROSTI and KOSMET enhanced with Simultaneous Left and Right NEWS 37 AUG 18

Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT

MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

STN Operating Hours Plus Help Desk Availability NEWS HOURS General Internet Information NEWS INTER

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NEWS WWW CAS World Wide Web Site (general information)

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FILE 'HOME' ENTERED AT 08:19:55 ON 25 AUG 2003

=> file req

COST IN U.S. DOLLARS

SINCE FILE ENTRY TOTAL

FULL ESTIMATED COST

SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 08:20:05 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4 DICTIONARY FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 09541795.9

09541795.9

Page 3

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

G1 Cb, Cy, Hy

G2 H, Co, Cy, Hy, Ak, OH, COOH

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 08:20:32 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED

27 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

L2

0 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

148.15 148.36

FILE 'CAOLD' ENTERED AT 08:20:38 ON 25 AUG 2003
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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Patel

8/25/2003>

09541795.9 Page 4

=> s l1 sss full

## REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 08:20:44 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED 27 ITERATIONS 0 ANSWERS

SEARCH TIME: 00.00.01

L30 SEA SSS FUL L1

0 L3 L4

=> file marpat

SINCE FILE TOTAL ENTRY SESSION 0.40 297.31 COST IN U.S. DOLLARS

FULL ESTIMATED COST 0.40 297.31

FILE 'MARPAT' ENTERED AT 08:20:49 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

6596259 22 JUL 2003 US

20300703 31 JUL 2003 DE

1331259 30 JUL 2003

JP 2003207510 25 JUL 2003

WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full

FULL SEARCH INITIATED 08:20:56 FILE 'MARPAT' FULL SCREEN SEARCH COMPLETED - 3478 TO ITERATE

0 ANSWERS 99.3% PROCESSED 3453 ITERATIONS

0 ANSWERS 100.0% PROCESSED 3478 ITERATIONS

SEARCH TIME: 00.00.33

0 SEA SSS FUL L1

=> log y

COST IN U.S. DOLLARS SINCE FILE TOTAL

09541795.9 Page 5

FULL ESTIMATED COST ENTRY SESSION 104.55 401.86

STN INTERNATIONAL LOGOFF AT 08:21:33 ON 25 AUG 2003

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Welcome to STN International! Enter x:x
LOGINID:ssspta1611sxp
PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2
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Welcome to STN International
NEWS 1
                Web Page URLs for STN Seminar Schedule - N. America
                "Ask CAS" for self-help around the clock
NEWS 2
NEWS 3 Feb 24 PCTGEN now available on STN
NEWS 4 Feb 24 TEMA now available on STN
NEWS 5 Feb 26 NTIS now allows simultaneous left and right truncation
NEWS 6 Feb 26 PCTFULL now contains images
NEWS 7 Mar 04 SDI PACKAGE for monthly delivery of multifile SDI results
NEWS 8 Mar 24 PATDPAFULL now available on STN
NEWS 9 Mar 24 Additional information for trade-named substances without
                structures available in REGISTRY
NEWS 10 Apr 11
                Display formats in DGENE enhanced
NEWS 11 Apr 14
                MEDLINE Reload
NEWS 12 Apr 17
                Polymer searching in REGISTRY enhanced
NEWS 13 AUG 22
                Indexing from 1927 to 1936 added to records in CA/CAPLUS
NEWS 14 Apr 21
                New current-awareness alert (SDI) frequency in
                WPIDS/WPINDEX/WPIX
NEWS 15 Apr 28
                RDISCLOSURE now available on STN
NEWS 16 May 05
                Pharmacokinetic information and systematic chemical names
                added to PHAR
NEWS 17
        May 15
                MEDLINE file segment of TOXCENTER reloaded
                Supporter information for ENCOMPPAT and ENCOMPLIT updated
NEWS 18
        May 15
NEWS 19
        May 19
                Simultaneous left and right truncation added to WSCA
NEWS 20 May 19 RAPRA enhanced with new search field, simultaneous left and
                right truncation
NEWS 21 Jun 06 Simultaneous left and right truncation added to CBNB
NEWS 22
        Jun 06 PASCAL enhanced with additional data
NEWS 23 Jun 20 2003 edition of the FSTA Thesaurus is now available
NEWS 24 Jun 25 HSDB has been reloaded
NEWS 25 Jul 16 Data from 1960-1976 added to RDISCLOSURE
NEWS 26 Jul 21
                Identification of STN records implemented
NEWS 27
        Jul 21
                Polymer class term count added to REGISTRY
NEWS 28
        Jul 22
                INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
                Right Truncation available
NEWS 29 AUG 05
                New pricing for EUROPATFULL and PCTFULL effective
                August 1, 2003
NEWS 30 AUG 13
                Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 31
        AUG 15
                PATDPAFULL: one FREE connect hour, per account, in
                September 2003
NEWS 32
        AUG 15
                PCTGEN: one FREE connect hour, per account, in
                September 2003
                RDISCLOSURE: one FREE connect hour, per account, in
NEWS 33
        AUG 15
                September 2003
NEWS 34
        AUG 15
                TEMA: one FREE connect hour, per account, in
                September 2003
NEWS 35 AUG 18 Data available for download as a PDF in RDISCLOSURE
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NEWS 36 AUG 18 Simultaneous left and right truncation added to PASCAL

NEWS 37 AUG 18 FROSTI and KOSMET enhanced with Simultaneous Left and Right Truncation

NEWS 38 AUG 18 Simultaneous left and right truncation added to ANABSTR

NEWS EXPRESS April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT

MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003

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FILE 'HOME' ENTERED AT 08:46:10 ON 25 AUG 2003

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 0.21 0.21

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STRUCTURE FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4 DICTIONARY FILE UPDATES: 22 AUG 2003 HIGHEST RN 571902-82-4

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> Uploading 09541795.15

### L1STRUCTURE UPLOADED

=> 11

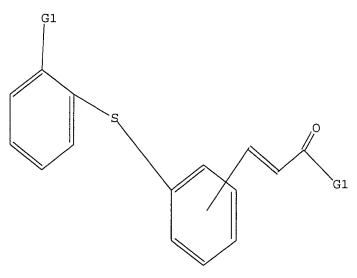
L1 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> d l1

L1 HAS NO ANSWERS

L1



G1 OH, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, s-BuO, t-BuO, PhO

Structure attributes must be viewed using STN Express query preparation.

=> s ll sss full

FULL SEARCH INITIATED 08:46:58 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 454 TO ITERATE

100.0% PROCESSED 454 ITERATIONS

SEARCH TIME: 00.00.01

L214 SEA SSS FUL L1

=> file caold

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL SESSION ENTRY

14 ANSWERS

148.15 148.36

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FILE COVERS 1907-1966

FILE LAST UPDATED: 01 May 1997 (19970501/UP)

Patel

8/25/2003>

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

This file supports REG1stRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

## => s ll sss full

## REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 08:47:10 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 454 TO ITERATE

100.0% PROCESSED 454 ITERATIONS

14 ANSWERS

SEARCH TIME: 00.00.01

L3 14 SEA SSS FUL L1

L4 0 L3

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.40 297.31

FULL ESTIMATED COST

FILE 'MARPAT' ENTERED AT 08:47:16 ON 25 AUG 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

FILE CONTENT: 1988-PRESENT (VOL 104 ISS 15-VOL 139 ISS08) (20030822ED)

MOST RECENT CITATIONS FOR PATENTS FROM FIVE MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 6596259 22 JUL 2003

DE 20300703 31 JUL 2003

EP 1331259 30 JUL 2003

JP 2003207510 25 JUL 2003

WO 2003061387 31 JUL 2003

Structure search limits have been raised. See HELP SLIMIT for the new, higher limits.

=> s l1 sss full

Patel

8/25/2003>

FULL SEARCH INITIATED 08:47:22 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 14601 TO ITERATE

68.7%	PROCESSED	10036	ITERATIONS	(	1	INCOMPLETE)	12	ANSWERS
94.2%	PROCESSED	13761	ITERATIONS	(	7	INCOMPLETE)	23	ANSWERS
96.1%	PROCESSED	14030	ITERATIONS	(	12	INCOMPLETE)	28	ANSWERS
98.8%	PROCESSED	14431	ITERATIONS	(	16	INCOMPLETE)	32	ANSWERS
99.3%	PROCESSED	14496	ITERATIONS	(	16	INCOMPLETE)	32	ANSWERS
100.0% SEARCH	PROCESSED TIME: 00.01.		ITERATIONS	(	18	INCOMPLETE)	34	ANSWERS

L5 34 SEA SSS FUL L1

=> d his

(FILE 'HOME' ENTERED AT 08:46:10 ON 25 AUG 2003)

FILE 'REGISTRY' ENTERED AT 08:46:24 ON 25 AUG 2003 L1 STRUCTURE UPLOADED L2 14 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 08:47:04 ON 25 AUG 2003 S L1

FILE 'REGISTRY' ENTERED AT 08:47:09 ON 25 AUG 2003 L3 14 S L1 SSS FULL

FILE 'CAOLD' ENTERED AT 08:47:10 ON 25 AUG 2003 L4 0 S L3 SSS FULL

FILE 'MARPAT' ENTERED AT 08:47:16 ON 25 AUG 2003 L5 34 S L1 SSS FULL

=> d 15 fbib hitstr abs total
'HITSTR' IS NOT A VALID FORMAT FOR FILE 'MARPAT'

The following are valid formats:

MSTR ---- All Markush structure(s) and related text information MSTR(n) -- Markush structure(n) and related text information IDE ----- AN and MSTR

ABS ---- AB

ALL ----- BIB, AB, IND, RE, and MSTR

APPS ---- AI, PRAI

BIB ----- AN, plus Bibliographic Data and PI table (default)

CAN ----- List of CA abstract numbers without answer numbers

CBIB ---- AN, plus Compressed Bibliographic Data

DALL ---- ALL, delimited (end of each field identified)

DMAX ----- MAX, delimited for post-processing

FAM ----- AN, PI and PRAI in table, plus Patent Family data

FBIB ----- AN, BIB, plus Patent FAM

IND ----- Indexing Data

```
IPC ----- International Patent Classifications
MAX ----- ALL, plus Patent FAM, RE
PATS ---- PI, SO
SAM ----- CC, SX, TI, ST, IT, and FQHIT
SCAN ---- CC, SX, TI, ST, IT, and FQHIT (random display,
          no answer numbers)
STD ----- BIB, IPC, and NCL (standard patent information)
IABS ---- ABS, indented with text labels
IALL ---- ALL, indented with text labels
IBIB ---- BIB, indented with text labels
IMAX ----- MAX, indented with text labels
ISTD ---- STD, indented with text labels
OBIB ----- AN, plus Bibliographic Data (original)
OIBIB ----- OBIB, indented with text labels
SBIB ----- BIB, no citations
SIBIB ----- IBIB, no citations
HIT ----- Fields containing hit text terms and the Markush
           structures containing the query structure
FHIT ---- Fields containing the first hit text terms and the first
          Markush structures containing the query structure
QHIT ---- Fields containing query focus hit text terms and the
          Markush structures containing the query structure
FQHIT ---- Fields containing the first query focus hit text terms and
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To display a particular field or fields, enter the display field
codes. For a list of the display field codes, enter "HELP DFIELDS"
at an arrow prompt (=>). Examples of formats include: "TI";
"TI, MSTR, ABS"; "BIB, ST"; "TI, IND"; "TI, SO". You may specify the
format fields in any order and the information will be displayed
in the same order as the format specification.
All of the formats (except for SAM, SCAN, FHIT, HIT, FQHIT, or QHIT) may
be used with the DISPLAY ACC command to display the record for a
specified Accession Number.
ENTER DISPLAY FORMAT (BIB): BIB
L5
     ANSWER 1 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
     139:36349 MARPAT
AN
TТ
     Preparation of arylalkyl-urea/carbamates for treatment of inflammation,
     diabetes and related disorders
IN
     Neogi, Partha; Dey, Debendranath; Li, Ta-Kai; Fuller, Joseph; Chen, Liang
PA
     Calyx Therapeutics Inc., USA
SO
     PCT Int. Appl., 107 pp.
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ΙA
    English
FAN.CNT 1
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                                        APPLICATION NO. DATE
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                                        WO 2002-US38150 20021127
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            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
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             TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,
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             NE, SN, TD, TG
PRAI US 2001-334818P 20011129
L5
     ANSWER 2 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
     138:287410 MARPAT
AN
TI
     Preparation of 3-phenylacrylamides and analogs as inhibitors of
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    Mauleon Casellas, David; Garcia Perez, Luisa; Palomer Benet, Albert;
IN
     Pascual Avellana, Jaime
PA
     Laboratorios Menarini, S.A., Spain
SO
     Span., 27 pp.
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LΑ
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    ANSWER 3 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     138:195820 MARPAT
AN
TI
    Rinse-processing composition for processing silver halide color
    photographic material, processing apparatus and processing method
IN
     Seki, Hioyuki
PΑ
     Fuji Photo Film Co., Ltd., Japan
     Eur. Pat. Appl., 55 pp.
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DT
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     English
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    EP 1286214
PΙ
                     A1 20030226
                                         EP 2002-18919 20020823
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
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     JP 2003140312
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                           20030514
                                          JP 2002-243599
                                                           20020823
PRAI JP 2001-253095 20010823
             THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
             ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 4 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    137:239851 MARPAT
TI
    Electrophoretic displays using improved dispersants
IN
    Obikawa, Takeshi; Katase, Makoto; Kinoshita, Satoshi; Uehara, Masamitsu
PA
    Seiko Epson Corp., Japan
SO
    Jpn. Kokai Tokkyo Koho, 15 pp.
    CODEN: JKXXAF
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DT
    Patent
LΑ
    Japanese
FAN.CNT 2
                  KIND DATE
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    PATENT NO.
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    JP 2002268097
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A1 20021128 US 2002-97361
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    ANSWER 5 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    137:63117 MARPAT
TI
    Preparation of streptogramin derivatives, and compositions containing them
    as antibacterial agents
IN
    Desmazeau, Pascal; Ronan, Baptiste; Bacque, Eric; Barriere, Jean-Claude
    Aventis Pharma S.A., Fr.
PΑ
SO
    PCT Int. Appl., 43 pp.
    CODEN: PIXXD2
DT
    Patent
ΙA
    French
FAN.CNT 1
    PATENT NO. KIND DATE APPLICATION NO. DATE
                                                       ------
                          20020627 WO 2001-FR4061
    WO 2002050083 A1
PΙ
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        RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL,
            PT, SE, TR
                  A1
    FR 2818644
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    CASREACT 137:63117
RE.CNT 5
            THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
            ALL CITATIONS AVAILABLE IN THE RE FORMAT
L5
    ANSWER 6 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
    137:13339 MARPAT
AN
TI
    Homeotropic alignment layer for liquid crystal display
IN
    Heckmeier, Michael; Klasen-Memmer, Melanie; Luessem, Georg; Tarumi,
    Kazuaki; Coates, David; Parri, Owain Llyr; Verrall, Mark Andrew
PA
    Merck Patent Gmbh, Germany
    PCT Int. Appl., 48 pp.
SO
    CODEN: PIXXD2
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    Patent
LA
    English
FAN.CNT 1
    PATENT NO. KIND DATE
    PATENT NO. KIND DATE
                                      APPLICATION NO. DATE
                                       -----
    WO 2002044801 A2 20020606
                                      WO 2001-EP13584 20011122
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            IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD,
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            SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ,
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Page 9

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     ANSWER 7 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
AN
     135:371527 MARPAT
ΤI
     Preparation of bisacylquanidine with cardioprotective activity
IN
     Gericke, Rolf; Beier, Norbert
PA
     Merck Patent G.m.b.H., Germany
     Ger. Offen., 12 pp.
SO
     CODEN: GWXXBX
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PRAI DE 2000-10024319 20000517
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     ANSWER 8 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
     134:348284 MARPAT
TI
     Phenyl compounds to treat diabetes and associated conditions
IN
     Neogi, Partha; Nag, Bishwajit; Lakner, Frederick J.; Dey, Debendranath;
     Medicherla, Satyanarayana
PA
     Calyx Therapeutics, Inc., USA
     PCT Int. Appl., 47 pp.
SO
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1.5
(ALL HITS ARE ITERATION INCOMPLETES)
AN
    134:86151 MARPAT
ΤI
    Preparation of indole-2,3-dicarboxamides, benzothiophene-2,3-carboxamides,
    and benzofuran-2,3-carboxamides as herbicides
IN
    Katsuhira, Takeshi; Harayama, Hiroto; Oda, Yoshiki; Murata, Shinji;
    Takaishi, Hideo
    Nihon Nohyaku Co., Ltd., Japan
PA
    Jpn. Kokai Tokkyo Koho, 28 pp.
SO
    CODEN: JKXXAF
DT
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T.A
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                    KIND DATE
                                         APPLICATION NO.
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L5
AN
    133:296281 MARPAT
TI
    Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting
    antiinflammatory and immune-suppressive compounds
ΙN
    Link, James; Liu, Gang; Pei, Zhonqhua; Von Geldern, Thomas W.; Winn,
    Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong;
    Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae,
    Hwan-soo; Lynch, John K.
    Abbott Laboratories, USA
PΑ
SO
    PCT Int. Appl., 476 pp.
    CODEN: PIXXD2
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              THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
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     ANSWER 11 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
     131:322420 MARPAT
AN
     Substituted phenyl compounds and derivatives thereof that modulate the
TI
     activity of endothelin
     Chan, Ming Fai; Balaji, Vitukudi Narayanaiyengar; Castillo, Rosario
IN
     Silvestre; Kois, Adam; Raju, Bore Gowda; Wu, Chenqde
PΑ
     Texas Biotechnology Corporation, USA
     U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 583,871, abandoned.
SO
     CODEN: USXXAM
DT
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             AZ, BY, KG, KZ, MD, RU, TJ, TM
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     EP 876364
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              THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
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(ALL HITS ARE ITERATION INCOMPLETES)
AN
     131:185250 MARPAT
TI
     Preparation of Streptogramin derivatives as antimicrobial agents
IN
     Desmazeau, Pascal; Doerflinger, Gilles; Ribeill, Yves; Bacque, Eric;
     Barriere, Jean-claude; Dutruc-rosset, Gilles; Puchault, Gerard
PΑ
     Rhone-Poulenc Rorer S.A., Fr.
SO
     PCT Int. Appl., 202 pp.
     CODEN: PIXXD2
DT
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LΑ
     French
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             ALL CITATIONS AVAILABLE IN THE RE FORMAT
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    ANSWER 13 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
AN
    129:40990 MARPAT
TΙ
     Bi-aromatic compounds with RXR receptor activity, pharmaceutical and
     cosmetic compositions containing them, and their uses
IN
     Bernardon, Jean-Michel; Diaz, Philippe
PΑ
     Centre International de Recherches Dermatologiques Galderma (C.I.R.D.
    Galder, Fr.; Bernardon, Jean-Michel; Diaz, Philippe
     PCT Int. Appl., 71 pp.
SO
    CODEN: PIXXD2
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    French
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             PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ,
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    WO 1997-FR2063
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    ANSWER 14 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
    127:220986 MARPAT
AN
    Preparation of phenylalanine derivatives as endothelin antagonists
ΤI
IN
    Berryman, Kent Alan; Cheng, Xue-min; Doherty, Annette Marian; Edmunds,
    Jeremy John; Klutchko, Sylvester
PΑ
    Warner-Lambert Co., USA
    U.S., 23 pp.
SO
    CODEN: USXXAM
DT
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LΑ
    English
FAN.CNT 1
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                                  APPLICATION NO. DATE
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    US 5658943
                    A 19970819
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                                         US 1995-369209 19950105
PRAI US 1995-369209 19950105
    ANSWER 15 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    127:161816 MARPAT
ΤI
    Preparation of aryl- and/or heteroaryl-substituted benzoic acids as
    endothelin antagonists and/or agonists
IN
    Chan, Ming Fai; Balaji, Vitukudi Narayanaiyengar; Castillo, Rosario
    Silverstre; Kois, Adam; Raju, Bore Gowda; Wu, Chengde
PΑ
    Texas Biotechnology Corp., USA
SO
    PCT Int. Appl., 136 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 2
                                        APPLICATION NO. DATE
    PATENT NO. KIND DATE
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    WO 9725321
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    WO 1997-US366
                     19970103
    ANSWER 16 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
    126:312254 MARPAT
AN
    Inhibitors of global pathogenesis gene regulators for treatment of
ΤI
    microbial infections, pharmaceutical compositions, and screening methods
    Bao, Ying; Boggs, Amy; Contag, Pamela R.; Federspiel, Nancy A.; Hebert,
IN
    Alan; Hecker, Scott; Malouin, Francois
PΑ
    Microcide Pharmaceuticals, Inc., USA
SO
     PCT Int. Appl., 137 pp.
    CODEN: PIXXD2
DT
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    English
T.A
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                                         APPLICATION NO. DATE
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    ANSWER 17 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    126:251163 MARPAT
AN
TI
     Preparation of substituted aminouracils as herbicides.
IN
    Andree, Roland; Drewes, Mark Wilhelm; Dollinger, Markus
PA
    Bayer A.-G., Germany
SO
    Ger. Offen., 18 pp.
     CODEN: GWXXBX
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     Patent
    German
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     WO 1996-EP3693 19960822
    ANSWER 18 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     126:171617 MARPAT
AN
TI
     Preparation of arylaminouracils as herbicides and intermediates.
IN
     Andree, Roland; Drewes, Mark Wilhelm; Schallner, Otto; Dollinger, Markus;
     Santel, Hans-Joachim
PΑ
     Bayer A.-G., Germany
SO
    Ger. Offen., 24 pp.
     CODEN: GWXXBX
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                            19990706
     BR 9609671
                                          BR 1996-9671 19960715
                      T2 19990907 JP 1997-507163 19960715
B1 20020709 US 1998-38 19980121
     JP 11510145
US 6417141
PRAI DE 1995-19527570 19950728
    WO 1996-EP3088 19960715
L5
    ANSWER 19 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
AN
     125:167598 MARPAT
     Preparation and formulation of (tetrahydrotetramethylnaphthyloxy)naphthoat
ΤI
     es and analogs for treatment of keratinization disorders
ΤN
     Bernardon, Jean-Michel
     Centre International De Recherches Dermatologiques Galderma (C.I.R.D.
PΑ
     Galderma), Fr.
SO
     Eur. Pat. Appl., 23 pp.
     CODEN: EPXXDW
DT
     Patent
     French
T.A
FAN.CNT 1
     PATENT NO. KIND DATE
                                          APPLICATION NO. DATE
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     EP 722928 A1 19960724
EP 722928 B1 19970806
PΙ
                                          EP 1995-120073 19951219
        R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE
     FR 2729664 A1 19960726 FR 1995-659
                                                            19950120
    FR 2729664 B1 19970221
AT 156474 E 19970815 AT 1995-120073 19951219
ES 2111364 T3 19980301 ES 1995-120073 19951219
AU 9640794 A1 19960815 AU 1996-40794 19960104
     FR 2729664
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	CA	2167651	AA	19960721	CA	1996-2167651	19960119
	CA	2167651	С	20010313			
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	US	5763487	Α	19980609	US	1996-589388	19960122
	US	5985928	Α	19991116	US	1998-5601	19980109
	US	6156750	Α	20001205	US	1999-229829	19990113
PRAI	FR	1995-659	19950	120			
	US	1996-589388	19960	122			
	US	1998-5601	19980	109			

- L5 ANSWER 20 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
- (ALL HITS ARE ITERATION INCOMPLETES)
- AN 124:202282 MARPAT
- TI Preparation of dihydrobenzoxazinone derivatives as phospholipase A2 and interleukin 1 inhibitors
- IN Kawakita, Takeshi; Kuroita, Takanobu; Murozono, Takahiro; Terasawa, Michio; Okamoto, Hitoshi
- PA Yoshitomi Pharmaceutical, Japan
- SO Jpn. Kokai Tokkyo Koho, 35 pp.
- CODEN: JKXXAF
- DT Patent
- LA Japanese
- FAN.CNT 1

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ΡI	JP 07242662	A2	19950919	JP 1994-31631	19940301		
	JP 3348505	B2	20021120				
PRAI	JP 1994-31631	19940	301				

- L5 ANSWER 21 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
- AN 123:198620 MARPAT
- TI Heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis
- IN Fortin, Rejean; Girard, Yves; Grimm, Erich; Hutchinson, John; Scheigetz, John
- PA Merck Frosst Canada, Inc., Can.
- SO U.S., 28 pp. CODEN: USXXAM
- DT Patent
- LA English
- FAN. CNT 1

T TATE	CIVI					
	PATENT NO.	KIND	DATE	API	PLICATION NO.	DATE
PI	US 5360815	Α	19941101	US	1993-81506	19930623
	CA 2125830	AA	19941224	CA	1994-2125830	19940614
PRAI	US 1993-81506	19930	623			

- L5 ANSWER 22 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
- (ALL HITS ARE ITERATION INCOMPLETES)
- AN 123:198425 MARPAT
- TI Preparation of tricarboxylic acid derivatives as squalene synthetase inhibitors
- IN Kobayashi, Takamitsu; Tamura, Kunio; Yoshida, Mitsutaka; Koga, Hiroshi
- PA Chugai Seiyaku Kabushiki Kaisha, Japan
- SO PCT Int. Appl., 102 pp.
  - CODEN: PIXXD2
- DT Patent
- LA Japanese

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FAN.CNT 1
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                                       APPLICATION NO. DATE
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                                        WO 9504025
                    A1 19950209
                                       WO 1994-JP1249 19940729
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        W: AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT,
        UA, US, UZ, VN
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
    JP 07112954
                   A2 19950502 JP 1994-207897 19940728
    AU 9472383
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                         19950228
                                       AU 1994-72383 19940729
PRAI JP 1993-227745 19930729
    WO 1994-JP1249 19940729
    ANSWER 23 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
AN
    123:69846 MARPAT
    Diphenylamine compounds
ΤI
    Beckmann, Stefan; Etzbach, Karl-Heinz; Sens, Ruediger
IN
PA
    BASF A.-G., Germany
SO
    Ger. Offen., 11 pp.
    CODEN: GWXXBX
DT
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LΑ
    German
FAN.CNT 1
    PATENT NO. KIND DATE
                                 APPLICATION NO. DATE
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    DE 4335496 A1 19950420
WO 9511278 A1 19950427
                                        DE 1993-4335496 19931019
PΙ
                                       WO 1994-EP3330 19941010
        W: JP, KR, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                    A1 19960807
                                      EP 1994-928882 19941010
    EP 724609
        R: CH, DE, FR, GB, IT, LI, NL
    JP 09505331 T2 19970527
                                        JP 1994-511265
                                                         19941010
    US 5696243
                    Α
                          19971209
                                        US 1996-628641 19960419
PRAI DE 1993-4335496 19931019
    WO 1994-EP3330 19941010
    ANSWER 24 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    123:55865 MARPAT
AN
    Preparation and formulation of N-[(phenylureido)acetyl]thiazolidine-4-
TТ
    carboxylates and analogs as gastrin and CCK antagonists
    Dubroeucq, Marie-Christine; Manfre, Franco
ΙN
PΑ
    Rhone-Poulenc Rorer SA, Fr.
SO
    Fr. Demande, 59 pp.
    CODEN: FRXXBL
DT
    Patent
LΑ
    French
FAN.CNT 1
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                 KIND DATE
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                                        -----
                   A1 19940708
PΙ
    FR 2700168
                                        FR 1993-76
                                                        19930107
    FR 2700168
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    CA 2152184
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                                        CA 1994-2152184 19940103
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    AU 9458351
                    A1
                         19940815
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          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
      HU 73428 A2 19960729 HU 1995-2064 19940103
      JP 08507292
                                                    JP 1994-515746 19940103
                           T2 19960806
                                               AT 1994-904199 19940103
      AT 167681
                          E
                                 19980715
E 19980715 AT 1994-904199 19940103
ES 2119160 T3 19981001 ES 1994-904199 19940103
ZA 9400079 A 19940811 ZA 1994-79 19940106
US 5633270 A 19970527 US 1995-446745 19950606
NO 9502687 A 19950905 NO 1995-2687 19950706

PRAI FR 1993-76 19930107
WO 1994-FR7 19940103
L5
      ANSWER 25 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     123:9454 MARPAT
AN
TI
      Preparation of 4-cyanophenyliminoheterocycles as herbicides.
      Schallner, Otto; Andree, Roland; Drewes, Mark Wilhelm; Dollinger, Markus;
IN
      Santel, Hans-Joachim
PΑ
      Bayer A.-G., Germany
SO
      Eur. Pat. Appl., 154 pp.
      CODEN: EPXXDW
DT
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LΑ
      German
FAN.CNT 1
      PATENT NO. KIND DATE APPLICATION NO. DATE
      EP 648772 A1 19950419 EP 1994-115645 19941005 EP 648772 B1 20020904
          R: BE, CH, DE, ES, FR, GB, IT, LI, NL
      DE 4335438 A1 19950420 DE 1993-4335438 19931018
EP 1164128 A1 20011219 EP 2001-122556 19941005
          R: BE, CH, DE, ES, FR, GB, IT, LI, NL
      ES 2181697 T3 20030301 ES 1994-115645 19941005
     CA 2118191 AA 19950419 CA 1994-2118191 19941014
JP 07188251 A2 19950725 JP 1994-276090 19941014
BR 9404136 A 19951017 BR 1994-4136 19941017
CN 1104215 A 19950628 CN 1994-117303 19941018
CN 1048497 B 20000119
US 5756805 A 19980526 US 1996-738991 19961024
CN 1183415 A 19980603 CN 1997-117829 19970820
CN 1057765 B 20001025
      CA 2118191
                          AA 19950419
                                                  CA 1994-2118191 19941014
PRAI DE 1993-4335438 19931018
      EP 1994-115645 19941005
      US 1994-321295 19941011
      ANSWER 26 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
     122:9676 MARPAT
AN
ΤI
      Process for O-alkylation of carboxylic acids by organic carbonates.
IN
     Heuer, Lutz; Joentgen, Winfried; Klausener, Alexander
PΑ
      Bayer A.-G., Germany
SO
      Ger. Offen., 7 pp.
      CODEN: GWXXBX
ĎΤ
     Patent
LΑ
     German
FAN.CNT 1
      PATENT NO. KIND DATE APPLICATION NO. DATE
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PI DE 4311424 A1 19941013
                                                      DE 1993-4311424 19930407
PRAI DE 1993-4311424 19930407
      CASREACT 122:9676
      ANSWER 27 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN
      121:133976 MARPAT
ΤI
      Carboxylic Acid Derivatives and Their Uses as Pharmaceuticals
IN
      Himmelsbach, Frank; Linz, Guenter; Austel, Volkhard; Pieper, Helmut;
      Mueller, Thomas; Weisenberger, Johannes; Guth, Brian
PA
      Thomae, Dr. Karl, G.m.b.H., Germany
SO
      Ger. Offen., 24 pp.
      CODEN: GWXXBX
DT
      Patent
LΑ
      German
FAN.CNT 1
      FALENI NO. KIND DATE APPLICATION NO. DATE
      DE 4241632 A1 19940616 DE 1992-4241632 19921210 CA 2111035 AA 19940611 CA 1993-2111035 19931208 EP 604800 A1 19940706 EP 1993-119786 19931208
PΙ
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
      R: AI, BE, CH, DE, DR, ES, FR, GB, GR, 1E, II, EI, E0, ND, FI 9305513 A 19940611 FI 1993-5513 19931209 NO 9304501 A 19940613 NO 1993-4501 19931209 JP 06239817 A2 19940830 JP 1993-308419 19931209 ZA 9309230 A 19950609 ZA 1993-9230 19931209 AU 9352306 A1 19940623 AU 1993-52306 19931210 CN 1094035 A 19941026 CN 1993-120876 19931210
PRAI DE 1992-4241632 19921210
L5
      ANSWER 28 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
AN 121:9389 MARPAT
ΤI
      Preparation of isoxazoles derivatives and their use as herbicides
IN
      Cramp, Susan Mary; Smith, Philip Henry Gaunt
PA
      Rhone Poulenc Agriculture Ltd., UK
SO
      Eur. Pat. Appl., 23 pp.
      CODEN: EPXXDW
DT
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      English
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      EP 588357 A1 19940323
EP 588357 B1 20020612
                                                       EP 1993-114989 19930917
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           R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
      AU 9346250 A1 19940324
                                                      AU 1993-46250 19930908
     AU 666397 B2 19960208
CA 2105822 AA 19940319 CA 1993-2105822 19930909
IL 106997 A1 19970610 IL 1993-106997 19930913
BR 9303517 A 19940322 BR 1993-3517 19930916
FI 9304089 A 19940319 FI 1993-4089 19930917
ZA 9306867 A 19940411 ZA 1993-6867 19930917
CN 1085219 A 19940413 CN 1993-117864 19930917
CN 1045439 B 19991006
JP 06192015 A2 19940712 JP 1993-231546 19930917
HU 68735 A2 19950728 HU 1993-2622 19930917
                            B2
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US 5480857 A 19960102 US 1993-128605 19930917 RU 2114842 C1 19980710 RU 1993-52688 19930917 EP 1156048 A1 20011121 EP 2001-119705 19930917
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE
      AT 219079 E 20020615 AT 1993-114989 19930917
                                20021101 ES 1993-114989
      ES 2173877
                           T3
                                                                         19930917
PRAI GB 1992-19779
                          19920918
      EP 1993-114989 19930917
      ANSWER 29 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
T.5
(ALL HITS ARE ITERATION INCOMPLETES)
AN
     120:270094 MARPAT
TI
      Preparation of cyclic imino derivatives as cell aggregation inhibitors
IN
      Himmelsbach, Frank; Austel, Volkhard; Pieper, Helmut; Linz, Guenter;
      Weisenberger, Johannes; Mueller, Thomas
PA
      Thomae, Dr. Karl, G.m.b.H., Germany
SO
      Eur. Pat. Appl., 38 pp.
      CODEN: EPXXDW
DΤ
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LA
     German
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                         KIND DATE APPLICATION NO. DATE
      PATENT NO. KIND DATE
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      EP 567966 A1 19931103 EP 1993-106724 19930426 EP 567966 B1 19980902
ΡI
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
      DE 4213919 A1 19931104 DE 1992-4213919 19920428
     DE 4213919

DE 4213919

DE 1992-4213919

DE 1992-4213919

DE 19920428

US 5576444

A 19961119

DE 1993-53037

19930426

AT 170509

E 19980915

AT 1993-106724

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ES 2121888

T3 19981216

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CA 2095009

AA 19931029

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19930427

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A 19931029

NO 1993-1526

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NO 180045

B 19961028

NO 180045

C 19970205

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A2 19940315

JP 1993-99930

19930427

AU 9338222

A1 19931104

AU 1993-1222

19930428

AU 662223

B2 19950824

DE 1992-4213919

19920428
PRAI DE 1992-4213919 19920428
      ANSWER 30 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
L5
      120:263859 MARPAT
AN
TI
      Preparation of herbicidal benzene derivatives.
IN
      Patel, Kanu Maganbhai
      du Pont de Nemours, E. I., and Co., USA
PA
SO
      PCT Int. Appl., 163 pp.
      CODEN: PIXXD2
DT
     Patent
T.A
     English
FAN.CNT 1
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PΙ
      WO 9405153
                         A1 19940317
                                                   WO 1993-US8096 19930902
          W: JP, KR, US
          RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                          A1 19950628 EP 1993-921226 19930902
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JP 08501100
                     T2 19960206
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                                                        19930902
PRAI US 1992-942539 19920909
    WO 1993-US8096
                   19930902
    ANSWER 31 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    120:30773 MARPAT
AN
ΤI
    Oxadiazole derivatives having acetylcholinesterase-inhibitory and
    muscarinic receptor agonist activity
IN
    Takasugi, Hisashi; Kuno, Atsushi; Ohkubo, Mitsuru
PA
    Fujisawa Pharmaceutical Co., Ltd., Japan
SO
    PCT Int. Appl., 149 pp.
    CODEN: PIXXD2
    Patent
DT
    English
LΑ
FAN.CNT 1
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    WO 9313083 A1 19930708
                                        WO 1992-JP1658 19921218
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                    A1 19930728
A1 19941019
    AU 9331714
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    EP 619814
                                        EP 1993-900416 19921218
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE
    JP 07502529 T2 19950316 JP 1992-511547 19921218 US 5622976 A 19970422 US 1994-244904 19940624
PRAI GB 1991-27533
                     19911231
    GB 1992-20904
                     19921005
                   19921218
    WO 1992-JP1658
    ANSWER 32 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    119:159751 MARPAT
AN
TI
    Preparation of 2-(oximinoalkyl)cyclohexane-1,3-diones as synergistic
    herbicides
    Kast, Juergen; Meyer, Norbert; Misslitz, Ulf; Bratz, Matthias; Walter,
IN
    Helmut; Rademacher, Wilhelm; Landes, Andreas; Kckemie, Tom; Carlson, Dale
PA
    BASF A.-G., Germany
    Ger. Offen., 33 pp.
SO
    CODEN: GWXXBX
DT
    Patent
T.A
    German
FAN.CNT 1
    PATENT NO. KIND DATE APPLICATION NO. DATE
    PATENT NO.
    DE 4222261
                     A1
                          19930609
                                        DE 1992-4222261 19920707
PRAI US 1991-790277 19911107
    ANSWER 33 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    119:138789 MARPAT
TI
    Preparation of 2-aralkoximinoalkyl-3-hydroxy-2-cyclohexenones and analogs
    as herbicides and benzothiophene antidotes for them
    Hagen, Helmut; Nilz, Gerhard; Roetsch, Thomas; Walter, Helmut; Landes,
IN
    Andreas
PA
    BASF A.-G., Germany
SO
    Ger. Offen., 76 pp.
    CODEN: GWXXBX
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DT
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LA
    German
FAN.CNT 1
    PATENT NO. KIND DATE
                                     APPLICATION NO. DATE
    DE 4126999 A1 19930218

WO 9304057 A2 19930304

WO 9304057 A3 19930722
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                                     DE 1991-4126999 19910816
PΙ
                                     WO 1992-EP1798 19920807
       W: CA, HU, JP, KR, US
       RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE
    EP 599906 A1 19940608 EP 1992-917128 19920807
    EP 599906
                    B1 19970115
       R: AT, BE, CH, DE, FR, GB, IT, LI, NL
    JP 06510029 T2 19941110 JP 1992-504062 19920807
    HU 67251
                   A2 19950328
                                     HU 1994-429 19920807
                   E 19970215
    AT 147740
                                     AT 1992-917128 19920807
    US 5491123
                        19960213 US 1994-193073 19940204
                   Α
PRAI DE 1991-4126999 19910816
    WO 1992-EP1798 19920807
    ANSWER 34 OF 34 MARPAT COPYRIGHT 2003 ACS on STN
(ALL HITS ARE ITERATION INCOMPLETES)
    116:13416 MARPAT
AN
    Pressure- and heat-sensitive recording materials with good sensitivity,
TT
    storability and image stability
    Sano, Masajiro; Takashima, Masanobu; Satomura, Masato
IN
PA
    Fuji Photo Film Co., Ltd., Japan
    Jpn. Kokai Tokkyo Koho, 11 pp.
    CODEN: JKXXAF
DT
    Patent
LΑ
    Japanese
FAN.CNT 1
    PATENT NO. KIND DATE APPLICATION NO. DATE
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PI JP 03142277 A2 19910618
                                     JP 1989-282319 19891030
PRAI JP 1989-282319 19891030
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Patel

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FULL ESTIMATED COST

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L6 3 L2

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L7 34 L5

=> s 16 and 17

L8 1 L6 AND L7

=> d 16 fbib hitstr abs total

- L6 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2001:758465 CAPLUS
- DN 136:47984
- TI Discovery of Novel p-Arylthio Cinnamides as Antagonists of Leukocyte Function-Associated Antigen-1/Intercellular Adhesion Molecule-1 Interaction. 4. Structure-Activity Relationship of Substituents on the Benzene Ring of the Cinnamide
- AU Winn, Martin; Reilly, Edward B.; Liu, Gang; Huth, Jeffrey R.; Jae, Hwan-Soo; Freeman, Jennifer; Pei, Zhonghua; Xin, Zhili; Lynch, John; Kester, Jeff; von Geldern, Thomas W.; Leitza, Sandra; DeVries, Peter; Dickinson, Robert; Mussatto, Donna; Okasinski, Gregory F.
- CS Metabolic Disease Research Pharmaceutical Products Division, Abbott Laboratories, Abbott Park, IL, 60064-6098, USA
- SO Journal of Medicinal Chemistry (2001), 44(25), 4393-4403 CODEN: JMCMAR; ISSN: 0022-2623
- PB American Chemical Society
- DT Journal
- LA English
- IT 381229-53-4

Patel

RL: RCT (Reactant); RACT (Reactant or reagent)

(prepn. and structure-activity relationships of p-arylthic cinnamides as antagonists of LFA-1/ICAM-1)

RN 381229-53-4 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2-methyl-5-nitrophenyl]-, methyl ester (9CI) (CA INDEX NAME)

IT 381229-52-3P 381229-54-5P 381229-55-6P

381229-56-7P 381229-79-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and structure-activity relationships of p-arylthic cinnamides as antagonists of LFA-1/ICAM-1)

RN 381229-52-3 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2-methyl-5-nitrophenyl](9CI) (CA INDEX NAME)

$$HO_2C-CH$$
  $CH$   $MeO$ 

RN 381229-54-5 CAPLUS

CN 2-Propenoic acid, 3-[5-amino-4-[(2-methoxyphenyl)thio]-2-methylphenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 381229-55-6 CAPLUS

CN 2-Propenoic acid, 3-[5-chloro-4-[(2-methoxyphenyl)thio]-2-methylphenyl]- (9CI) (CA INDEX NAME)

RN 381229-56-7 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2-methylphenyl]- (9CI) (CA INDEX NAME)

RN 381229-79-4 CAPLUS

CN 2-Propenoic acid, 3-[3-chloro-4-[(2-methoxyphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)

AB We have shown that p-arylthio cinnamides can inhibit the interaction of LFA-1 and ICAM-1, which is involved in cell adhesion and the inflammatory process. We now show that 2,3-disubstitution on the aryl portion of the cinnamide results in enhanced activity over mono substitution on the ring. The best 2,3-substituents were chlorine and trifluoromethyl groups. Compds. 39 and 40 which contain two CF3 groups have IC50 values of 0.5 and 0.1 nM, resp., in inhibiting JY8 cells expressing LFA-1 on their surface, from adhering to ICAM-1. The structure-activity relation (SAR) was examd. using an NMR based model of the LFA-1 I domain/compd. 31 complex. One of our compds. (38) was able to reduce cell migration in two different in vivo expts.

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:725609 CAPLUS

DN 133:296281

- TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
- IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn,
   Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong;
   Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae,

Hwan-soo; Lynch, John K.

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Abbott Laboratories, USA
PA
    PCT Int. Appl., 476 pp.
SO
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
FAN.CNT 1
                   KIND DATE
                                        APPLICATION NO. DATE
    PATENT NO.
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                                         _____
    WO 2000059880
                    A1 20001012
                                        WO 2000-US8895 20000403
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        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
            CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
            ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
            LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
            SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                         US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
                                         US 2000-541795 A 20000331
                                         EP 2000-921654 20000403
    EP 1165505
                     Α1
                           20020102
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
                                          WO 2000-US8895 W 20000403
    BR 2000009426
                      Α
                           20020409
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                                                         20000403
                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
                                          US 2000-541795 A 20000331
                                          WO 2000-US8895 W 20000403
    EE 200100513
                      Α
                           20021216
                                          EE 2001-513
                                                          20000403
                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
                                          US 2000-541795 A 20000331
                                          WO 2000-US8895 W 20000403
    NO 2001004767
                     Α
                           20011130
                                          NO 2001-4767
                                                          20011001
                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
                                          WO 2000-US8895 W 20000403
    BG 106029
                      Α
                           20020531
                                          BG 2001-106029
                                                          20011018
                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
                                          US 2000-541795 A 20000331
                                          WO 2000-US8895 W 20000403
    HR 2001000776 A1
                           20021231
                                          HR 2001-776
                                                      20011023
                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
                                          US 2000-541795 A 20000331
                                          WO 2000-US8895 W 20000403
OS
    MARPAT 133:296281
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IT 280752-98-9

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of (phenylthio) cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

Patel

RN 280752-98-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 280752-72-9P 301179-73-7P 301179-75-9P,

2,3-Dichloro-4-(2-methoxyphenylthio)cinnamic acid 301179-87-3P

301179-93-1P 301179-94-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (phenylthio) cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 280752-72-9 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-, methyl ester, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-73-7 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-75-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)

RN 301179-87-3 CAPLUS

CN 2-Propenoic acid, 3-[2-chloro-4-[(2-methoxyphenyl)thio]-6-(2-propenyloxy)phenyl]- (9CI) (CA INDEX NAME)

$$H_2C = CH - CH_2 - O$$
 $HO_2C - CH = CH$ 
 $MeO$ 

RN 301179-93-1 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2,3-bis(trifluoromethyl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-94-2 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-hydroxyphenyl)thio]phenyl]-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

GI

Ar 
$$R^{1}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R$ 

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un) substituted (hetero) aryl] were prepd. as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixt. of 2-[(2,4dichlorophenyl)thio]benzaldehyde (prepn. given), malonic acid, piperidine in anhyd. pyridine was heated at 110.degree.C for 2 h and then treated with aq. HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 .mu.M. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 .mu.M and 0.6 .mu.M, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L6 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2000:457022 CAPLUS

DN 133:89514

TI Cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds

IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Tom; Winn, Martin;
Xin, Zhili; Boyd, Steven A.; Jae, Hwan-Soo; Lynch, John K.; Zhu, Gui-Dong;
Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 400 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

FAN.	FAN.CNT 1																
	PATENT	NO.		KIN	KIND DATE			APPLICATION NO.				<b>)</b> . 1	DATE				
ΡI	WO 2000039081			A2	A2 20000706			WO 1999-US31162			62	19991229					
	WO 2000039081			A3 20010525													
	W:	AE, A	AL, A	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CR,	CU,
		CZ, I	DE, I	DK,	DM,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	HU,	ID,	IL,
		IN,	IS, i	JΡ,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	MA,
		MD, I	MG, N	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,
		SK,	SL, 1	TJ,	TM,	TR,	TT,	TZ,	UA,	UG,	UZ,	VN,	YU,	ZA,	ZW,	AM,	AZ,
		BY,															
	RW:	GH, (	GM, E	ΚĖ,	LS,	MW,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	DE,
		DK, I	ES, E	FI,	FR,	GB,	GR,	ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,
		CG, (	CI, (	CM,	GA,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG				

	6110000	70	2000000		US 1998-222491 A 19981229	
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CA	2356320	AA	20000706		CA 1999-2356320 19991229	
					US 1998-222491 A 19981229	
					WO 1999-US31162W 19991229	
ΕP	1140814	A2	20011010		EP 1999-966709 19991229	
	R: AT, BE, C	H, DE	, DK, ES, E	FR,	GB, GR, IT, LI, LU, NL, SE, MC, PT	,
	IE, SI, L	T, LV	, FI, RO			
					US 1998-222491 A 19981229	
					WO 1999-US31162W 19991229	
JΡ	2002533434	T2	20021008		JP 2000-590994 19991229	
					US 1998-222491 A 19981229	
					WO 1999-US31162W 19991229	
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					US 1998-222491 A 19981229	
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NΟ	2001003241	Δ	20010828			
-1.0	2001003211	••	20010020		US 1998-222491 A 19981229	
					WO 1999-US31162W 19991229	
ЦЪ	2001000512	λ1	20020831		HR 2001-512 20010710	
1110	2001000312	ΑT	20020031		US 1998-222491 A 19981229	
					WO 1999-US31162W 19991229	
DC	105722	7.	20020228			
ВG	105732	A	20020228		BG 2001-105732 20010725	
					US 1998-222491 A 19981229	
					WO 1999-US31162W 19991229	
MAF	RPAT 133:89514					

OS

ΙT 280752-72-9P 280752-98-9P, 2,3-Dichloro-4-(2-

methoxyphenylthio)cinnamic acid 280753-13-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of N-(hetaryl) (arylthio) cinnamamides with antiinflammatory, immune suppressant and cell adhesion inhibiting activity)

280752-72-9 CAPLUS RN

2-Propenoic acid, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl)-, CNmethyl ester, (2Z) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280752-98-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-, (2E)-(9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 280753-13-1 CAPLUS

CN 2-Propenoic acid, 3-[3-chloro-4-[(2-methoxyphenyl)thio]-2-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

AB The present invention relates to novel cinnamide compds. that are useful for treating inflammatory and immune diseases, to pharmaceutical compns. contg. these compds., and to methods of inhibiting inflammation or suppressing immune response in a mammal. Among the approx. 400 trans-arylthiocinnamamide title compds., prepd. by std. methods, were 6-benzodioxanyl 2-trifluoromethyl-4-[(E)-2-[3-(R)-(ethoxycarbonyl)piperidinocarbonyl]ethenyl]phenyl sulfide (I), 2-ethoxyphenyl 2-trifluoromethyl-4-[(E)-2-[2-carboxy-4-(methoxycarbonyl)-1-piperazinylcarbonyl]ethenyl]phenyl sulfide (II) and 2-isopropylphenyl 2-nitro-4-[(E)-2-[3-(2-oxo-1-pyrrolidinyl)-1-propylaminocarbonyl]ethenyl]phenyl sulfide (III). The abilities of the title compds. to antagonize the interaction between ICAM-1 and LFA-1 were quantified using both biochem. and cell-based adhesion assays. E.g., compds. I-III exhibited 98% inhibition @ 4.mu.M.

## => d 17 fbib hitstr abs total

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L7 ANSWER 1 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2003:454275 CAPLUS

DN 139:36349

TI Preparation of arylalkyl-urea/carbamates for treatment of inflammation, diabetes and related disorders

IN Neogi, Partha; Dey, Debendranath; Li, Ta-Kai; Fuller, Joseph; Chen, Liang

PA Calyx Therapeutics Inc., USA

SO PCT Int. Appl., 107 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 2003048108 A2 20030612 WO 2002-US38150 20021127

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
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US 2001-334818PP 20011129

OS MARPAT 139:36349

GΙ

- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- Title compds. I [R1-7 = H, alkyl, chloroalkyl, alkenyl, etc.; R8-9 = H, alkyl, alkenyl, heteroaryl, etc.; R10-12 = H, alkyl, alkenyl, aryl, heteroaryl, etc.; X = O, N, S0-2, etc.; Y = O, S, NH; Z = alkoxy, alkyl, chloroalkyl, etc.] and related analogs are prepd. For instance, 3-[3,5-dimethoxyphenyl]-2-[4-hydroxyphenyl]acrylic acid (prepn. qiven) is reacted with 4-fluorobenzaldehyde (DMSO, KOBu-t, 100.degree., 5 h), the resulting aldehyde is reacted with triethylphosphonoacetate (THF, NaH), the disubstituted olefin is then selectively reduced (EtOH-dioxane, H2-Raney Ni), the ester reacted with urea (EtOH, NaOEt) and finally esterified to give II. A selected example compd. has IC50 < 1 .mu.M for PDE4 and IC50 = 13.6 .mu.M for PDE3 and inhibits LPS-induced phosphorylation of p44/42 MAP kinase at 30 .mu.M. I are effective inhibiting the cytokine-mediated inflammatory response in cultured cells, in ameliorating bone destruction, in an animal model of arthritis and in lowering blood glucose levels in animal models of Type II diabetes mellitus. I are also useful for a variety of treatments including the treatment of diabetes mellitus, insulin resistance, inflammation, inflammatory diseases, immunol. diseases and cancer.

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L7 ANSWER 2 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2003:315967 CAPLUS

DN 138:287410

TI Preparation of 3-phenylacrylamides and analogs as inhibitors of cyclooxygenase II

IN Mauleon Casellas, David; Garcia Perez, Luisa; Palomer Benet, Albert; Pascual Avellana, Jaime

PA Laboratorios Menarini, S.A., Spain

SO Span., 27 pp. CODEN: SPXXAD

DT Patent

LA Spanish

FAN. CNT 1

1 2 114 .	CIVI						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	ES 2164564	A1	20020216	ES 1999-2287	19991018		
	ES 2164564	B1	20030216				
				ES 1999-2287	19991018		

OS MARPAT 138:287410

GΙ

$$Z-A$$
 $E$ 
 $D$ 
 $X$ 
 $R1$ 

AB Carboxylic acids, amides and esters I [D = (alkyl)eth(en)ylene or ethynylene; A = CO, O, S, NH; X = NH or alkylimino; E = halo, alk(en)(yn)yl, cycloalkyl, cycloalkylalkyl, arylalkyl, haloalkyl, acyl, etc.; Z = (un)substituted Ph, pyridyl, furyl or thienyl; R1 = H, alkyl or phenylalkyl] or their pharmaceutically-acceptable salts were prepd. as inhibitors of cyclooxygenase II for treatment of inflammation, pain, fever, colorectal cancer, and Alzheimer's disease. Thus, 3-(3-benzoyl-5-ethyl)acrylamide was prepd. by a multistep sequence starting from Me 5-aminoisophthalate and involving reaction of 3-bromo-5-ethylbenzophenone with acrylamide in the final step.

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L7 ANSWER 3 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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Ι

Page 33

AN 2003:150646 CAPLUS

DN 138:195820

TI Rinse-processing composition for processing silver halide color photographic material, processing apparatus and processing method

IN Seki, Hioyuki

PA Fuji Photo Film Co., Ltd., Japan

SO Eur. Pat. Appl., 55 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PA.	rent	NO.		KIND DATE					APPLICATION NO.				ο.	DATE			
				<b></b>														
ΡI	EP 1286214				A1 20030226					EP 2002-18919					20020823			
		R:	ΑT,	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
			ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	SK		
										J:	P 20	01-2	5309!	5 A	2001	0823		
	CN	1407	400		Α		2003	0402		Cì	1 20	02-1	3011	6	2002	0822		
										J	P 20	01-2	5309!	5 A	2001	0823		
	JP	2003	1403	12	A2	2 :	2003	0514		J	P 20	02-2	4359	9	2002	0823		
										J	P 20	01-2	5309!	5 A	2001	0823		

OS MARPAT 138:195820

AB A rinse-processing compn. of the present invention comprises a compd. represented by R-(OC2H4)n-OH, (R=C8-13 alkyl; n=10-30), but comprises neither aldehyde compds. nor hexamethylenetetramine derivs. The present invention relates to a processing method and a processing app. using such a rinse-processing compn.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2002:709196 CAPLUS
- DN 137:239851
- TI Electrophoretic displays using improved dispersants
- IN Obikawa, Takeshi; Katase, Makoto; Kinoshita, Satoshi; Uehara, Masamitsu

PA Seiko Epson Corp., Japan

SO	GO Jpn. Kokai Tokkyo Koho, 15 pp. CODEN: JKXXAF									
DT LA FAN	Patent Japanese CNT 2				•					
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE					
PI	JP 2002268097 US 2002175891	20010313 20020312 20010313 20010313								
PATE FAN	INT FAMILY INFORMA 2002:709197									
	PATENT NO.		DATE	APPLICATION NO.	DATE					
PI	JP 2002268098 US 2002175891	A2 A1	20020918	JP 2001-70372 US 2002-97361 JP 2001-70371 A JP 2001-70372 A	20010313 20020312 20010313					
OS AB	MARPAT 137:23985 The displays use dispersants for reliability and	org. electr	ophoretic part	gtoreq.2 rings i	n structures in ys have improved					
L7 AN DN TI	N 2002:487570 CAPLUS N <b>137:63117</b>									
IN PA SO	as antibacterial Desmazeau, Pasca Aventis Pharma S PCT Int. Appl., CODEN: PIXXD2	agent 1; Ron 5.A., F	s an, Baptiste;	Bacque, Eric; Barr	_					
DT LA	Patent French									
FAN.	CNT 1	KIND	D3.000	A DDI T CA ELLOY AND	D3.000					
	PATENT NO.		DATE	APPLICATION NO.	DATE					
ΡΙ	WO 2002050083 W: JP	A1	20020627	WO 2001-FR4061	20011219					
	RW: AT, BE, PT, SE,		, DE, DK, ES,	FI, FR, GB, GR, IE FR 2000-16803 A	, IT, LU, MC, NL,					
	FR 2818644	A1	20020628	FR 2000-16803	20001221					
	US 2002143041 US 6596717	A1 B2	20021003 20030722	US 2001-24186	20011221					
				FR 2000-16803 A						
OS GI	CASREACT 137:631	17; MA	RPAT 137:63117	US 2001-262645PP	20010122					

AB The invention concerns group A streptogramin derivs. I [R1 = linear or branched C1-6-alkyl, C3-6-alkenyl or C3-6-alkynyl which may be

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

mono-fluorinated or poly-fluorinated, C3-6-cycloalkyl, phenylmethyl, arom. heterocyclylmethyl; R2 = H, Me, Et; the dashed bond = a single bond (stereochem. 27R) or a double bond] and their pharmaceutically acceptable salts or their mixt. with group B derivs. and their prepn. characterized by direct alkylation of I (R1 = H) with R1X (X = halogen, OSO2Me, OSO2C6H4Me-4, OSO2CF3) in the presence of a phase transfer agent or from macrolide II (R3 = BOC or other protective group; R4 = H, R1). Thus, I (R1 = R2 = Me) was prepd. from I (R1 = H, R2 = Me) via redn. with NaBH4 in CH2Cl2 followed by alkylation with MeI in aq. CH2Cl2 contg. NaOH and catalytic Bu4NBr. Derivs. I are particularly interesting antibacterial agents. Streptogramin derivs. I were tested in vitro [DC50 = 0.06 - 32 .mu.g/mL, alone or in combination with type B derivs.] and in vivo [DC50 = 32 - 150 mg/kg orally in mice].

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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ANSWER 6 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
L7
AN
    2002:429215 CAPLUS
DN
    137:13339
ΤI
    Homeotropic alignment layer for liquid crystal display
    Heckmeier, Michael; Klasen-Memmer, Melanie; Luessem, Georg; Tarumi,
IN
    Kazuaki; Coates, David; Parri, Owain Llyr; Verrall, Mark Andrew
PΑ
    Merck Patent Gmbh, Germany
    PCT Int. Appl., 48 pp.
SO
    CODEN: PIXXD2
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO.
                KIND DATE
                                APPLICATION NO. DATE
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                                       -----
    WO 2002044801 A2
                                      WO 2001-EP13584 20011122
PΙ
                         20020606
    WO 2002044801
                   A3 20020801
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CO, CU,
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 2000-125235 A 20001123

AU 2002033193 A5 20020611 AU 2002-33193 20011122 EP 2000-125235 A 20001123 WO 2001-EP13584W 20011122

OS MARPAT 137:13339

AB The invention relates to an alignment layer comprising a polymd. liq. crystal material with homeotropic orientation, to methods of its prepn., to polymerizable liq. crystal compns. and liq. crystal polymers used for the prepn. of the alignment layer, to liq. crystal devices comprising the alignment layer, and to a method of controlling the electrooptical steepness of a liq. crystal display comprising at least one alignment layer by varying the surface anchoring energy of the alignment layer. The alignment layer of homeotropic liq. crystal polymd. material of the present invention exhibits particularly high surface anchoring energy and yields strong homeotropic alignment in a liq. crystal medium. The inventive alignment layer induces improved vertical or homeotropic alignment in a liq. crystal display medium.

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L7
     ANSWER 7 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     2001:850646 CAPLUS
DN
     135:371527
     Preparation of bisacylguanidine with cardioprotective activity
IT
IN
     Gericke, Rolf; Beier, Norbert
     Merck Patent G.m.b.H., Germany
PA
     Ger. Offen., 12 pp.
SO
     CODEN: GWXXBX
DT
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
                         KIND DATE
                                                APPLICATION NO.
                         _ _ _ _
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PΙ
     DE 10024319
                         A1
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                                                DE 2000-10024319A 20000517
     CASREACT 135:371527; MARPAT 135:371527
OS
GΙ
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Page 36

Ι

$$H_2N$$
 $NH_2$ 
 $CH_2-CH_2$ 
 $NH_2$ 
 $NH_2$ 

AB Bisacylguanidines I [one of R1, R2, R3, R4 or R5 = CON:C(NH2)2, CH:CMeCON:C(NH2)2 and one of R6, R7, R8, R9 or R10 = CON:C(NH2)2, CH:CMeCON:C(NH2)2; the other R1 - R10 = H, A, CH, F, Cl, Br, I, SA, OA, SO2A, OH, NH2, NHA, NA2, COA, (un)substituted Ph, CH2Ph, OPh, N-, S-, O-contg. heterocycle; X = S, SO2, (CH2)n, CO,O, OCH2; A = C1-8-alkyl; n =

1 - 3] and their physiol. harmless salts and/or solvates, with cardioprotective characteristics and works as inhibitors of the cellular Na+/H+ antiporters of the Subtyp 1 are described. Thus, N-{3-[2-(3-guanidinocarbonylphenyl)ethyl]benzoyl}guanidine dihydrochloride (II.cntdot.HCl), was prepd. from 3-[2-(3-carboxyphenyl)ethyl]benzoic acid and Boc-guanidine in 1-methyl-2-pyrrolidone contg. 2-chloro-1-methylpyridinium iodide and Et2NCHMe2, followed by hydrolysis with aq. HCl. Formulations for use in injections, suppositories, solns., tablets, capsules and ampules are given.

```
L7
    ANSWER 8 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
ΑN
    2001:359750 CAPLUS
DN
     134:348284
TI
     Phenyl compounds to treat diabetes and associated conditions
IN
     Neogi, Partha; Nag, Bishwajit; Lakner, Frederick J.; Dey, Debendranath;
     Medicherla, Satyanarayana
     Calyx Therapeutics, Inc., USA
PA
SO
     PCT Int. Appl., 47 pp.
     CODEN: PIXXD2
DT
     Patent
LΑ
     English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                           APPLICATION NO.
                                                            DATE
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PΙ
     WO 2001034094
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                                           US 1999-436047 A 19991108
                            20030225
     US 6525093
                       В1
                                           US 1999-436047
                                                            19991108
     AU 2001017607
                      A5
                            20010606
                                           AU 2001-17607
                                                            20001108
                                           US 1999-436047 A 19991108
                                           WO 2000-US30927W 20001108
     EP 1235785
                            20020904
                                           EP 2000-980331
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                                                          20001108
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OS
    MARPAT 134:348284
GI
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$$\begin{array}{c} \text{MeO} \\ \text{OMe} \\ \\ \text{O} \\ \\ \text{CO}_2\text{Me} \\ \\ \text{CO}_2\text{Me} \\ \\ \text{I} \end{array}$$

- AB Ph compds. (Markush included) are provided that lower blood glucose concns., lower serum triglyceride concns., lower systolic blood pressure, and increase glucose uptake by adipose tissue, but do not affect the expression of PPAR-.gamma. by adipose tissue. Compds. of the invention include e.g. I.
- L7 ANSWER 9 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 2001:18947 CAPLUS
- DN 134:86151
- TI Preparation of indole-2,3-dicarboxamides, benzothiophene-2,3-carboxamides, and benzofuran-2,3-carboxamides as herbicides
- PA Nihon Nohyaku Co., Ltd., Japan
- SO Jpn. Kokai Tokkyo Koho, 28 pp.
- CODEN: JKXXAF
- DT Patent
- LA Japanese
- FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI JP 2001002642	A2	20010109	JP 1999-174118 JP 1999-174118	19990621 19990621		

OS MARPAT 134:86151

GI

The title compds. [I and II; R1 = H, C1-8 alkyl; R2 = C1-8 (halo)alkyl, AB C1-8 alkoxy, optionally halo-substituted C3-8 cycloalkyl, C3-8 cycloalkyl-C1-6 alkyl, C1-8 alkoxy-C1-6 alkyl, C1-8 alkylthio-C1-6 alkyl, C1-8 alkoxycarbonyl-C1-6 alkyl, (un)substituted phenyl-C1-6 alkyl, aminoalkyl, mono- or di(C1-8 alkyl)amino-C1-6 alkyl, phenyl-C1-6 alkoxy, (un) substituted heterocyclyl having .gtoreq.1 hetero atoms selected from O, S, and N; X = H, halo, NO2, cyano, C1-8 alkyl, halo-C1-8 alkyl, .gtoreq.1 halo-substituted C3-8 cycloalkyl, C3-8 cycloalkyl-C1-6 alkyl, C1-8 alkoxy, halo-C1-8 alkyl, C1-8 alkylthio, etc.; Y = H,halo, NO2, cyano, C1-8 alkyl, halo-C1-8 alkyl, C3-8 cycloalkyl, .gtoreq.1 halo-substituted C3-8 cycloalkyl, C3-8 cycloalkyl-C1-6 alkyl, C1-8 alkoxy, halo-C1-8 alkoxy, C1-8 alkylthio, halo-C1-8 alkylthio, C1-8 alkylsulfinyl, etc.; Z = O, S, (un) substituted NH] are prepd. These compds. are effective for controlling annual or perennial weeds by post or preemergent application in rice paddy, uplands, and orchards. Thus, 1-methylindole-2,3-dicarboxylic acid and trifluoroacetic anhydride were refluxed in CH2Cl2 for 3 h to give, after evapg. the solvent in vacuo, crude 1-methylindole-2,3-dicarboxylic anhydride. The latter compd. was stirred with 3-chloro-2,6-diethylaniline in THF at room temp. for 3 h and refluxed for 2 h, followed by evapg. the solvent in vacuo and adding CF3CO2H and trifluoroacetic anhydride, and the resulting mixt. was refluxed with stirring for 3 h to give N-(3-chloro-2,6-diethylphenyl)-1methyl-2,3-indoledicarboximide. The latter compd. was dissolved in dioxane and stirred with n-propylamine at room temp. for 12 h to give 26% 3-(3-chloro-2,6-diethylphenyl)aminocarbonyl-1-methyl-N-propyl-2indolecarboxamide and 19% 2-(3-chloro-2,6-diethylphenyl)aminocarbonyl-1methyl-N-propyl-3-indolecarboxamide (II). II at 5 kg/ha (preemergent application) controlled 100% Echinochloa crus-galli and Scirpus juncoides.

L7 ANSWER 10 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 2000:725609 CAPLUS

DN 133:296281

TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting

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antiinflammatory and immune-suppressive compounds
    Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn,
IN
    Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong;
    Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae,
    Hwan-soo; Lynch, John K.
    Abbott Laboratories, USA
PΑ
    PCT Int. Appl., 476 pp.
SO
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
FAN.CNT 1
    PATENT NO.
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                                          US 2000-541795 A 20000331
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    BG 106029
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                                          US 1999-286645 A 19990402
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                                          WO 2000-US8895 W 20000403
    HR 2001000776 A1
                           20021231
                                          HR 2001-776
                                                       20011023
                                          US 1999-286645 A 19990402
                                          US 1999-474517 A 19991229
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                                          WO 2000-US8895 W 20000403
OS
    MARPAT 133:296281
GΙ
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$$R^{1}$$
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{2}$ 
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 $R^{3}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{4}$ 
 $R^{5}$ 
 $R^{5}$ 
 $R^{6}$ 
 $R^{7}$ 
 $R^{7}$ 

The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, AΒ alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un)substituted cis- or trans-cinnamide; Ar = (un)substituted (hetero)aryl] were prepd. as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixt. of 2-[(2,4dichlorophenyl)thio]benzaldehyde (prepn. given), malonic acid, piperidine in anhyd. pyridine was heated at 110.degree.C for 2 h and then treated with aq. HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 .mu.M. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 .mu.M and 0.6 .mu.M, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 11 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1999:704991 CAPLUS
- DN 131:322420
- TI Substituted phenyl compounds and derivatives thereof that modulate the activity of endothelin
- IN Chan, Ming Fai; Balaji, Vitukudi Narayanaiyengar; Castillo, Rosario Silvestre; Kois, Adam; Raju, Bore Gowda; Wu, Chengde
- PA Texas Biotechnology Corporation, USA
- SO U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 583,871, abandoned. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 2

	PATENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON N	0. :	DATE			
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	WO 9725	321		A.	2	1997	0717		W	0 19	97-U	S366		1997	0103		
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FAN 1997:564939
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    MARPAT 131:322420
OS
GΙ
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 $Ar^{1}-X-Ar^{3}-Y-Ar^{1}$  I

Methods, compns., and compds. for modulating the activity of an endothelin AB peptide are provided. The methods use compns. that contain carboxylic acid compds. I (X and Y are selected from groups that include O, S, and NH; and Ar1, Ar2 and Ar3 are independently selected from substituted or unsubstituted groups that include 5 to 6 membered aryl groups and heteroaryl groups that contain one or two heteroatom(s)). Twenty-seven compds. were prepd. and claimed. For example, 2-[3,4-(methylenedioxy) phenoxy] -6-(4-methylphenoxy) benzoic acid was prepd. in 33 % yield by t he reaction of Na 4-methylphenoxide with Et 2-fluoro-6-[3,4-(methylenedioxy)phenoxy]benzoate followed by deesterification or 4,6-diphenoxy-2-(methylthio)pyrimidine-5-carboxylic acid was prepd. in 71 % yield by the reaction of 4,6-diphenoxy-2-(methylthio)pyrimidine with BuLi and dry ice. The activity of endothelin receptors are modulated by contacting with one or more of the compds. or with compns. contg. one or more of the compds. prior to, simultaneously with, or subsequent to contacting the receptors with an endothelin peptide.

RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L7
    ANSWER 12 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
    1999:566069 CAPLUS
AN
DN
    131:185250
TI
    Preparation of Streptogramin derivatives as antimicrobial agents
    Desmazeau, Pascal; Doerflinger, Gilles; Ribeill, Yves; Bacque, Eric;
ΙN
    Barriere, Jean-claude; Dutruc-rosset, Gilles; Puchault, Gerard
PΑ
    Rhone-Poulenc Rorer S.A., Fr.
SO
    PCT Int. Appl., 202 pp.
    CODEN: PIXXD2
DT
    Patent
LΑ
    French
FAN.CNT 1
    PATENT NO. KIND DATE
                                       APPLICATION NO. DATE
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    WO 9943699 A1 19990902 WO 1999-FR409 19990224
PI
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            NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
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                                         FR 1998-2316
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                                         WO 1999-FR409 W 19990224
    EP 1056771
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        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
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09541795.15			Page 44				
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				WO	1999-FR409	W	19990224
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				WO	1999-FR409	W	19990224
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				FR	1998-2316	Α	19980226
				WO	1999-FR409	W	19990224
US	2002151676	A1	20021017	US	2002-161804		20020605
				FR	1998-2316	Α	19980226
				WO	1999-FR409	A1	19990224
				US	2000-643197	A3	20000822

OS MARPAT 131:185250

GΙ

AB The invention concerns group B streptogramin derivs. I (Y = N, substituted carbon; R1 = H, alkyl, alkenyl, cycloalkyl, heterocycle, Ph, aryl; R2 = H, alkyl; R3 = Me, Et; R4-R6 = independently H, methylamino, dimethylamino, halo, alkenyl) were prepd. as antimicrobial agents. Thus, 2"-methyl-pyrido[2,3-5.gamma.,5.delta.]pristinamycin IE was prepd. and tested for its antimicrobial activity (no data).

Ι

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L7 ANSWER 13 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1998:352804 CAPLUS
- DN 129:40990
- TI Bi-aromatic compounds with RXR receptor activity, pharmaceutical and cosmetic compositions containing them, and their uses
- IN Bernardon, Jean-Michel; Diaz, Philippe

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Centre International de Recherches Dermatologiques Galderma (C.I.R.D.
PA
     Galder, Fr.; Bernardon, Jean-Michel; Diaz, Philippe
SO
     PCT Int. Appl., 71 pp.
     CODEN: PIXXD2
DT
     Patent
    French
LA
FAN.CNT 1
                   KIND DATE
                                        APPLICATION NO. DATE
     PATENT NO.
                    A1 19980528 WO 1997-FR2063 19971117
PΙ
     WO 9822423
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            LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL,
            PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ,
            VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
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            GN, ML, MR, NE, SN, TD, TG
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                                                        19971117
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                           20010418
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            IE, FI
                                          FR 1996-14098 A 19961119
                                         WO 1997-FR2063 W 19971117
    AT 200661
                      Ε
                           20010515
                                         AT 1997-947075 19971117
                                          FR 1996-14098 A 19961119
                                         WO 1997-FR2063 W 19971117
    US 6258775
                     В1
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                                         US 1997-101622 19971117
                                          FR 1996-14098 A 19961119
                                         WO 1997-FR2063 W 19971117
    JP 2001233821
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                     A2
                                          JP 2000-399456 19971117
                                          FR 1996-14098 A 19961119
                                         JP 1998-523275 A319971117
                Т3
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                                         ES 1997-947075 19971117
                                         FR 1996-14098 A 19961119
OS
    MARPAT 129:40990
GI
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$$R^3$$
 $R^4$ 
 $R^2$ 
 $R^4$ 
 $R^2$ 
 $R^4$ 
 $R^2$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 

The invention concerns novel bi-arom. compds. I [R1 = Me, CH2OR5, OR5, AB COR6; Y = (un)substituted CH:CH or C.tplbond.C; A = (un)substituted divalent (ortho or meta) benzene, furan, thiophene, or pyridine nucleus; X = 0, S, SO, SO2, CO, C(:CH2), C(:CMe2), CH2, etc.; R2, R3 = H, alkyl, OR5, SR5, polyether; or R2R3 may form ring optionally substituted by Me or interrupted by O or S; R4 = H, halo, alkyl, OR5, polyether; R5 = H, alkyl, acyl; R6 = H, alkyl, (un) substituted NH2 or OH]. The compds. are agonists or antagonists of RXR receptors (no data), and can be used in pharmaceutical compns. for human or veterinary medicine (in particular for treating dermatol., rheumatic, respiratory, cardiovascular, and ophthalmol. disorders), as well as cosmetic compns. For instance, Friedel-Crafts acylation of 5,5,8,8-tetramethyl-5,6,7,8tetrahydronaphthalene with 3-iodobenzoyl chloride (54.6%), followed by Pd-catalyzed vinylation of the iodide with Me acrylate (77%), and hydrolysis of the resultant ester with aq. NaOH in THF (86%), gave title compd. II.

ΙI

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 14 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:574515 CAPLUS

DN 127:220986

TI Preparation of phenylalanine derivatives as endothelin antagonists

IN Berryman, Kent Alan; Cheng, Xue-min; Doherty, Annette Marian; Edmunds,
 Jeremy John; Klutchko, Sylvester

PA Warner-Lambert Co., USA

SO U.S., 23 pp.

CODEN: USXXAM

DT Patent

LA English

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	US 5658943	Α	19970819	US 1995-369209	19950105
				US 1995-369209	19950105

OS MARPAT 127:220986

GΙ

$$R-X$$
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 $R^6$ 
 $R^7$ 
 $R^7$ 
 $R^8$ 
 $R^9$ 
 $R^4$ 
 $R^7$ 
 $R^8$ 
 $R^9$ 
 $R^9$ 

Novel endothelin antagonists I [R = absent, Q; R1, R2 = independently H, AB lower alkyl, halo, OH, alkoxy, alkylthio, CN, amino, alkylamino, dialkylamino, acylamino, CF3, carboxy, carboalkoxy, hydroxyalkyl, aminoalkyl, NO2; R1R2 = OCH2O, OCH2CH2O; n = 0-4; X = absent, O, S(0)m, NH, N-alkyl; m = 0-2; R3, R4 = independently H, alkyl, OH, alkoxy, aryloxy, alkylthio, arylthio, alkyl-NH, dialkylamino, halo, Z(CH2) qCO2R11, Z(CH2) qOR11; Z = NH, S, O; q = 0-4; R11 = H, lower alkyl;R3R4 = OCH2O, OCH2CH2O; R5 = H, YR10; Y = O, S(O)m, NH, N-alkyl, (CH2)p; p = 0-3; R10 = alkyl, (un)substituted phenyl; R6 = H, alkyl, alkenyl, CH2Ph; R7 = hydroxyalkyl, CO2R6, CONR62, NHSO2-alkyl, NHSO2CF3, NHSO2-aryl, SO3R9, PO3R9, CONHSO2-alkyl, CONHSO2-aryl, CONH-tetrazole, tetrazole; R8 = H, alkyl, aryl, aralkyl, heteroaryl, COR14, aralkyl, diaralkyl, OR15, NR15R16; R9 = H, alkyl, (un) substituted Ph; R14 = alkyl, aryl; R15, R16 = independently H, alkyl, cycloalkyl, aryl, aralkyl] are described, as well as novel intermediates used in their prepn., methods for the prepn. and pharmaceutical compns. of the same, which are useful in treating elevated levels of endothelin, essential, renovascular, malignant and pulmonary hypertension, cerebral infarction, myocardial ischemia, cerebral ischemia, congestive heart failure and subarachnoid hemorrhage. Thus, acylation of 2-benzyloxy-3-methoxy-DL-phenylalanine with diphenylacetyl chloride gave phenylalanine deriv. II. II and related phenylalanine derivs. showed endothelin receptor binding activity with IC50 = 1.0 to >25 .mu.M.

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L7 ANSWER 15 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1997:564939 CAPLUS

DN 127:161816

TI Preparation of aryl- and/or heteroaryl-substituted benzoic acids as endothelin antagonists and/or agonists

IN Chan, Ming Fai; Balaji, Vitukudi Narayanaiyengar; Castillo, Rosario Silverstre; Kois, Adam; Raju, Bore Gowda; Wu, Chengde

PA Texas Biotechnology Corp., USA

SO PCT Int. Appl., 136 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO. KIND DATE APPLICATION NO. DATE ----\_\_\_\_\_ PΤ WO 9725321 A2 19970717 WO 1997-US366 19970103 WO 9725321 A3 19970912 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,

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MR, NE, SN, TD, TG
                                            US 1996-583871 A 19960105
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                      A1 19970801
                                            AU 1997-15324 19970103
     AU 9715324
                                            US 1996-583871 A 19960105
                                            US 1996-590139 A 19960123
                                            WO 1997-US366 W 19970103
                 A2 19981111
                                            EP 1997-901420 19970103
     EP 876364
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI
                                            US 1996-583871 A 19960105
                                            US 1996-590139 A 19960123
                                            WO 1997-US366 W 19970103
PATENT FAMILY INFORMATION:
FAN 1999:704991
                   KIND DATE
     PATENT NO.
                                            APPLICATION NO. DATE
     US 5977117 A 19991102
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                                           US 1996-590139 19960123
                                            US 1996-583871 B219960105
     WO 9725321 A2 19970717
WO 9725321 A3 19970912
                                            WO 1997-US366
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         W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
             MR, NE, SN, TD, TG
                                            US 1996-583871 A 19960105
                                            US 1996-590139 A 19960123
     AU 9715324 A1 19970801
                                            AU 1997-15324 19970103
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     EP 876364
                      A2 19981111
                                            EP 1997-901420 19970103
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI
                                            US 1996-583871 A 19960105
                                            US 1996-590139 A 19960123
                                            WO 1997-US366 W 19970103
    US 6265428
                   B1 20010724
                                            US 1999-327661 19990608
                                            US 1996-583871 B219960105
                                            US 1996-590139 A119960123
     US 2001014694 A1
                           20010816
                                            US 2001-808771 20010314
                                            US 1996-583871 B219960105
                                            US 1996-590139 A119960123
                                            US 1999-327661 A119990608
    MARPAT 127:161816
OS
GΙ
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AΒ The title compds. Ar2-X-Ar3-Y-Ar1 [I; X, Y = O, S, NH, etc.; Ar1, Ar2 = aryl and heteroaryl contg. one ring or 2-3 fused rings; Ar3 = aryl, heteroaryl], useful in the treatment of hypertension, cardiovascular disease, asthma, pulmonary hypertension, inflammatory diseases, ophthalmol disease, menstrual disorders, obstetric conditions, wounds, qastroenteric disease, renal failure, immunosuppressant-mediated renal vasoconstriction, erythropoietin-mediated vasoconstriction endotoxin shock, anaphylactic shock and hemorrhagic shock, were prepd. Thus, reaction of Et 2,6-difluorobenzoate and sodium 3,4-methylenedioxyphenoxide in DMSO followed by reaction of the resulting Et 2-fluoro-6-[3,4-(methylenedioxy) phenoxy] benzoate with sodium 4-methoxyphenoxide in DMSO, and hydrolysis of the ester with NaOH/EtOH afforded the title compd. II. Almost all of the compds. I have an IC50 of less than 10 .mu.M and many have an IC50 less than about 1 .mu.M for either or both of the ETA and ETB receptors.

ΙI

L7 ANSWER 16 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1997:341871 CAPLUS

DN 126:312254

TI Inhibitors of global pathogenesis gene regulators for treatment of microbial infections, pharmaceutical compositions, and screening methods

IN Bao, Ying; Boggs, Amy; Contag, Pamela R.; Federspiel, Nancy A.; Hebert,
Alan; Hecker, Scott; Malouin, Francois

PA Microcide Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 137 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PAT	FENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	WO	9711690	A2	19970403	WO 1996-US15435	19960925
		W: AU, CA,	CU, DE	, IL, JP, MX,	NZ	
		RW: AT, BE,	CH, DE	, DK, ES, FI,	FR, GB, GR, IE, IT,	, LU, MC, NL, PT, SE
					US 1995-4626P P	19950929
					US 1996-672215 A	19960625
	US	6020121	Α	20000201	US 1996-672215	19960625
	ΑU	9671686	A1	19970417	AU 1996-71686	19960925
					US 1995-4626P P	19950929
					US 1996-672215 A	19960625
					WO 1996-US15435W	19960925

OS MARPAT 126:312254

AB Methods are provided for screening for potential inhibitors of bacterial, or other microbial, global pathogenesis gene regulators and other gene regulators. Methods are also provided for treating microbial (e.g., bacterial) infections using such inhibitors. Also included are pharmaceutical compns. contg. such inhibitors. The screening methods

involve detecting whether the activity of a global pathogenesis gene regulator is altered in the presence of a test compd.

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L7 ANSWER 17 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1997:270622 CAPLUS

DN 126:251163

TI Preparation of substituted aminouracils as herbicides.

IN Andree, Roland; Drewes, Mark Wilhelm; Dollinger, Markus

PA Bayer A.-G., Germany

SO Ger. Offen., 18 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

FAN.	PATENT NO.			KII		DATE			A	PPLI	CATI	ON N	٥.	DATE				
PI	DE 19532344 CA 2230650		A.	1	19970306 19970313		CF	19	96-2	2306	50	1996	 0904 0822 50904					
	WO 9	97093	19		A:	1	1997	0313									•	
		W :			-		BY,	-		CZ,	HU,	JP,	KR,	KZ,	LK,	MX,	NO,	NZ,
		RW:		-			, DK, , CG,			GA,	GN,	ML,	MR,	NE,	SN,	TD,	TG	PT,
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	US 6	60081	.60		A		1999	1228							1998			
																50904	4	
					_										1996			
	BK ?	96101	.94		Α		1998	1215							1998			
												-				50904	±	
										WC	) I9	70 - E	rsoy.	S W	1996	0822		

OS MARPAT 126:251163

GI

Title compds. [I; R1 = H, cyano, halo; R2 = cyano, thiocarbamoyl; R3, R4 = H, (substituted) alkyl, alkylcarbonyl, alkenyl, alkenylcarbonyl, alkynyl, alkynylcarbonyl, cycloalkyl, cycloalkylcarbonyl, cycloalkylalkyl, arylcarbonyl, aralkylcarbonyl, etc.; R3R4 = (substituted) alkylene, oxoalkylene, dioxoalkylene; R5 = H, halo, (substituted) alkyl, alkoxy; R6 = (substituted) alkyl; R7, R8 = H, (substituted) alkyl, alkenyl, alkynyl], were prepd. Thus, 3-amino-1-(4-cyano-2-fluoro-5-ethylsulfonylaminophenyl)-5-trifluoromethyl-3,6-dihydro-2,6-dioxo-1(2H)-pyrimidine was refluxed with trifluoroacetic anhydride and Et3N in MeCN to give 3-amino-1-(4-cyano-2-fluoro-5-trifluoroacetylaminophenyl)-5-trifluoromethyl-3,6-dihydro-2,6-dioxo-1(2H)pyrimidine. The latter at 30 g/ha preemergent gave 100% control of Setaria, Abutilon, Galium, Matricacria, and Polygonum while leaving corn unaffected.

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L7 ANSWER 18 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1997:178848 CAPLUS

DN 126:171617

TI Preparation of arylaminouracils as herbicides and intermediates.

IN Andree, Roland; Drewes, Mark Wilhelm; Schallner, Otto; Dollinger, Markus; Santel, Hans-Joachim

PA Bayer A.-G., Germany

SO Ger. Offen., 24 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE ----\_\_\_\_\_ -----\_\_\_\_\_ DE 19527570 PΤ 19970130 DE 1995-19527570 19950728 Α1 CA 2227762 AA19970213 CA 1996-2227762 19960715 DE 1995-19527570A 19950728 WO 9705116 19970213 WO 1996-EP3088 19960715 Α1 W: AU, BB, BG, BR, BY, CA, CN, CZ, HU, JP, KR, KZ, LK, MX, NO, NZ, PL, RO, RU, SK, TR, UA, US RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG DE 1995-19527570A 19950728 AU 9666566 Α1 19970226 AU 1996-66566 19960715 DE 1995-19527570A 19950728 WO 1996-EP3088 W 19960715 EP 842155 A1 19980520 EP 1996-926347 19960715 EP 842155 20030409 В1 R: BE, CH, DE, ES, FR, GB, IT, LI, NL DE 1995-19527570A 19950728

WO 1996-EP3088 W 19960715

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BR 9609671 A	19990706 BR	1996-9671 19960715 1995-19527570A 19950728
JP 11510145 T2	WO	1996-EP3088 W 19960715 1997-507163 19960715
	WO	1995-19527570A 19950728 1996-EP3088 W 19960715
US 6417141 B1	DE	1998-38 19980121 1995-19527570A 19950728
	WO	1996-EP3088 W 19960715

OS MARPAT 126:171617 GI

Title compds. [I; Q = O, S, SO, SO2; R1 = H, cyano, halo; R2 = cyano, thiocarbamoyl; R3 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R4 = H, halo, (substituted) alkyl, alkoxy; R5 = (substituted) alkyl; R6, R7 = H, (substituted) alkyl, alkenyl, alkynyl], were prepd. Thus, 1-(4-cyano-2,5-difluorophenyl)-3,6-dihydro-2,6-dioxo-4-trifluoromethyl-1(2H)-pyrimidine was heated with NaOMe in N-methylpyrrolidone to give 41% 1-(4-cyano-2-fluoro-5-methoxyphenyl)-3,6-dihydro-2,6-dioxo-4-trifluoromethyl-1(2H)-pyrimidine. The latter was stirred with NaHCO3 and 1-aminooxy-2,4-dinitrobenzene in DMF to give 53% 1-(4-cyano-2-fluoro-5-methoxyphenyl)-3,6-dihydro-2,6-dioxo-3-amino-4-trifluoromethyl-1(2H)-pyrimidine. This at 125 g/ha preemergent gave 100% control of Alopecurus, Avena, Cyperus, Setaria, Abutilon, Amaranthus, Galium, Sinapis, and Xanthium.

L7 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:531729 CAPLUS

DN 125:167598

TI Preparation and formulation of (tetrahydrotetramethylnaphthyloxy)naphthoat es and analogs for treatment of keratinization disorders

IN Bernardon, Jean-Michel

PA Centre International De Recherches Dermatologiques Galderma (C.I.R.D. Galderma), Fr.

SO Eur. Pat. Appl., 23 pp. CODEN: EPXXDW

DT Patent

LA French

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

09541795.15	Page 53
(1954   795   15	PAGE 51

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							FR	1995-659	Α	19950120
FR	2729664		A1	1996	0726		FR	1995-659		19950120
FR	2729664		B1	1997	0221					
ΑT	156474		E	1997	0815		AT	1995-120073		19951219
							FR	1995-659	Α	19950120
ES	2111364		Т3	1998	0301		ES	1995-120073		19951219
							FR	1995-659	Α	19950120
ΑU	9640794		A1	1996	0815		AU	1996-40794		19960104
ΑU	684405		B2	1997	1211					
							FR	1995-659	Α	19950120
CA	2167651		AA	1996	0721		CA	1996-216765	1	19960119
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JP	08245475		A2	1996	0924		JP	1996-7863		19960119
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US	5763487		Α	1998	0609		US	1996-589388		19960122
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US	5985928		A	1999	1116		US	1998-5601		19980109
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							US	1996-589388	A.	319960122
US	6156750		Α	2000	1205		US	1999-229829		19990113
							FR	1995-659	Α	19950120
							US	1996-589388	A.	319960122
							US	1998-5601	A.	319980109

OS MARPAT 125:167598 GI

$$\begin{array}{c|c}
 & R^3 \\
 & R^2 \\
 & R^4 \\
\end{array}$$

AB Title compds. [I; R1 = H, Me, alkoxy(methyl), alkanoyl, CO2H, etc.; R2 = H, alkyl, OH, alkoxy, etc.; R3 = H or alkyl; R2R3 = bond; R4 = H, alkyl, alkoxy, alkanoyloxy; R2R4 = CH:CH; R5 = H, halo, alkyl, alkoxy, etc.; Z = O, SOO-2, (alkyl)imino; Z1,Z2 = CH2, O, SOO-2, etc.] were prepd. for treatment of keratinization disorders (no data). Thus, 5,6,7,8-tetrahydro-5,5,8,8-tetramethyl-2-naphthol was etherified by Me 2-bromo-2-naphthoate to give title compd. II.

ΙI

L7 ANSWER 20 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1996:86798 CAPLUS

DN 124:202282

TI Preparation of dihydrobenzoxazinone derivatives as phospholipase A2 and interleukin 1 inhibitors

IN Kawakita, Takeshi; Kuroita, Takanobu; Murozono, Takahiro; Terasawa, Michio; Okamoto, Hitoshi

PA Yoshitomi Pharmaceutical, Japan

SO Jpn. Kokai Tokkyo Koho, 35 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

T. LT	1. C11 I						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
ΡI	JP 07242662	A2	19950919	JP 1994-31631	19940301		
	JP 3348505	B2	20021120				
				JP 1994-31631	19940301		
~~		_					

OS MARPAT 124:202282

GI

$$\begin{array}{c} (CH_2)_{4}OPh \\ C1 \\ N \\ O \end{array}$$

- AB The title compds. I [R1 = H, alkyl, etc.; R2 = H, Cl, etc.; R3, R4 = H, alkyl; Het = 5,6-dihydroimidazo[2,1-b]thiazol-3-yl, etc.] are prepd. The title compd. II.HBr at 10 .mu.M gave 40% in vitro inhibition of phospholipase A2.
- L7 ANSWER 21 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1995:804319 CAPLUS
- DN 123:198425
- TI Preparation of tricarboxylic acid derivatives as squalene synthetase inhibitors
- IN Kobayashi, Takamitsu; Tamura, Kunio; Yoshida, Mitsutaka; Koqa, Hiroshi
- PA Chugai Seiyaku Kabushiki Kaisha, Japan
- SO PCT Int. Appl., 102 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

 AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, KE, KG, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

JP 1993-227745 19930729 JP 07112954 19950502 JP 1994-207897 19940728 JP 1993-227745 19930729

AU 9472383 Α1 19950228 AU 1994-72383 19940729 JP 1993-227745 19930729

> WO 1994-JP1249 19940729

OS MARPAT 123:198425

GΙ

$$Q^{1}=$$
 $Q^{2}=$ 
 $CO_{2}Na$ 
 $CO_{2}Na$ 
 $CO_{2}Na$ 

$$Q^3 =$$
Me

O

The title compds. R1AR2 (I) [R1 represents optionally substituted satd. or AΒ unsatd. alkyl; R2 represents (CH2)n-1CH(CO2R3)C(CO2R4)(CO2R5)(OR6), etc.; R3, R4 and R5 represent each hydrogen or lower alkyl; R6 represents hydrogen or alkyl; and n represents 1 or 2; A represents O, S, etc.], useful as squalene synthetase inhibiting anticholesteremics, are prepd. In an in vitro test for squalene synthetase inhibiting activity, I [R1 = Q1; A = 0; R2 = Q2] (prepn. given) showed IC50 of 1.88 x 10-8 M. In the above test, I [R1 = Q3; A = 0; R2 = Q2] (prepn. given) showed IC50 of 0.20  $\times$  10-8 M. The squalene synthetase inhibiting activities of 20 compds. of this invention are given in a table in this document.

- L7 ANSWER 22 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1995:664908 CAPLUS
- DN 123:55865
- ΤI Preparation and formulation of N-[(phenylureido)acetyl]thiazolidine-4carboxylates and analogs as gastrin and CCK antagonists
- IN Dubroeucg, Marie-Christine; Manfre, Franco
- PA Rhone-Poulenc Rorer SA, Fr.
- SO Fr. Demande, 59 pp.

CODEN: FRXXBL

DT Patent

LΑ French

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	FR 2700168	A1	19940708	FR 1993-76	19930107
	FR 2700168	B1	19950203		
	CA 2152184	AA	19940721	CA 1994-2152184	19940103
				FR 1993-76 A	19930107

WO	9415										94-F	R7		1994	0103		
					-		NO,		-								
	RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,						MC,		PT,	SE
														1993			
ΑU	9458	351		A.	1	1994	0815		A	J 19	94-5	8351		1994	0103		
														1993			
										-	-			1994			
	6791								E	P 19	94-9	0419	9	1994	0103		
ΕP	6791	61		B:	1	1998	0624										
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙE,	ΙT,	LI,	LU,	NL,	PT,	SE
									F	R 19	93-7	6	Α	1993	0107		
									W	0 19	94-F	'R7	W	1994	0103		
HU	7342	8		A2	2	1996	0729		H	J 19	95-2	064		1994	0103		
									F	R 19	93-7	6	Α	1993	0107		
JΡ	0850	7292		T	2	1996	0806		J	P 19	94-5	1574	6	1994	0103		
									F	R 19	93-7	6	Α	1993	0107		
														1994			
AT	1676	81		E		1998	0715		A'	Г 19	94-9	0419	9	1994	0103		
														1993			
ES	2119	160		T	3	1998	1001		E	S 19	94-9	0419	9	1994	0103		
														1993			
ZA	9400	079		Α		1994	0811		Z	A 19	94-7	9		1994	0106		
														1993			
US	5633	270		Α		1997	0527							1995			
														1993			
														1994			
NO	9502	687		Δ		1995	0905										
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														1994			
МΔТ	RPAT	123.1	55869	5					***	· 1	) ± L	107	**	エノノモ	0103		
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OS MARPAT 123:55865 GI

Title compds. [I; R = (unsatd.)(cyclo)alkyl, phenylalkyl, heteroaryl, etc.; R1,R3 = H, (cyclo)alkyl, phenylalkyl, etc.; R2 = (CH2)nCOR6, (CH2)mO2CR16, (CH2)mNR9R10, oxazolinyl, etc.; R4 = H, alkyl; R5 = (un)substituted phenyl(amino), naphthyl, indolyl, quinolyl, etc.; R6 = OH, alkoxy, Ph, NR9R10, etc.; R9 = H, (cyclo)alkyl, phenyl(alkyl), etc.; R10 = (cyclo)alkyl, phenyl(alkyl), etc.; R16 = alkoxy, Ph, NR9R10, etc.; m = 1 or 2; n,p = 0-2] were prepd. Thus, cyclohexanecarboxaldehyde was cyclocondensed with L-cysteine and the esterified product N-acylated with Me3CO2CNHCO2H to give, after deprotection, aminoacetylthiazolidinecarboxyl ate II (R7 = H) which was condensed with 3-(OCN)C6H4CH2CO2CH2Ph to give, after sapon, II [R7 = CONHC6H4(CH2CO2H)-3]. I had IC50 of .ltoreq.103nM against binding (ligand not given) at CCK receptors.

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ANSWER 23 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
L7
    1995:657646 CAPLUS
AN
DN
    123:69846
    Diphenylamine compounds
ΤI
    Beckmann, Stefan; Etzbach, Karl-Heinz; Sens, Ruediger
IN
    BASF A.-G., Germany
PA
SO
    Ger. Offen., 11 pp.
    CODEN: GWXXBX
DT
    Patent
    German
LΑ
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO.
                                                         DATE
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                          _____
                                          -----
                                          DE 1993-4335496 19931019
PΙ
    DE 4335496
                     A1
                           19950420
    WO 9511278
                     A1
                           19950427
                                          WO 1994-EP3330
                                                           19941010
        W: JP, KR, US
        RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
                                          DE 1993-4335496 19931019
    EP 724609
                           19960807
                                          EP 1994-928882
                                                           19941010
                      Α1
        R: CH, DE, FR, GB, IT, LI, NL
                                          DE 1993-4335496 19931019
                                          WO 1994-EP3330
                                                           19941010
    JP 09505331
                      T2
                           19970527
                                          JP 1994-511265
                                                           19941010
                                          DE 1993-4335496
                                                          19931019
                                          WO 1994-EP3330
                                                           19941010
    US 5696243
                      Α
                           19971209
                                          US 1996-628641
                                                           19960419
                                          DE 1993-4335496 19931019
                                          WO 1994-EP3330
                                                           19941010
OS
    MARPAT 123:69846
GI
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The title compds. are described by the general formula I (the ring A my be benzoanellated; D = an aryl residue or a 5-membered arom. ring which includes 1-3 heteroatoms selected from N, O, or S in a heterocyclic ring and which can be anellated with benzene, thiophene, pyridine, or pyrimidine rings; X = N:N or, when D = an aryl residue, CH:CH, or D-X is a 1,2,2-tricyanovinyl residue; R1-4 = independently selected H, C1-4 alkyl, C1-6 alkoxy, or halogen residues; R5 = prop-1-en-3-yl, acryloyl, or methacryloyl; R6 and R7 = independently selected H, C1-6 alkyl, C1-6 alkoxy, halogen, prop-1-en-3-yl, acryloyl, methacryloyl, or oxiranylmethoxy residues; and Y = a C1-20 alkylene group). The use of the compds., and of polymers contg. them, for nonlinear optical applications is also described.

Patel 8/25/2003>

Ι

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ANSWER 24 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
L7
     1995:594465 CAPLUS
AN
DN
     123:9454
ΤI
     Preparation of 4-cyanophenyliminoheterocycles as herbicides.
     Schallner, Otto; Andree, Roland; Drewes, Mark Wilhelm; Dollinger, Markus;
IN
     Santel, Hans-Joachim
PA
     Bayer A.-G., Germany
     Eur. Pat. Appl., 154 pp.
SO
     CODEN: EPXXDW
DT
     Patent
LΑ
     German
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO.
                                                            DATE
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                            -----
                                            -----
PΙ
     EP 648772
                       A1
                            19950419
                                            EP 1994-115645
                                                             19941005
     EP 648772
                       В1
                            20020904
           BE, CH, DE, ES, FR, GB, IT, LI, NL
                                            DE 1993-4335438A 19931018
     DE 4335438
                       Α1
                            19950420
                                            DE 1993-4335438 19931018
     EP 1164128
                       Α1
                            20011219
                                            EP 2001-122556
                                                            19941005
         R: BE, CH, DE, ES, FR, GB, IT, LI, NL
                                            DE 1993-4335438A 19931018
                                            EP 1994-115645 A319941005
                            20030301
     ES 2181697
                       T3
                                            ES 1994-115645
                                                             19941005
                                            DE 1993-4335438A 19931018
     CA 2118191
                            19950419
                                            CA 1994-2118191 19941014
                       AA
                                            DE 1993-4335438A 19931018
                                                            19941014
     JP 07188251
                       A2
                            19950725
                                            JP 1994-276090
                                            DE 1993-4335438A 19931018
     BR 9404136
                       Α
                            19951017
                                            BR 1994-4136
                                                             19941017
                                            DE 1993-4335438A 19931018
     CN 1104215
                       Α
                            19950628
                                            CN 1994-117303 19941018
     CN 1048497
                            20000119
                       В
                                            DE 1993-4335438A 19931018
     US 5756805
                       Α
                            19980526
                                            US 1996-738991
                                                            19961024
                                            DE 1993-4335438A 19931018
                                           US 1994-321295 B319941011
     CN 1183415
                       Α
                            19980603
                                            CN 1997-117829
                                                             19970820
     CN 1057765
                       В
                            20001025
                                           DE 1993-4335438A 19931018
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OS

GΙ

MARPAT 123:9454

Title compds. [I; R1 = H, halo; R2 = halo, cyano, OH, amino, XR3, NR4COR5, NR4XO2R5; X = O, S, bond; R3 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl, heterocyclyl, heterocyclylalkyl; R4 = H, alkyl; R5 = (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, aryl, aralkyl; A = (substituted) alkanediyl, alkenediyl; E = N, C; G = N, C singly bonded to H, alkyl, doubly bonded to O, S; when E = N, then A .noteq. (substituted) trimethylene], were prepd. Thus, 1-[N-(4-cyano-2-fluoro-5-isopropoxyphenyl)]tetrahydro-(2H)-pyridazinethiocarboxamide (prepn. given) in CH2Cl2 was treated with COCl2 in PhMe at 20.degree. followed by 3 h stirring at 20.degree. to give 13% title compd. (II). II at 15 g/ha gave 100% control of Abutilon while leaving barley unaffected.

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L7 ANSWER 25 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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- AN 1995:231251 CAPLUS
- DN 122:9676
- TI Process for O-alkylation of carboxylic acids by organic carbonates.
- IN Heuer, Lutz; Joentgen, Winfried; Klausener, Alexander
- PA Bayer A.-G., Germany
- SO Ger. Offen., 7 pp. CODEN: GWXXBX
- DT Patent
- LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	DE 4311424	A1	19941013	DE 1993-4311424	19930407
				DE 1993-4311424	19930407

- OS CASREACT 122:9676; MARPAT 122:9676
- AB Carboxylic acid esters were prepd. from carboxylic acids and org. carbonates in the presence of sulfonic acid catalysts. Thus, 2-methyl-4-chlorophenoxypropionic acid, di-Me carbonate, and p-toluenesulfonic acid were refluxed to give 71.9% Me 2-methyl-4-chlorophenoxypropionate.
- L7 ANSWER 26 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1995:229456 CAPLUS
- DN 123:198620
- TI Heteroaryl cinnamic acids as inhibitors of leukotriene biosynthesis
- IN Fortin, Rejean; Girard, Yves; Grimm, Erich; Hutchinson, John; Scheigetz, John
- PA Merck Frosst Canada, Inc., Can.
- SO U.S., 28 pp. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PΙ	US 5360815	Α	19941101	US 1993-81506	19930623
	CA 2125830	AA	19941224	CA 1994-2125830	19940614
				US 1993-81506	19930623

OS MARPAT 123:198620

GI

$$R^{2}$$
 $R^{1}$ 
 $X^{1}$ 
 $X^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{1}$ 
 $R^{1}$ 

AB Compds. having the formula I wherein: R1 is H, OH, lower alkyl, or lower alkoxy; R2 is H, lower alkyl or together with R1 forms a double bonded oxygen; R3 is H, lower alkyl, hydroxy lower alkyl, or lower alkoxy lower alkyl; or R1 is joined to R3 to form a carbon bridge of 2 or 3 carbon atoms, or a mono-oxa carbon bridge of 1 or 2 carbon atoms, said bridge optionally containing a double bond; R4 is H or lower alkyl; R5 is H, OH, lower alkyl, or lower alkoxy; R6 is H or lower alkyl, or two R6 groups attached to the same carbon may form a saturated ring of 3 to 8 members; R7 is H, OH, lower alkyl, lower alkoxy, cycloalkyl lower alkoxy, lower alkylthio, or lower alkylcarbonyloxy; R8, R9, and R13 is each independently H, halogen, lower alkyl, hydroxy, lower alkoxy, lower alkylthio, CF3, CN, or COR14; R10 is, e.g., H, lower alkyl, or aryl-(R13)2, wherein aryl is a 5-membered aromatic ring wherein one carbon atom is replaced by O or S and O-3 carbon atoms are replaced by N; R11, R12 are each, e.g., H, lower alkyl; R14 = H, lower alkyl; X1 = O, S, SO, SO2, CH2; X2 = 0, S, CHR6; X3 = e.g., O(CR6)2; Ar = phenylene-R82; m = 1, n = 1, 2; or pharmaceutically acceptable salts are inhibitors of leukotriene biosynthesis (no data). These compds. are useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents. They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques. Pharmaceutical formulations were given. Thus, e.g., reaction of 7-hydroxycoumarin with 3-[4-(4-methoxy)tetrahydropyranyl]benzyl bromide afforded 7-[3-[4-(4-methoxy)tetrahydropyranyl]benzyloxy]coumarin; sapon. of the lactone afforded 3-{4-[3-[4-(4-methoxy)tetrahydropyranyl]benzyloxy]-2-hydroxyphenyl}propenoic acid disodium salt.

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L7 ANSWER 27 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1994:533976 CAPLUS

DN 121:133976

TI Carboxylic Acid Derivatives and Their Uses as Pharmaceuticals

IN Himmelsbach, Frank; Linz, Guenter; Austel, Volkhard; Pieper, Helmut;
Mueller, Thomas; Weisenberger, Johannes; Guth, Brian

PA Thomae, Dr. Karl, G.m.b.H., Germany

SO Ger. Offen., 24 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

PA	TENT NO.	KIND	DATE	API	PLICATION NO.	DATE
PI DE	4241632	A1	19940616	DE	1992-4241632	19921210
CA	2111035	AA	19940611	CA	1993-2111035	19931208
				DE	1992-4241632	19921210
EP	604800	A1	19940706	EP	1993-119786	19931208

Patel

	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,						LU,		PT,	SE
									DI	S 19	92-4	2416.	32	1992	1210		
FI	9305	513		Α		1994	0611		F	19	93-5	513		1993	1209		
									DI	E 19	92-4:	2416.	32	1992	1210		
NO	9304	501		A		1994	0613		NO	19	93-4	501		1993	1209		
									DI	<b>1</b> 9	92-43	2416	32	1992	1210		
JP	0623	9817		A2	2	1994	0830		JI	9	93-3	0841	9	1993	1209		
									DI	E 19	92-43	2416.	32	1992	1210		
ZA	93093	230		Α		1995	0609		ZI	A 19	93-93	230		1993	1209		
									DI	E 19	92-43	2416	32	1992	1210		
AU	93523	306		A:	1	1994	0623		JΑ	J 19	93-5	2306		1993	1210		
									DI	E 19	92-4	2416	32	1992	1210		
CN	1094	035		Α		1994	1026		Cì	J 19	93-1	2087	6	1993	1210		
									DI	E 19	92-4	2416	32	1992	1210		

OS MARPAT 121:133976

GΙ

AB Pharmacol. active carboxylates were disclosed. A specifically claimed example compd., Me trans-4-[[4-(4-piperidinyl)phenyl]carbonylamino]cyclohe xanepropanoate (I) was prepd. The claimed compds. are blood platelet aggregation inhibitors (antithrombotics).

L7 ANSWER 28 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1994:409389 CAPLUS

DN 121:9389

TI Preparation of isoxazoles derivatives and their use as herbicides

IN Cramp, Susan Mary; Smith, Philip Henry Gaunt

Ι

PA Rhone Poulenc Agriculture Ltd., UK

SO Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

rH	IN. CIVI I			
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
ΡI	EP 588357	A1 19940323	EP 1993-114989	19930917
	EP 588357	B1 20020612		
	R: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IE, IT, LI	, LU, NL, PT, SE
			GB 1992-19779 A	19920918
	AU 9346250	A1 19940324	AU 1993-46250	19930908
	AU 666397	B2 19960208		
			GB 1992-19779 A	19920918
	CA 2105822	AA 19940319	CA 1993-2105822	19930909
			GB 1992-19779 A	19920918

09541795.15			Page 62	
IL	106997	A1	19970610	IL 1993-106997 19930913 GB 1992-19779 A 19920918
BR	9303517	A	19940322	BR 1993-3517 19930916 GB 1992-19779 A 19920918
FI	9304089	A	19940319	FI 1993-4089 19930917 GB 1992-19779 A 19920918
ZA	9306867	A	19940411	ZA 1993-6867 19930917
	1085219	A	19940413	GB 1992-19779 A 19920918 CN 1993-117864 19930917
	1045439	В	19991006	GB 1992-19779 A 19920918
JP	06192015	A2	19940712	JP 1993-231546 19930917 GB 1992-19779 A 19920918
HU	68735	A2	19950728	HU 1993-2622 19930917 GB 1992-19779 A 19920918
US	5480857	A	19960102	US 1993-128605 19930917 GB 1992-19779 A 19920918
RU	2114842	C1	19980710	RU 1993-52688 19930917 GB 1992-19779 A 19920918
EP	1156048 R: AT, BE	A1 , CH, DE	20011121 DK, ES, FR	EP 2001-119705 19930917 , GB, GR, IT, LI, LU, NL, SE, PT, IE
	·			GB 1992-19779 A 19920918 EP 1993-114989 A319930917
AT	219079	E	20020615	AT 1993-114989 19930917 GB 1992-19779 A 19920918
ES	2173877	Т3	20021101	ES 1993-114989 19930917 GB 1992-19779 A 19920918
		_		

OS MARPAT 121:9389 GI

AB Title compds. I (Ar = (substituted) heterocyclyl; R = H, R3O2C wherein R3 = (substituted) C1-6 alkyl; R1 = (halo) C1-6 alkyl, (substituted) C3-6 cycloalkyl) or a salt thereof, are prepd. HONH2 and 3-cyclopropyl-1-(3,5-dichloropyridin-2-yl)-2-(dimethylamino) methylenepropane-1,3-dione (prepn. given) in EtOH were stirred at room temp. overnight to give I (Ar = 3,5-dichloro-2-pyridyl, R = H, R1 = cyclopropyl) which with other 16 I when applied pre- or post-emergence at 4 kg/ha or less, gave at leat 80% control of one or more weed species.

- L7 ANSWER 29 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:270094 CAPLUS
- DN 120:270094
- TI Preparation of cyclic imino derivatives as cell aggregation inhibitors

8/25/2003>

- IN Himmelsbach, Frank; Austel, Volkhard; Pieper, Helmut; Linz, Guenter;
  Weisenberger, Johannes; Mueller, Thomas
- PA Thomae, Dr. Karl, G.m.b.H., Germany
- SO Eur. Pat. Appl., 38 pp.
- CODEN: EPXXDW
- DT Patent

Patel

	German CNT 1				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 567966	A1	19931103	EP 1993-106724	19930426
	EP 567966				
				GB, GR, IE, IT, LI	, LU, NL, PT, SE
				DE 1992-4213919A	19920428
	DE 4213919	A1	19931104	DE 1992-4213919	19920428
	US 5576444	A	19961119	US 1993-53037	
				DE 1992-4213919A	19920428
	AT 170509	Е	19980915	AT 1993-106724	19930426
				DE 1992-4213919A	
	ES 2121888	Т3	19981216	ES 1993-106724	19930426
				DE 1992-4213919A	19920428
	CA 2095009	AA	19931029	CA 1993-2095009	19930427
				DE 1992-4213919A	19920428
	NO 9301526	A	19931029	NO 1993-1526	19930427
	NO 180045	В	19961028		
	NO 180045	C	19970205		
				DE 1992-4213919A	19920428
	JP 06073001	A2	19940315	JP 1993-99930	19930427
	JP 3315463	B2	20020819		
				DE 1992-4213919A	19920428
	HU 70039	A2	19950928	HU 1993-1222	19930427
				DE 1992-4213919A	19920428
	AU 9338222	A1	19931104	AU 1993-38222	19930428
	AU 662223	B2	19950824		
				DE 1992-4213919A	19920428
OS GI	MARPAT 120:2700	94			

AB BX1X2AYE [A = (substituted) bivalent (oxo)pyrrolidine ring; B = R1CO2CR2R3O2CNHC(:NH), R4OP(O)(OR5)NHC(:NH); E = CO2CHR7O2CR6, CO2R8, etc; R1 = (cyclo)alkyl, phenyl(alkyl); R2,R3 = H, (cyclo)alkyl, Ph; R4,R5 = H, alkyl, Ph, CH2Ph; R6 = (cyclo)alkyl, alkenyl, alkoxy, etc.; R7 = H, (cyclo)alkyl, Ph; R8 = cycloalk(en)yl(alkyl), (phenyl)alkenyl, -alkynyl, etc.; X1 = bond, CH2,OCH2, etc.; X2 = (substituted) C6H4C6H4; Y = alkylene] were prepd. Thus, (S)-1-benzyloxycarbonyl-5-trityloxymethyl-2-pyrrolidinone was converted in 7 steps to title compd. (3S,5S)-I which gave inhibition of collagen-induced thrombocyte aggregation in samples from monkeys >8h after receiving 1mg/kg orally.

- L7 ANSWER 30 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:263859 CAPLUS
- DN 120:263859
- TI Preparation of herbicidal benzene derivatives.
- IN Patel, Kanu Maganbhai
- PA du Pont de Nemours, E. I., and Co., USA

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SO PCT Int. Appl., 163 pp. CODEN: PIXXD2
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DT Patent LA English

FAN.CNT 1

W: JP, KR, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

US 1992-942539 A219920909

EP 659047 A1 19950628 EP 1993-921226 19930902

R: DE, ES, FR, IT, PT

US 1992-942539 A 19920909

WO 1993-US8096 W 19930902 JP 08501100 T2 19960206 JP 1994-507335 19930902

US 1992-942539 A 19920909 WO 1993-US8096 W 19930902

OS MARPAT 120:263859

GΙ

$$R^3$$
 $R^2$ 
 $R^2$ 
 $R^1$ 
 $R^3$ 
 $R^2$ 
 $R^2$ 
 $R^3$ 

- The benzene derivs. I and II (R1 = C1, Br, iodo, OMe, OCHF2, OCF3, NO2; R2 = CO2H, CN, CONH2, CO2Me, etc.; R3 = Ph, OCH2CHMe2, OCH2Ph, etc.) and their salts are prepd. as herbicides. 2-Chloro-4-(2-methylpropyloxy)benzoic acid (prepn. given) was refluxed with thionyl chloride in benzene. The product was dissolved in THF and treated with aq. NH4Cl, to give 2-chloro-4-(2-methylpropyloxy)benzamide (III). Postemergence 400 g III/ha totally controlled barnyardgrass, with no injury to barley. Formulation examples are given.
- L7 ANSWER 31 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:30773 CAPLUS
- DN **120:30773**
- TI Oxadiazole derivatives having acetylcholinesterase-inhibitory and muscarinic receptor agonist activity
- IN Takasugi, Hisashi; Kuno, Atsushi; Ohkubo, Mitsuru
- PA Fujisawa Pharmaceutical Co., Ltd., Japan
- SO PCT Int. Appl., 149 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

AU 9331714	A1 19930728	GB 1992-20904 AU 1993-31714 GB 1991-27533 GB 1992-20904	19921005 19921218 19911231 19921005
EP 619814 R: AT, BE,	A1 19941019 CH, DE, DK, ES, FR,	WO 1992-JP1658 EP 1993-900416 GB. GR. LE. LT. LI	
R. 111, 52,	CH, DD, DR, DD, TR,	GB 1991-27533 GB 1992-20904	19911231 19921005
JP 07502529	T2 19950316	WO 1992-JP1658 JP 1992-511547	19921218 19921218
		GB 1991-27533 GB 1992-20904	19911231 19921005
US 5622976	A 19970422	WO 1992-JP1658 US 1994-244904	19921218 19940624
		GB 1991-27533 GB 1992-20904 WO 1992-JP1658	19911231 19921005 19921218
		WO 1994-071000	T 2 2 2 T 7 T Q

OS MARPAT 120:30773

The title compds. R1QZXAM [A = direct bond, lower alkylene, lower alkynylene; M = (un)substituted heterocyclic group contg. .gtoreq.1 N atom(s); Q = oxadiazolediyl; R1 = lower alkyl, (un)substituted heterocyclic group, (un)substituted aryl, (un)substituted arylalkyl, (un)substituted aralkenyl; X = direct bond, CONR4, R8CN; R4 = H, alkyl; R8 = HO, protected HO group, CO, NHCO; Z = direct bond, vinyl (sic)], useful for the treatment of central nervous system disorders (e.g., amnesia, Alzheimer's disease, vascular dementia, etc.) mode data, are prepd. Thus, 3-ethoxycarbonyl-5-(quinucilidin-3-yl)-1,2,4-oxadiazole and 1-benzyl-4-(2-aminoethyl)piperidine were heated together in soln. at 100.degree. for 2 h and treated with an ethanolic soln. of HCl, producing 5-(quinuclidin-3-yl)-3-[[2-(1-benzylpiperidin-4-yl)ethyl]carbamoyl]-1,2,4-oxadiazole dihydrochloride, m.p. 210.degree. (decompn.).

- L7 ANSWER 32 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1993:559751 CAPLUS
- DN 119:159751
- TI Preparation of 2-(oximinoalkyl)cyclohexane-1,3-diones as synergistic herbicides
- IN Kast, Juergen; Meyer, Norbert; Misslitz, Ulf; Bratz, Matthias; Walter,
  Helmut; Rademacher, Wilhelm; Landes, Andreas; Kckemie, Tom; Carlson, Dale
- PA BASF A.-G., Germany
- SO Ger. Offen., 33 pp. CODEN: GWXXBX
- DT Patent
- LA German
- FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		<b>-</b>			
ΡI	DE 4222261	A1	19930609	DE 1992-4222261	19920707
				US 1991-790277	19911107

OS MARPAT 119:159751

GI

$$R^2$$
 $R^2$ 
 $R^1$ 
 $R^1$ 
 $R^2$ 
 $R^1$ 
 $R^2$ 
 $R^2$ 
 $R^3$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 
 $R^4$ 

AB Title compds. I [X = NOWR3; R1 = alkyl; R2 = H, cyano, CHO, alkyl, alkoxy, etc.; R3 = H, (2-substituted)vinyl, (halo)alkyl, etc.; W = alk(en)ylene, etc.], synergistic herbicides with I [X = O; R1 = (substituted)(cyclo)alkyl; R2 = cyano, CHO, CO2H, alkoxycarbonyl, etc.], were prepd. Thus, 4-BrC6H4CH:CHCH2Br was converted in 2 steps to 4-BrC6H4CH:CHCH2ONH2, which was condensed with propionylcyclohexanedione II (R1 = Et, X = O) to give II (R1 = Et, X = NOCH2CH:CHC6H4Br-4). II (R1 = Pr, X = NOEt), at 0.004 kg/ha, together with I (R1 = cyclopropyl, R2 = CO2Et, X = O) at 0.125 kg/ha, gave 90% control of Avena fatua with 10% damage to spring wheat.

- L7 ANSWER 33 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1993:538789 CAPLUS
- DN 119:138789
- TI Preparation of 2-aralkoximinoalkyl-3-hydroxy-2-cyclohexenones and analogs as herbicides and benzothiophene antidotes for them
- IN Hagen, Helmut; Nilz, Gerhard; Roetsch, Thomas; Walter, Helmut; Landes, Andreas
- PA BASF A.-G., Germany
- SO Ger. Offen., 76 pp. CODEN: GWXXBX
- DT Patent
- LA German
- FAN.CNT 1

FAN.	CNT 1			
	PATENT NO.	KIND	DATE	APPLICATION NO. DATE
ΡI	DE 4126999	A1	19930218	DE 1991-4126999 19910816
	WO 9304057	A2	19930304	WO 1992-EP1798 19920807
		A3		
		HU, JP, KR		
	•		•	FR, GB, GR, IE, IT, LU, MC, NL, SE
	IM. AI,	DB, CH, DB	, DR, ES,	
				DE 1991-4126999 19910816
	EP 599906	A1	19940608	EP 1992-917128 19920807
	EP 599906	B1	19970115	
	R: AT,	BE, CH, DE	, FR, GB,	IT, LI, NL
				DE 1991-4126999 19910816
				WO 1992-EP1798 19920807
	JP 06510029	T2	19941110	JP 1992-504062 19920807
				DE 1991-4126999 19910816
				WO 1992-EP1798 19920807
	HU 67251	A2	19950328	HU 1994-429 19920807
				DE 1991-4126999 19910816
	AT 147740	E	19970215	AT 1992-917128 19920807
				DE 1991-4126999 19910816
	US 5491123	A	19960213	US 1994-193073 19940204
				DE 1991-4126999 19910816

WO 1992-EP1798

19920807

OS MARPAT 119:138789

GΙ

$$R^{11}$$
 $R^{12}$ 
 $R^{10}$ 
 $R$ 

Title cyclohexenones [I; R8 = H, alkanoyl, alkylsulfonyl, etc.; R9 = alkyl; R10 = H, halo, cyano, alkoxycarbonyl, etc.; R11 = H, cyano, CHO, alkyl, etc.; R12 = H, OH, alkyl; X = OZR13; R13 = H, vinyl, CO2H, alkoxycarbonyl, (hetero)aryl, etc.; Z = alkylene, alkenylene, alkynylene, etc.] and benzothiophenes II (R1 = COR, CO2R; R = H, halo, NH2, alkyl, heterocyclyl, Ph, etc.; R2, R3 = H, cyano, alkyl, halo, alkoxy, etc.; R4-R7 = H, Ph, naphthyl, heteroaryl; NR4R5, NR6R1 = heterocyclyl) were prepd. Thus, 2-propionyl-5-(3-tetrahydrothiopyranyl)cyclohexane-1,3-dione was condensed with 4-BrC6H4CH:CHCH2ONH2 to give title cyclohexenone III (X = NOCH2CH:CHC6H4Br-4). II (R1 = COPh, R2-R7 = H), at 0.25 kg/ha postemergent, reduced damage of 0.25 kg/ha III (X = NOCH2CH2CH:CHC6H4Cl-4) postemergent to corn from 80 to 20% without reducing herbicidal effect (100%) to Setaria viridis.

L7 ANSWER 34 OF 34 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1992:13416 CAPLUS

DN 116:13416

TI Pressure- and heat-sensitive recording materials with good sensitivity, storability and image stability

IN Sano, Masajiro; Takashima, Masanobu; Satomura, Masato

PA Fuji Photo Film Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 11 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

1111.	CIVI I				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	JP 03142277	A2	19910618	JP 1989-282319	19891030
				JP 1989-282319	19891030

OS MARPAT 116:13416

AB The title materials utilizes coloration by contact between electron-donating leuco dye ArlR1CH:CR2:CH:CHR3CR4R5Ar2 (Ar1, Ar2 = amine residue-contg. aryl or heterocyclic group; R1-4 = H, monovalent group; R5 = aryl group-contg. alkoxy group; R1-4 may bond together forming 4- to 12-membered rings with or without contg. heteroatom) and

Patel

electron-accepting compd.

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=> d 18 fbib hitstr abs total
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L8 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 2000:725609 CAPLUS

DN 133:296281

- TI Preparation of 2- or 4-(phenylthio)cinnamides as cell adhesion-inhibiting antiinflammatory and immune-suppressive compounds
- IN Link, James; Liu, Gang; Pei, Zhonghua; Von Geldern, Thomas W.; Winn,
  Martin; Xin, Zhili; Wang, Sheldon; Boyd, Steven A.; Zhu, Gui-Dong;
  Freeman, Jennifer C.; Gunawardana, Indrani W.; Staeger, Michael A.; Jae,
  Hwan-soo; Lynch, John K.
- PA Abbott Laboratories, USA
- SO PCT Int. Appl., 476 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PATENT NO.
                KIND DATE
                                       APPLICATION NO. DATE
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                                      WO 2000-US8895 20000403
    WO 2000059880 A1
PΙ
                         20001012
        LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
            SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE,
            DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,
            CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
                                        US 1999-286645 A 19990402
                                        US 1999-474517 A 19991229
                                        US 2000-541795 A 20000331
    EP 1165505
                          20020102
                                        EP 2000-921654 20000403
                     Α1
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                                        US 1999-286645 A 19990402
                                        US 1999-474517 A 19991229
                                        WO 2000-US8895 W 20000403
    BR 2000009426
                     Α
                          20020409
                                        BR 2000-9426
                                                        20000403
                                        US 1999-286645 A 19990402
                                        US 1999-474517 A 19991229
                                        US 2000-541795 A 20000331
                                        WO 2000-US8895 W 20000403
    EE 200100513
                     Α
                          20021216
                                        EE 2001-513
                                                        20000403
                                        US 1999-286645 A 19990402
                                        US 1999-474517 A 19991229
                                        US 2000-541795 A 20000331
                                        WO 2000-US8895 W 20000403
    NO 2001004767
                     Α
                          20011130
                                        NO 2001-4767
                                                      20011001
                                        US 1999-286645 A 19990402
                                        US 1999-474517 A 19991229
                                        WO 2000-US8895 W 20000403
    BG 106029
                                        BG 2001-106029
                    Α
                          20020531
                                                        20011018
                                        US 1999-286645 A 19990402
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US 1999-474517 A 19991229

US 2000-541795 A 20000331
WO 2000-US8895 W 20000403
HR 2001000776 A1 20021231 HR 2001-776 20011023
US 1999-286645 A 19990402
US 1999-474517 A 19991229
US 2000-541795 A 20000331
WO 2000-US8895 W 20000403

OS MARPAT 133:296281

IT 280752-98-9

RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of (phenylthio)cinnamides as cell adhesion inhibitors by
coupling of thiophenols with halobenzaldehydes, conversion to cinnamic
acids, amidation, and optional derivatization)

RN 280752-98-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

IT 280752-72-9P 301179-73-7P 301179-75-9P,

2,3-Dichloro-4-(2-methoxyphenylthio)cinnamic acid 301179-87-3P

301179-93-1P 301179-94-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of (phenylthio)cinnamides as cell adhesion inhibitors by coupling of thiophenols with halobenzaldehydes, conversion to cinnamic acids, amidation, and optional derivatization)

RN 280752-72-9 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-, methyl ester, (2Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-73-7 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-ethoxyphenyl)thio]-3-(trifluoromethyl)phenyl]-, methyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-75-9 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-methoxyphenyl)thio]phenyl]- (9CI) (CA INDEX NAME)

$$C1$$
 $C1$ 
 $S$ 
 $HO_2C-CH$ 
 $CH$ 
 $MeO$ 

RN 301179-87-3 CAPLUS

CN 2-Propenoic acid, 3-[2-chloro-4-[(2-methoxyphenyl)thio]-6-(2-propenyloxy)phenyl]- (9CI) (CA INDEX NAME)

$$H_2C = CH - CH_2 - O$$
 $HO_2C - CH = CH$ 
 $MeO$ 

RN 301179-93-1 CAPLUS

CN 2-Propenoic acid, 3-[4-[(2-methoxyphenyl)thio]-2,3-bis(trifluoromethyl)phenyl]-, ethyl ester, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 301179-94-2 CAPLUS

CN 2-Propenoic acid, 3-[2,3-dichloro-4-[(2-hydroxyphenyl)thio]phenyl]-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

GΙ

AB The title compds. (I) [wherein R1-R5 = independently H, halo, (halo)alkyl, alkoxy, cyano, NO2, CHO, and least one of R1 or R3 is an (un) substituted cis- or trans-cinnamide; Ar = (un) substituted (hetero) aryl] were prepd. as cell adhesion inhibitors for the treatment of inflammatory and immune diseases. Examples include syntheses for 443 invention compds. and data for 3 bioassays. For instance, a mixt. of 2-[(2,4dichlorophenyl)thio]benzaldehyde (prepn. given), malonic acid, piperidine in anhyd. pyridine was heated at 110.degree.C for 2 h and then treated with aq. HCl to give trans-2-[(2,4-dichlorophenyl)thio]cinnamic acid (91%). Conversion to the acid chloride followed by amidation with 6-amino-1-hexanol gave (E)-II (90%). In an integrin LFA-1/ICAM-1 biochem. interaction assay, I demonstrated inhibition at 4 .mu.M. In cell-based adhesion assays which measure the ability of test compds. to block adherence of JY-8 cells (a human EBV-transformed B cell line expressing LFA-1 on its surface) to immobilized ICAM-1 or ICAM-3, I exhibited blocking activity at 4 .mu.M and 0.6 .mu.M, resp.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-24.74	-24.74

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